Welcome to STN International! Enter x:X

# LOGINID:SSPTABEM1624

## PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

		* *		* Welcome to STN International * * * * * * * * *					
				welcome to SIN international					
NEWS	1			Web Page for STN Seminar Schedule - N. America					
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic					
				substances identified in English-, French-, German-,					
				and Japanese-language basic patents from 2004-present					
NEWS	3	NOA		MARPAT enhanced with FSORT command					
NEWS	4	NOA		CHEMSAFE now available on STN Easy					
NEWS	5	NOV	26	Two new SET commands increase convenience of STN searching					
NEWS	6	DEC	01	ChemPort single article sales feature unavailable					
NEWS	7	DEC	12	GBFULL now offers single source for full-text					
				coverage of complete UK patent families					
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS					
NEWS	9	JAN	06	The retention policy for unread STNmail messages					
				will change in 2009 for STN-Columbus and STN-Tokyo					
NEWS	10	JAN	07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent					
				Classification Data					
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added					
				for CERAB, COMPUAB, ELCOM, and SOLIDSTATE					
NEWS		FEB		GENBANK enhanced with SET PLURALS and SET SPELLING					
NEWS		FEB		Patent sequence location (PSL) data added to USGENE					
NEWS				COMPENDEX reloaded and enhanced					
NEWS		FEB		WTEXTILES reloaded and enhanced					
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus					
				patent records provide insights into related prior art					
NEWS	17	FEB	19	Increase the precision of your patent queries use					
				terms from the IPC Thesaurus, Version 2009.01					
NEWS	18	FEB	23	Several formats for image display and print options					
				discontinued in USPATFULL and USPAT2					
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields					
				and 2009 MeSH terms					
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more					
	0.0			precise author group fields and 2009 MeSH terms					
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into					
	^^		0.5	STN patent clusters					
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status					
	EVERROO		display data from INPADOCDB JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3.						
NEWS	EXPRESS		AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.						
			MND	CURRENT DISCOVER FILE IS DATED 23 JUNE 2006.					
NEWS	HOU	RS	STI	V Operating Hours Plus Help Desk Availability					
				Loome Banner and News Items					
NEWS				general information regarding STN implementation of IPC					

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 15:35:13 ON 25 FEB 2009

=> fil reg

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 ENTRY
 SESSION

 FULL ESTIMATED COST
 0.22
 0.22

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Oueries\10589407.str

\$ P

\$4L\_&

7 8 9 ring nodes : 1 2 3 4 5 6 10 11 12 13 14 15 chain bonds : 7-8 7-10 8-9 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15 exact/norm bonds : 7-8 7-10 8-9 10-11 10-15 11-12 12-13 13-14 14-15 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 : 10 :

G1:N,CH

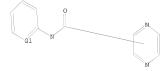
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom

#### L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS

L1 STR



G1 N, CH

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam SAMPLE SEARCH INITIATED 15:36:10 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3642 TO ITERATE

54.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

50 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\* PROJECTED ITERATIONS: 69221 TO 76459 PROJECTED ANSWERS: 3189 TO 4895

50 SEA SSS SAM L1

=> s 11 sss full

FULL SEARCH INITIATED 15:36:18 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 73245 TO ITERATE

100.0% PROCESSED 73245 ITERATIONS SEARCH TIME: 00.00.04

3685 ANSWERS

L3 3685 SEA SSS FUL L1

=> d scan

3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

IN Benzoic acid, 4-[[[3-(methylamino)-2-pyrazinyl]carbonyl]amino]-, ethyl ester

ME C15 H16 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):25

- 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pvrazinecarboxamide, N-[3-(1H-imidazol-1-vlmethvl)phenvl]-IN
- MF C15 H13 N5 O

- 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[5-[(ethylphenylamino)sulfonyl]-2-(2,2,2trifluoroethoxy)phenyl]-5-methyl-
- ME C22 H21 F3 N4 O4 S

$$\begin{array}{c} \text{O} \\ \text{O} \\ \text{C} \\ \text{N} \\ \text{O} \\ \text{O} \\ \text{Ph} \\ \end{array}$$

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- MF C18 H25 N5 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[2-(2-propen-1-ylthio)phenyl]-
- MF C14 H13 N3 O S

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 5-methyl-N-[2-(3-methylphenoxy)phenyl]-
- MF C19 H17 N3 O2

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-[(48)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-methoxy-
- MF C17 H19 N5 O2 S
- CI COM

## Absolute stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[4-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-2-pyridinyl]-5-methyl-
- MF C16 H18 N6 O S

# Absolute stereochemistry.

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-(2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl)-4,5-difluorophenyl]-5-(2-methoxyethoxy)-
- MF C19 H21 F2 N5 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-
- thiazin-4-y1]pheny1]-5-(2-pentyn-1-yloxy)-MF C21 H23 N5 O2 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-[(48)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-(2-hydroxyethyl)-
- MF C18 H21 N5 O2 S

Absolute stereochemistry.

- 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- INDEX NAME NOT YET ASSIGNED IN
- MF C24 H28 N6 O

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Benzoic acid, 3-[(2-pyrazinylcarbonyl)amino]-5-[[[[2-
- (trifluoromethyl)phenyl]sulfonyl]amino]methyl]-, methyl ester
- C21 H17 F3 N4 O5 S MF

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, N-[2-methoxy-5-[[(2-IN
- methoxyphenyl)amino]sulfonyl]phenyl]-5-methyl-C20 H20 N4 05 S MF

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[4-[2-(cyclopropylamino)-2-oxoethyl]phenyl]-MF C16 H16 N4 O2

- 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3
- IN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-[2-(1piperazinyl)phenyl]-
- MF C22 H24 N6 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-[4-methyl-2-(1-piperazinyl)phenyl]-IN
- MF C16 H20 N6 O

- 1.3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-[5-(aminocarbony1)-2-(1piperidiny1)pheny1]-, 2,2,2-trifluoroacetate (1:?)
  C17 H20 N6 O2 . x C2 H F3 O2
- MF

CM 1

$$\begin{array}{c} 0 \\ \text{H}_2\text{N} - C \\ \hline \\ N \\ N \\ \end{array} \begin{array}{c} \text{NH}_2 \\ \\ N \\ \end{array}$$

CM 2

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 5-methyl-N-[4-[(1-methyl-1H-imidazol-2-
- yl)carbonyl]phenyl]-
- MF C17 H15 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(methylthio)phenyl]-MF C12 H12 N4 O S

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-(4-hydroxyphenyl)-5-methyl-
- MF C12 H11 N3 O2

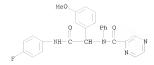
- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[2-(cyclopentylamino)-2-oxo-1-(2-thienyl)ethyl]-N-
- (3-hydroxyphenyl)-MF C22 H22 N4 O3 S

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, N-[2-(diethylamino)-5-
- IN 2-Pyrazinecarboxamide, N-[2-(diethylamino)-5-[(diethylamino)sulfonyl]phenyl]-
- MF C19 H27 N5 O3 S

- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-hydroxyphenyl)-
- MF C11 H10 N4 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-(4-ethoxyphenyl)-N-[2-[(4-fluorophenyl)amino]-1-(2-methylphenyl)-2-oxoethyl]-
- MF C28 H25 F N4 O3

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 3685 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[2-[(4-fluorophenyl)amino]-1-(3-methoxyphenyl)-2-oxoethyl]-N-phenyl-
- MF C26 H21 F N4 O3



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading C:\Program Files\STNEXP\Queries\10589407narrower.str

```
chain nodes:
7 8 9 19
ring nodes:
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds:
7-8 7-10 7-19 8-9
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds:
7-8 7-10 7-19 8-9 10-11 10-15 11-12 12-13 13-14 14-15
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems:
containing 1: 10:
```

G1:N,CH

G2:H, CH3

Match level: 1:Atom 2:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom 19:CLASS

L4 STRUCTURE UPLOADED

=> d 14 L4 HAS NO ANSWERS L4 STR

G1 N,CH G2 H,Me

Structure attributes must be viewed using STN Express query preparation.

50 ANSWERS

=> s 14 sss sam

SAMPLE SEARCH INITIATED 15:40:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3642 TO ITERATE

54.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 69221 TO 76459 PROJECTED ANSWERS: 2930 TO 4572

L5 50 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 15:40:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 73245 TO ITERATE

100.0% PROCESSED 73245 ITERATIONS 3230 ANSWERS

SEARCH TIME: 00.00.03

L6 3230 SEA SSS FUL L4

=> fil cap

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 375.12 375.34

FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on SIN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9 FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

3230 S L4 SSS FULL

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

L6

(FILE 'HOME' ENTERED AT 15:35:13 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009

STRUCTURE UPLOADED

L2 50 S L1 SSS SML

L3 3685 S L1 SSS FULL

L4 STRUCTURE UPLOADED

L5 50 S L4 SSS SAM

FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009

=> s 16 and (pry<2005) 378 L6 4600131 PRY<2005 L7 187 L6 AND (PRY<2005)

=> fil reg COST IN U.S. DOLLARS FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 2.74 378.08

FILE 'REGISTRY' ENTERED AT 15:41:20 ON 25 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> fil req

COST IN U.S. DOLLARS

SINCE FILE

0.96

TOTAL ENTRY SESSION 379.04

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:42:35 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\STNEXP\Oueries\10589407narrower2.str

(A)

84L-8

7 8 9 19 ring nodes: 1 2 3 4 5 6 10 11 12 13 14 15 chain bonds: 1 7-8 7-10 7-19 8-9 ring bonds: 1 10-15 11-12 12-13 13-14 14-15 exact/norm bonds: 1 10-15 11-12 12-13 13-14 14-15 exact/norm bonds: 1 10-15 11-12 12-13 13-14 14-15 exact/norm bonds: 1 10-15 11-12 12-13 13-14 14-15 isolated ring systems: 1 10-15 11-12 12-13 13-14 14-15 isolated ring systems: 1 10-15 11-12 12-13 13-14 14-15 isolated ring systems: 1 10-15 11-12 12-13 13-14 14-15 isolated ring systems: 1 10-15 11-15 1

G1:N,CH

G2:H, CH3

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom 19:CLASS

#### I.8 STRUCTURE UPLOADED

\_

Q1"



chain nodes : 7 8 9 18 ring nodes : 1 2 3 4 5 6 10 11 12 13 14 15 chain bonds : 2 -8 7-8 7-10 7-18 8-9

ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15 exact/norm bonds:
7-8 7-10 7-18 8-9 exact bonds:
2-8 normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15 isolated ring systems:
containing 1: 10:

G1:N,CH

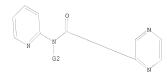
G2:H,CH3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:CLASS

#### L9 STRUCTURE UPLOADED

=> d 19 L9 HAS NO ANSWERS L9 STR



G1 N,CH G2 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s 19 sss sam
SAMPLE SEARCH INITIATED 15:44:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS 11 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 257 TO 903
PROJECTED ANSWERS: 22 TO 418

L10 11 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 15:44:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -594 TO ITERATE

100.0% PROCESSED 594 ITERATIONS

178 ANSWERS SEARCH TIME: 00.00.01

L11 178 SEA SSS FUL L9

=> d scan

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, N-[4-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3thiazin-4-yl]-2-pyridinyl]-5-(2-butyn-1-yloxy)-

ME C19 H20 N6 O2 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

- L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, N-[6-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-
- thiazin-4-y1]-2-pyridiny1]-5-methoxy-
  - C16 H18 N6 02 S

Absolute stereochemistry.

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-(3-hydroxy-2-pyridinyl)-

MF C10 H8 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methyl-2-pyridinyl)-

MF C11 H11 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Thiophenecarboxylic acid, 3-[[(trans-4-methylcyclohexyl)carbonyl](1-methylethyl)amino]-5-[6-[(2-pyrazinylcarbonyl)amino]-3-pyridinyl]-, methylethyl

MF C27 H31 N5 O4 S

Relative stereochemistry.

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN Carbamic acid, [3-[(5-fluoro-2-pyridinyl)amino]carbonyl]-5-methylpyrazinyl]-3-pyridinyl-, 1,1-dimethylethyl ester (9CI)

MF C21 H21 F N6 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, N-(5-nitro-2-pyridiny1)-MF C10 H7 N5 O3

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C20 H18 Br N5 O4

- L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[4-[2-(4-fluoro-3-methylphenyl)imidazo[1,2-b]pyridazin-3-yl]-2-pyridinyl]-
- MF C23 H16 F N7 O

178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2,3-Pyrazinedicarboxamide, N2-[2-(2-aminoethoxy)-4-(3-oxo-4-IN

morpholinyl)phenyl]-N3-(5-chloro-2-pyridinyl)-

MF C23 H22 C1 N7 O5

COM CI

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-3-(2-hydroxyethyl)-2-oxo-1(2H)-pyrimidinyl]phenyl]-

MF C23 H22 C1 N7 O4

- L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-[(3S)-3-hydroxy-2-oxo-1-piperidiny1]pheny1]-
- MF C22 H18 C1 F N6 O4

Absolute stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-cyano-2-pyridinyl)-
- MF C27 H30 N8 O3 Si

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-[cyano[2-[[(1,1dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-

MF C26 H30 C1 N7 O3 Si

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2009 ACS on STN L11 178 ANSWERS

IN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridinyl)-N3-[4-(2-imino-3-

oxazolidinyl)phenyl]-MF C21 H16 N8 O3

COM

CI

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

 ${\tt IN} \hspace{0.5cm} 2, 3 - {\tt Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-(2-imino-3-model)]} \\$ 

oxazolidinyl)phenyl]-, hydrobromide (1:?)

MF C20 H16 C1 N7 O3 . x Br H

•x HBr

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-(5-bromo-6-methyl-2-pyridinyl)-

MF C11 H9 Br N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanoic acid, 2-[[2-[6-[[[6-[2-(4-acetyl-2-ethyl-5-hydroxyphenyl]ethyl]-2-pyrazinyl]carbonyl]amino]-1-oxido-2-pyridinyl]acetyl]amino]-

MF C28 H31 N5 O7

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-ethynyl-2-pyridinyl)MF C12 H8 C1 N5 0

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-5-[(1-methylethyl)amino]-N-2-pyridinyl-MF C13 H16 N6 O

ME CIS UTO NO

L11 178 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-5-(trifluoromethyl)-

MF C11 H8 F3 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS

SINCE FILE ENTRY

FULL ESTIMATED COST

187.80 566.84

TOTAL

SESSION

FILE 'CAPLUS' ENTERED AT 15:45:31 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9
FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 15:35:13 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009
L1 STRUCTURE UPLOADED
L2 50 S L1 SSS SAM

```
L3
          3685 S L1 SSS FULL
L4
               STRUCTURE UPLOADED
1.5
              50 S L4 SSS SAM
           3230 S L4 SSS FULL
1.6
     FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009
            187 S L6 AND (PRY<2005)
     FILE 'REGISTRY' ENTERED AT 15:41:20 ON 25 FEB 2009
     FILE 'REGISTRY' ENTERED AT 15:42:35 ON 25 FEB 2009
                STRUCTURE UPLOADED
L9
                 STRUCTURE UPLOADED
L10
              11 S L9 SSS SAM
L11
             178 S L9 SSS FULL
     FILE 'CAPLUS' ENTERED AT 15:45:31 ON 25 FEB 2009
=> s 111
L12
           50 L11
=> s 112 and (prv<2005)
       4600131 PRY<2005
            20 L12 AND (PRY<2005)
=> d 1-20 ibib abs hitstr
L13 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                      2006:655569 CAPLUS
DOCUMENT NUMBER:
                          145:124579
TITLE:
                          Preparation of condensed imidazole compounds as p38
                         MAP kinase inhibitors
                         Uchikawa, Osamu; Miwatashi, Seiji
INVENTOR(S):
PATENT ASSIGNEE(S):
                        Takeda Pharmaceutical Company Limited, Japan
SOURCE:
                         PCT Int. Appl., 308 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO. KIND DATE APPLICATION NO. DATE
                          ----
     WO 2006070943 A1 20060706 WO 2005-JP24279 20051228 <--
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
              SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
              VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             TIS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, MK, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     CA 2594325
                      A1 20060706 CA 2005-2594325 20051228 <--
A1 20070912 EP 2005-824476 20051228 <--
     EP 1832588
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     US 20080167314 A1 20080710 US 2007-794300 20070627 <---
RITY APPLN. INFO:: JP 2004-381947 A 20041228 <--
```

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

AB Title compds. I [X1-X3 = (un)substituted CH or nitrogen atom with the proviso that any one thereof is a nitrogen atom; X4 = (un)substituted CH; R1 = (un)substituted Ph, (un)substituted heterocycle; R2 = (un)substituted pyridin-4-y1, (un)substituted N-oxidopyridin-4-y1, (un)substituted pyrimidin-4-y1] and salts thereof were prepared For example, bromination of

II

2-(2-fluoropyridin-4-y1)-1-(3-methylphenyl)ethanone followed by reaction with 3-amino-6-chloropyridazine afforded compound II. In p38 MAP kinase inhibition assays, the IC50 value of compound II was 0.11 µM. Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.

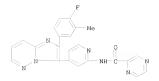
T 896739-42-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed imidazole compds. as p38 MAP kinase inhibitors for treatment of inflammation and autoimmune diseases)

RN 896739-42-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-[2-(4-fluoro-3-methylphenyl)imidazo[1,2-b)pyridazin-3-vl]-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:558961 CAPLUS

DOCUMENT NUMBER: 145:62922

TITLE: Preparation of pyrazinedicarboxamides and related compounds for the treatment of thromboembolic diseases

INVENTOR(S): Roehrig, Susanne; Jeske, Mario; Akbaba, Metin;

Rosentreter, Ulrich; Boyer, Stephen; Fischer, Karin; Pohlmann, Jens; Tuch, Arounarith; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Burkhardt, Nils; Allerheiligen, Swen; Nell, Peter; Arndt, Sabine;

Lobell, Mario

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 86 pp. CODEN: PIXXD2

DOCUMENT TYPE: CODEN: PIXXD2

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	KIND DATE			APPLICATION NO.						DATE									
WO	2006	A1 20060615			0615	WO 2005-EP12681					20051128 <								
	W:						AU,												
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,		
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
		SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
		VN,	YU,	ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,		
							NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM												
									DE 2004-10200405921										
CA	2594								CA 2005-2594102										
	1824								EP 2005-815232						20051128 <				
EP						B1 20081105													
	R:						CZ,										IE,		
							LV,												
										JP 2007-544770									
												AT 2005-815232 200513							
					A1 20061221				US 2005-299342										
													102004059219A 20041209 <						
WO 2005-EP12681 W 2005112												1	W 2	0051	128				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A = substituted pyrrolidonyl, imidazolidinonyl, 2-oxazolidinonyl, etc.; R1, R2 = H, F, CL, etc.; R3 = H, alkyl, OH, etc.; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and their formulations were prepared For example, 1,1'-Carbonyldiimidazole mediated cyclization of aminoalc. II afforded pyrazinedicarboxamide III in 19% yield. In blood-coagulation factor Xa inhibition assays, 8-examples of compds. I exhibited IC50 values ranging from 0.16-16 nM.

IT 890822-23-8P 890822-63-6P 890822-79-4P 890822-87-4P 890822-95-4P 890823-19-5P 890823-27-5P 890824-07-4P 890824-36-9P 890824-36-P 890824-36-P 890824-36-P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)

RN 890822-23-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-63-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-oxo-3oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-79-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-(3-hydroxy-2-oxo-1-piperidiny1)phenyl]- (CA INDEX NAME)

RN 890822-87-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-(2-fluoro-4-[(3S)-3-hydroxy-2-oxo-1-piperidiny1]pheny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 890822-95-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-[(3R)-3-hydroxy-2-oxo-1-piperidiny1]pheny1]- (CA INDEX NAME)

## Absolute stereochemistry.

RN 890823-19-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(3-oxo-4morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-27-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-(3-oxo-

4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890824-07-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-36-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-3-(2-hydroxyethyl)-2-oxo-1(2H)-pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 890824-43-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-2-oxo-3-[2-(1-pyrrolidinyl)ethyl]-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

N.

RN

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[3-(hydroxymethyl)-2-oxo-1(2H)-pyridinyl]phenyl]- (CA INDEX NAME)

RN 890824-58-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[3-[(cyclopropylamino)methyl]-2-oxo-1(2H)-pyridinyl]-2-fluorophenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 890824-57-4

CMF C26 H21 C1 F N7 O3

CM :

CRN 76-05-1

CMF C2 H F3 O2

```
F-C-CO2H
     890824-73-4 CAPLUS
RN
    2,3-Pvrazinedicarboxamide, N2-12-(2-aminoethoxv)-4-(3-oxo-4-
CN
    morpholiny1)pheny1]-N3-(5-chloro-2-pyridiny1)-, 2,2,2-trifluoroacetate
     (1:1) (CA INDEX NAME)
    CM
          1
    CRN 890824-72-3
    CMF C23 H22 C1 N7 O5
                    -CH2-CH2-NH2
    CM
          2
    CRN 76-05-1
```

IT 43200-83-5P 890052-06-9P 890826-99-0P 890827-06-2P 1096601-39-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)

RN 43200-83-5 CAPLUS

CMF C2 H F3 O2

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890826-99-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-formyl-2-oxo-1(2H)-pyridinyl)phenyl]- (CA INDEX NAME)

RN 890827-06-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

1096601-39-6 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:542131 CAPLUS DOCUMENT NUMBER:

145:46051

TITLE: Preparation of 2-imino-3-phenyloxazolidines and

related compounds for the treatment of thromboembolic

diseases

INVENTOR(S): Roehrig, Susanne; Pohlmann, Jens; Arndt, Sabine; Jeske, Mario; Akbaba, Metin; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Tuch,

Arounarith; Lobell, Mario; Nell, Peter; Burkhardt, Nils

PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany

PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

SOURCE:

		APPLICATION NO.	
WO 2006058630		WO 2005-EP12465	
		BA, BB, BG, BR, BW,	
		DM, DZ, EC, EE, EG,	
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KM, KN, KP, KR,
KZ, LC, LK,	LR, LS, LT, LU,	LV, LY, MA, MD, MG,	MK, MN, MW, MX,
MZ, NA, NG,	NI, NO, NZ, OM,	PG, PH, PL, PT, RO,	RU, SC, SD, SE,
SG, SK, SL,	SM, SY, TJ, TM,	TN, TR, TT, TZ, UA,	UG, US, UZ, VC,
VN, YU, ZA,	ZM, ZW		
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
IS, IT, LT,	LU, LV, MC, NL,	PL, PT, RO, SE, SI,	SK, TR, BF, BJ,
CF, CG, CI,	CM, GA, GN, GQ,	GW, ML, MR, NE, SN,	TD, TG, BW, GH,
GM, KE, LS,	MW, MZ, NA, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
	RU, TJ, TM		
		DE 2004-102004058	
		CA 2005-2589740	
		EP 2005-815274	
		DK, EE, ES, FI, FR,	
		NL, PL, PT, RO, SE,	
		JP 2007-543733	
		US 2007-792108	
PRIORITY APPLN. INFO.:			062A 20041202 <
		WO 2005-EP12465	W 20051122
OTHER SOURCE(S):	MARPAT 145:4605	1	
GI			

AB Title compds. I [Y = (CH2)n; n = 1-3; R1 = H, alkyl, CN, etc.; R2, R3 = H, halo, CN, etc.; A = phenylene, 5 or 6-membered heteroaryl ring with provisos; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, methanesulfonic acid mediated cyclization of cyanoamine II afforded the methanesulfonic acid salt of claimed phenyloxazolidine III in 81% yield. In blood-coagulation factor Xa inhibition assays, 4-examples of compds. I

exhibited IC50 values ranging 0.3-4.4 mM.

890051-67-9P 890051-67-9P 890051-71-5P

890051-72-6P 890051-73-7P 890051-74-8P

890051-75-9P 890051-76-0P 890051-77-1P

890051-78-2P 890051-95-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 890051-67-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-68-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]- (CA INDEX NAME)

RN 890051-71-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-67-9 CMF C20 H16 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-72-6 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrobromide (1:?) (CA INDEX NAME)

●x HBr

RN 890051-73-7 CAPLUS

CN

2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 890051-74-8 CAPLUS

2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CN

CRN 890051-68-0

CMF C21 H18 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-75-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidiny1)pheny1]-N3-(5-methy1-2-pyridiny1)- (CA INDEX NAME)

RN 890051-76-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidinyl)phenyl]-N3-(5methyl-2-pyridinyl)-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-75-9 CMF C21 H19 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-77-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]- (CA INDEX NAME)

RN 890051-78-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-77-1 CMF C21 H16 N8 O3

CRN 75-75-2 CMF C H4 O3 S

CM

RN 890051-95-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[(2Z)-2-(hydroxyimino)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

IT 43200-83-5P 313973-42-1P 890051-99-7P

890052-06-9P 890052-07-0P 890052-08-1P 890052-09-2P 890052-10-5P 890052-11-6P

890052-12-7P 890052-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 313973-42-1 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-methyl-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 890051-99-7 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-cyano-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-07-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-08-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 89052-09-2 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-[cyano[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-10-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

- RN 890052-11-6 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

- RN 890052-12-7 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-cyano-2-pyridinyl)- (CA INDEX NAME)

RN 890052-34-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridinyl)-N3-[4-[[2-[[(1,1dimethylethyl)dimethylsilyl|oxy|ethyl|amino|phenyl|- (CA INDEX NAME)

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:1288059 CAPLUS

DOCUMENT NUMBER: 144:36255

TITLE: Preparation of heteroaryl amides for therapeutic use

as cannabinoid receptor modulators

Amin, Kosrat; Broddefalk, Johan; Desfosses, Helene; Evertsson, Emma; Liu, Ziping; Milburn, Claire; Nilsson, Karolina; Tremblay, Maxime; Walpole,

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

Christopher; Wei, Zhong-Yong; Yang, Hua

PATENT ASSIGNEE (S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 257 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

REFERENCE COUNT:

INVENTOR(S):

					KIND DATE					ICAT								
	2005															0050	520 <-	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
		ZA,	ZM,	ZW														
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
					TD,													
																	520 <-	
CA	2565	065			A1		2005	1208		CA 2	005-	2565		2	0050	520 <-		
EP	1756	060			A1		2007	0228		EP 2	005-	7451	77		2	0050	520 <-	
	R:						CZ,											
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PΤ,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
				MK,														
																	520 <-	
	2005						2008										520 <-	
JP	2008	5003	36		т		2008	0110		JP 2	007-	5149	80		2		520 <-	
	2006																109 <-	
	2007																117 <-	
MX	2006	0135	38		A		2007	0126		MX 2	006-	1353	В		2	0061	122 <-	
					A		2007	0221									218 <-	
RIT	Y APP	LN.	INFO	. :													525 <-	
											005-				W 2	0050	520	
IR SC	DURCE	(S):			CAS	REAC	T 14	4:36	255;	MAR	PAT	144:	3625	)				

AB Heteroaryl amides, such as I [A1, A2, A3, A4 = N, CR1; R = (CH2)nR4; R1 = H, CN, NH2, NHCOMe, OH, halogen, alkylamino, alkoxy, etc.; R2 = aryl, heterocyclyl; R3 = H, alkyl; R4 = cycloalkyl, aryl, heterocyclyl, heterocyclylamino, etc.; m = 0-2; n = 0-5], were prepared for use in pharmaceutical compns. as cannabinoid types CB1 and CB2 receptor modulators which are useful in therapy, in particular in the management of pain. These amides are also claimed for use in the treatment of functional gastrointestinal disorders, irritable bowel syndrome, anxiety, cancer, multiple sclerosis, Parkinson's disease, Huntington's chorea, Alzheimer's disease, and cardiovascular disorders. Thus, N-(cyclobutylmethyl)-3-[(1-naphthalenylcarbonyl)amino]-2pyridinecarboxamide II (R2 = 1-naphthalenyl) was prepared starting from cyclobutylmethylamine, 1-naphthalenecarbonyl chloride, and 3-amino-2-pyridinecarboxylic acid. Some of the prepared amides were assayed for CB1 and CB2 receptor binding activity. ΤТ 280115-50-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heteroaryl amides for therapeutic use as

cannabinoid receptor modulators)

RN 280115-50-6 CAPLUS CN 2-Pyrazinecarboxami

2-Pyrazinecarboxamide, N-(5-chloro-2-pyridiny1)-3-[[4-(1,1-dimethylethyl)benzoyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962046 CAPLUS

DOCUMENT NUMBER: 143:266952

TITLE: Preparation of bipyridyl amides as modulators of

metabotropic glutamate receptor-5
INVENTOR(S): Bonnefous, Celine; Kamenecka, Theodore M.; Vernier,

Jean-Michel

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA:		NO.			KIND DATE						ICAT		DATE					
WO	2005	0798	02		A1		2005	0901		WO 2	005-	JS39	52		20050209 <			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
											BE,							
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
			NE,															
	2005															0050	209 <	
CA	2555	402			A1		2005	0901		CA 2	005-	2555	402		2	0050:	209 <- <del>-</del>	
EP	1715	867			A1		2006	1102		EP 2	005-	7131	11		2	0050	209 <	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	FΙ,					CZ,	EE,	HU,	PL,	SK,	IS			
	1933						2007										209 <	
						T 20070830					006-							
IN	2006	DN04	346		A		2007	0713		IN 2	006-	DN43	46		2	0060	727 <	

US 20070149547 PRIORITY APPLN. INFO.: A1 20070628 US 2006-589407 US 2004-544627P

WO 2005-US3952 CASREACT 143:266952; MARPAT 143:266952 20060811 <--

P 20040212 <--

20050209

OTHER SOURCE(S): GI

AB The title compds. I [X = N, C; Y = N, C, C(halo); R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = aryl, halo, alkyl, etc.; R2 and R3 may be joined together with the atoms to which they are attached to form a (un)saturated 4-7 membered ring containing 0-2 heteroatoms selected

from

O, S and N; R4 = aryl, heteroaryl, halo, etc.] which are mGluR5 modulators useful in the treatment or prevention of diseases and conditions in which mGluR5 is involved, including but not limited to psychiatric and mood disorders such as schizophrenia, anxiety, depression, bipolar disorders, and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm and sleep disorders, such as shift-work induced sleep disorder and jet-lag, drug addiction, drug abuse, drug withdrawal, obesity and other diseases, were prepared Thus, amidation of pyridin-2-amine with 3-amino-5,6-diphenylpyrazine-2-carboxylic acid afforded the amide II. The

exemplified compds. I have mGluR5 inhibitory activity as shown by inhibition at 10 μM or less in the calcium flux assay or 100 μM or less or less in the PI assay. The invention is also directed to pharmaceutical compns. comprising compds. I.

848187-30-4P 863909-18-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

RN 848187-30-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-18-6 CAPLUS

2-Pyrazinecarboxamide, 3-amino-6-chloro-N-[6-[2-(trimethylsily1)ethyny1]-2pyridinyl]- (CA INDEX NAME)

(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

RN 37804-11-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(dimethylamino)-N-2-pyridinyl-(CA INDEX NAME)

RN 848187-22-4 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-5-(dimethylamino)-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-24-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(1-piperidiny1)-N-2-pyridiny1-(CA INDEX NAME)

RN 848187-26-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-27-9 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-28-0 CAPLUS

CN 2-Pyrazinecarboxamide, 6-methyl-N-2-pyridinyl- (CA INDEX NAME)

RN 848187-29-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl- (CA INDEX NAME)

- RN 848187-31-5 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-methyl-N-2-pyridinyl- (CA INDEX NAME)

- RN 848187-32-6 CAPLUS
- CN 2-Pyrazinecarboxamide, 6-chloro-3-(methylamino)-N-2-pyridinyl- (CA INDEX NAME)

- RN 848187-34-8 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

- RN 848187-35-9 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-methyl-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

- RN 863908-32-1 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-5,6-diphenyl-N-2-pyridinyl- (CA INDEX

RN 863908-34-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-[(2-furanylmethyl)amino]-N-2pyridinyl- (CA INDEX NAME)

RN 863908-36-5 CAPLUS

CN 2-Pyrazinecarboxamide, 6-chloro-3-(dimethylamino)-5-[(2-furanylmethyl)amino]-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-42-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-phenyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-44-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(methylthio)-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-46-7 CAPLUS

CN 2-Pyrazinecarboxamide, 6-bromo-3-(methylthio)-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-64-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[(3-amino-6-chloro-2pyrazinyl)carbonyl]amino]-, methyl ester (CA INDEX NAME)

RN 863908-66-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(3-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-69-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-(2-cyanophenyl)-N-2-pyridinyl- (CA INDEX NAME)

RN 863908-71-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridiny1-6-(3-pyridiny1)- (CA INDEX NAME)

RN 863908-73-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-methoxy-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-77-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-phenyl-2-pyridinyl)- (CA INDEX NAME)

RN 863908-79-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-cyano-2-pyridiny1)- (CA INDEX NAME)

RN 863908-81-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-[6-(1H-imidazol-1-y1)-2pyridinyl]- (CA INDEX NAME)

RN 863908-83-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[2,4'-bipyridin]-6-yl-6-chloro- (CA INDEX NAME)

RN 863908-87-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-methoxy-N-2-pyridinyl- (CA INDEX NAME)

- RN 863908-92-3 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-5-(trifluoromethyl)- (CA INDEX NAME)

- RN 863908-94-5 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-N-2-pyridinyl-6-(trifluoromethyl)- (CA INDEX NAME)

- RN 863908-98-9 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-5-chloro-6-phenyl-N-2-pyridinyl- (CA INDEX NAME)

- RN 863909-02-8 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(ethylamino)-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-04-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-ethyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-07-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5-[(1-methylethyl)amino]-N-2-pyridinyl-(CA INDEX NAME)

RN 863909-09-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-butyl-N-2-pyridinyl- (CA INDEX NAME)

RN 863909-11-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-5,6-dimethyl-N-2-pyridinyl- (CA INDEX NAME)

- RN 863909-16-4 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-5,6-dimethyl-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

- RN 863909-22-2 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-(6-ethynyl-2-pyridinyl)- (CA INDEX NAME)

- RN 863909-38-0 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-cyano-N-2-pyridinyl- (CA INDEX NAME)

- IT 863909-60-8 863909-63-1
  - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)
- RN 863909-60-8 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(6-methyl-2-pyridinyl)- (CA

RN 863909-63-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(6-bromo-2-pyridinyl)-6-chloro- (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:216820 CAPLUS DOCUMENT NUMBER: 142:297926

TITLE: Preparation of substituted 8-heteroaryl xanthines for use in pharmaceutical compositions as selective

antagonists of A2B adenosine receptors

INVENTOR(S): Wang, Guoquan; Rieger, Jayson M.; Thompson, Robert D. PATENT ASSIGNEE(S):

Adenosine Therapeutics, Llc, USA SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PAT	TENT	NO.			KIND DATE					APPL	ICAT		DATE						
						_													
WO	2005	0215	48		A2 20050310				WO 2	004-	US27	133		20040820 <					
WO	2005	0215	48		A3		2005	0630											
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
		ST.	SK.	TR.	BF.	B.T.	CF.	CG.	CT.	CM.	GA.	GN.	GO.	GW.	MI	MR.	NE.		

```
SN, TD, TG
     AII 2004268964
                                 20050310
                                             AU 2004-268964
                                                                     20040820 <--
                           A1
     CA 2536553
                                 20050310
                                             CA 2004-2536553
                                                                     20040820 <--
                           A1
     US 20050065341
                                 20050324
                                             US 2004-923592
                                                                     20040820 <--
                          A1
     US 7342006
                                 20080311
                           R2
     EP 1658291
                           A2
                                 20060524
                                             EP 2004-781752
                                                                     20040820 <--
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     BR 2004013922
                           Α
                                 20061024
                                             BR 2004-13922
                                                                     20040820 <--
     CN 1894250
                           Α
                                 20070110
                                             CN 2004-80031455
                                                                     20040820 <--
     JP 2007503443
                           Т
                                 20070222
                                             JP 2006-524755
                                                                     20040820 <--
     MX 2006002223
                           Α
                                 20061211
                                             MX 2006-2223
                                                                     20060224 <--
     KR 2006132549
                           Α
                                 20061221
                                             KR 2006-703776
                                                                     20060224 <--
     IN 2006CN01014
                           Α
                                 20070629
                                             IN 2006-CN1014
                                                                     20060324 <--
     US 20080200456
                          A1
                                 20080821
                                             US 2007-956876
                                                                     20071214 <--
PRIORITY APPLN. INFO.:
                                             US 2003-497875P
                                                                    20030825 <--
                                             US 2004-923592
                                                                  A1 20040820 <--
                                             WO 2004-US27133
                                                                  W 20040820 <--
                         CASREACT 142:297926; MARPAT 142:297926
GI
```

OTHER SOURCE(S):

AB Xanthines, such as I [R = H, alkyl, haloalkyl, alkenyl, alkynyl, etc.; R1, R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, heterocyclyl, aryl, heteroalkyl, etc.; X = 5-10 membered heteroaryl containing at least one nitrogen atom and optionally other heteroatoms; Z = alkoxy, alkylthio, amino, heterocyclyl, etc.; Z1 = alkyl, alkenyl, alkynyl, etc.; n = 0-8], were prepared for therapeutic use in the treatment of pathol. conditions or symptoms, wherein the activity of adenosine A2B receptors is implicated and antagonism of their action is desired. These xanthine derivs, are claimed for use in the treatment of asthma, allergies, allergic disease, autoimmune disease, diarrheal disease, insulin resistance, diabetes, cancer, ischemia/reperfusion injuries, diabetic retinopathy or hyperbaric oxygen-induced retinopathy. Thus, xanthine derivative II (R3 = NHCH2Me) was prepared via cyclocondensation of 6-chloronicotinovl chloride with 5,6-diamino-1,3-dipropyluracil to form chloride II (R3 = C1) and a subsequent amination reaction of the chloride with MeCH2NH2. The prepared xanthines were screened for A2B adenosine receptor antagonist activity. 847611-96-5P 847611-98-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 8-heteroaryl xanthines for use in pharmaceutical compns. as selective antagonists of A2B adenosine receptors) RN 847611-96-5 CAPLUS

CN 2-Pyrazinecarboxamide, N-[5-(1,3-diethyl-2,3,6,9-tetrahydro-2,6-dioxo-1Hpurin-8-v1)-2-pyridinv1]-N-methv1- (CA INDEX NAME)

RN 847611-98-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-methyl-N-[5-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:515503 CAPLUS

DOCUMENT NUMBER: 141:71452

TITLE: Preparation of pyridine derivatives as JNK inhibitors INVENTOR(S): Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PR

E	PAT		NO.			KIND DATE					APPL	ICAT	ION I		DATE					
Ţ	IO.	2004	0528	В0		A1		2004	0624		WO 2	003-	SE19	11		20031208 <				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,		
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,		
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
			BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,		
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD, T	ΓĠ	
I	AU 2003302919					A1		2004	0630		AU 2	003-	3029	19						
OR	TY	APP	LN.	INFO	. :						SE 2	002-	3654			A 2	0021	209 <-		
											WO 2	003-	SE19	11		W 2	0031	208 <-		
	00					Manage 244 72450														

OTHER SOURCE(S): MARPAT 141:71452

- AB The title compds. [I; Rl = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COCR3, COR3, COR3, COR3, COR3, COR3, COR3, COR3, COR3, COR3, NBCR4, NBCOR3, NSCR4, NBCOR3, SOCRAS, SOCRAS, SOCRAS, CON, halo, NOC; R2 = R5, R6, COR5, COR6, CONBR5, CONHR6, CON(R6)2, COCR5, COCR6, SOCR5, SOCR6; R3, R4 = H, alkyl, cycloalkyl, etc., R5 = (un)substituted (heterolaryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.
- IT 712268-69-4P 712269-06-2P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors)
  RN 712268-69-4 CAPLUS
- CN 2-Pyrazinecarboxamide, N-[2'-(phenylamino)[4,4'-bipyridin]-2-y1]- (CA INDEX NAME)

- RN 712269-06-2 CAPLUS
- CN 2-Pyrazinecarboxamide, 5-methyl-N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-(CA INDEX NAME)

REFERENCE COUNT:

- 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:457058 CAPLUS DOCUMENT NUMBER: 133:73942
- TITLE: Preparation of heteroroaromatic amides as factor Xa inhibitors

## INVENTOR(S): Beight, Douglas Wade; Craft, Trelia Joyce;

Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wilev, Michael Robert; Yee, Ying Kwong

Eli Lilly and Company, USA; Kyle, Jeffrey Alan

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 93 pp. CODEN: PIXXD2 Patent English

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.						KIND DATE											
					A1	-							887		19991215 <			
																CR,		
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	
		SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW		
	RW:															CY,		
														SE,	BF,	ВJ,	CF,	
							GW,											
	2358																215 <	
	1140									EP 1	999-	9673	52		1	.9991	215 <	
EP	1140						2003											
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,				RO											
	2403						2003									.9991	215 <	
ES	2196	917			Т3		2003	1216		ES 1	999-	9673	52		1	.9991	215 <	
US	6689	780			В1		2004	0210		US 2	001-	8577	49		2	0010	608 <	
PRIORIT:	Y APP	LN.	INFO	. :						US 1	998-	1134	52P		P 1	9981	223 <	
										EP 1	999-	9673	52		A 1	9991	215 <	
										WO 1	999-	US29	887		W 1	9991	215 <	
OTHER SO	OURCE	(S):			MAR	PAT	133:	7394:	2									

AB RZZZCONHZIRI [I; R1 = C1, F, Me; R2 = N-(un)substituted azacycloalkyl, 4-(un)substituted -1-piperazinyl, 4-aminocyclohexyl, 4-amino-1-piperidinyl, etc.; Z = (un)substituted-2,3- or 1-(4-pyridiny1)piperidine-4-methylamine (preparation given) and the product amidated by 2-amino-5-chloropyridine to give title compound II.

IT 280115-50-6P 280115-72-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroroarom. amides as factor Xa inhibitors)

RN 280115-50-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-(5-chloro-2-pyridiny1)-3-[[4-(1,1-dimethylethyl)benzoy1]amino]- (CA INDEX NAME)

RN 280115-72-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-(5-chloro-2-pyridinyl)-3-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (CA INDEX NAME)

IT 280115-75-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of heteroroarom. amides as factor Xa inhibitors)

RN 280115-75-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(5-chloro-2-pyridinyl)- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:260225 CAPLUS

DOCUMENT NUMBER: 132:294010

TITLE: Preparation of diaminopropionic acid derivatives as intracellular adhesion molecule-1 (ICAM-1) binding

inhibitors

INVENTOR(S): Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert
William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

					KIND DATE													
	2000															9991	012	<
							AZ,											
							GB,											
							KZ,											
							NZ,											
		TJ,	TM,	TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	
							GR,								BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
US	6331 2344	640			B1		2001	1218		US 1:	999-	4075	34		1	9990	929	<
CA	2344	058			A1		2000	0420		CA 1	999-	2344	058		1	9991	012	<
BR	9914	602			A		2001	0703		BR 1	999-	1460	2		1	9991	012	<
	1121																	
	R:								GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO											
TR	2001	0103	8		T2		2001	0921		TR 2	001-	1038			1	9991	012	<
JP	2001 2002	5274	16		T		2002	0827		JP 2	000-	5758	29		1	9991	012	<
JP	3720 7664 1274	709			B2		2005	1130										
AU	7664	68			B2		2003	1016		AU 2	000-	1034	9		1	9991	012	<
CN	1274	670			C		2006	0913		CN 1	999-	8120	99		1	9991 0010	012	<
MX	2001	0032	84		A		2001	1011		MX 2	001-	3284			2	0010	329	<
ZA	2001 2001 2002	0026	08		A 2.1		2002	0930		ZA Z	001-	2608 0303	0.0		2	0010	329	<
US	2002	0052	226		A1		2002	0100		US 2						0010		
	2004		236				2004			05 2	003-	3492	09		2	0030	122	<
	2005						2004			US 2	004	0.456	E 0		2	0040	021	_
	7217				B2		2003			00 2	004-	7430.	50			0040	221	\
115	2007	0155	671		7.1		2007			US 2	007_	7030	25		2	0070	208	/
IIS	2007 7491	741	0 / 1		R2		2007			00 2	00/-	,033.	20			0070	200	_
OR T TY	APP	LN.	TNFO		22		2000	V-11		IIS 1	998-	1041	20P		P 1	9981	013	<
01.11.																9990		
																9991		

US 2001-879700 B3 20010612 <--US 2003-349289 A3 20030122 <--US 2004-945650 A3 20040921 <--

OTHER SOURCE(S):

MARPAT 132:294010

AB Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR3NHCO (R3 = H, carboxy, alkyl), CH2CH2CO, 1,2-cyclopropanediylcarbonyl, OCH2CO, CH:CHCHR3, CH2CH2CH(OH), CONHCHR3, or CH2NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkylenethio; Z = H, alkylthio, CO2H, CONH2, 1-adamantyl, diphenylmethyl, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-2pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[(3-hvdroxvphenvl)methvl]amino]carbonvl]phenvl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepared and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepared by the solid-phase method and showed IC50 = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

т

IT 264274-09-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264274-09-1 CAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-

hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[3-[[(5-chloro-2-pyridinyl)amino]carbonyl]pyrazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 43200-83-5

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:479386 CAPLUS
DOCUMENT NUMBER: 127:121881
ORIGINAL REFERENCE NO.: 127:23517a,23520a

TITLE: Preparation of

[(carbamoylheterocyclyl)methyl]phosphonic acid diester

(carbamoyIneterocyc
derivatives as drugs

INVENTOR(S): Miyata, Kazuyoshi; Sakai, Yasuhiro; Shoji, Yasuo; Tsuda, Yoshihiko; Inoue, Yasuhide; Sato, Keigo; Miki,

Shinya

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan SOURCE: PCT Int. Appl., 42 pp.

OURCE: PCT Int. Appl., 42 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9724360 W: AU, CA, C		0710 WO 1996-JP3775	19961224 <
		FI, FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
CA 2241679	A1 1997	0710 CA 1996-2241679	19961224 <
CA 2241679	C 2002	0212	
		0728 AU 1997-11734	19961224 <
AU 702980			
		1209 EP 1996-942639	19961224 <
EP 882730			
	H, DE, DK, ES,	FR, GB, GR, IT, LI, LU, I	NL, SE, MC, PT,
IE, FI			
CN 1206419		0127 CN 1996-199436	19961224 <
CN 1070863	C 2001	0912	
AT 225357			19961224 <
ES 2181928			19961224 <
		0223 JP 1997-524176	
TW 438806	B 2001	0607 TW 1996-85116065	19961226 <
US 5985858	A 1999	1116 US 1998-91946	19980626 <
PRIORITY APPLN. INFO.:			A 19951227 <
		WO 1996-JP3775	W 19961224 <
OTHER SOURCE(S):	MARPAT 127:	121881	

(X =

AB Phosphonic acid diester derivs. represented by general formula R1R2NCO-A-CH2P(:0)(OR3)OR4 [R1 = cycloalkyl, (un)substituted Ph, lower haloalkyl, 1,3,4-thiadiazol-2-yl, thiazolyl, (halo)pyridyl, benzothiazol-2-yl having 1 or 2 lower alkyl group on the Ph ring, 4,5-dihydrothieno[3,2-e]benzothiazol-2-yl; R2 = H, phenyl-lower alkyl; R3, R4 = lower alkyl; A = a heterocycle selected from among pyrazine, thiophene and phenyl-substituted thiazole rings] which are useful as remedies for hyperlipidemia and diabetes, antitumor agents, and preventives or remedies for cataract, are prepared Thus, 5-bromomethyl-2-thiophenecarboxylic acid was heated with tri-Et phosphite at 160° under stirring for 1 h and the reaction mixture was dissolved in 200 mL EtOH, treated dropwise with 4 N aqueous NaOH under ice-cooling, and stirred at room temperature for 12 to give 5-[(diethoxyphosphoryl)methyl]-2-thiophenecarboxylic acid. The latter compound was stirred with SOC12 at room temperature for 4 h to give 5-[(diethoxyphosphoryl)methyl]-2-thiophenecarbonyl chloride which was condensed with 4-methoxyaniline in the presence of pyridine in CH2C12 at room temperature for 12 h to give the title compound (I; X = MeO, X1 = H). I

C1, X1 = COMe) at 100 mg/kg p.o. lowered the serum triglyceride level by 71% in rats administered i.v. with Triton WR1339.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of [(carbamoylheterocyclyl)methyl]phosphonic acid diester derivs. as drugs)

192723-78-7 CAPLUS RN

CN Phosphonic acid, [[5-[(2-pyridinylamino)carbonyl]pyrazinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L13 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:476652 CAPLUS

DOCUMENT NUMBER: 125:142578

ORIGINAL REFERENCE NO.: 125:26685a,26688a TITLE:

Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.

INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka,

Hirokazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P

	TENT I									API	PLICAT	пог	NO.			DATE		
	9613	485			A1			0509				-JP2	192			19951		<
												IT	. LU.	MC.	NL	, PT,	SE	
CA																19951		
																19951		
AU	7058	83			B2		1999	0603										
EP	8071	05			A1		1997	1119		EP	1995-	-935	563			19951	025	<
EP	8071	05			B1		2004	0616										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GE	R, IT,	LI	, LU,	NL,	SE	, PT,	ΙE	
																19951		
										JP	1996-	-514	166			19951	025	<
	3697							0921										
AT	2693	10			T		2004	0715		ΑT	1995-	-935	563			19951	025	<
ES	2218	554			Т3		2004	1116		ES	1995-	-935	563			19951	025	<
US	5994	368			A		1999	1130								19970		
RIORIT	Y APP:	LN.	INFO	. :						GB	1994-	-216	84		A	19941	027	<
										GB	1995-	-123	39		A	19950	616	<
										WO	1995-	-JP2	192		W	19951	025	<
THER S	OURCE	(S):			MARI	PAT	125:	1425	78									

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or AB CR1; X2 = N or CR9; X3 = N or CR2; R1 = alky1; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H. N-protective group), and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepns. given] using EDC and HOBt in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10-6 M.
  - 179621-24-0P 179621-25-1P
    RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

RN 179621-24-0 CAPLUS CN Pyrazinecarboxamide

ΙT

Pyrazinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

\_ Me

RN 179621-25-1 CAPLUS

CN Pyrazinecarboxamide, N-[5-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-2-pyridinyl]-, trihydrochloride, (8)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

●3 HC1

\_ Me

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:163886 CAPLUS DOCUMENT NUMBER: 124:202306 ORIGINAL REFERENCE NO.: 124:37409a,37412a

TITLE:

PR.

Preparation of N-pyridylheterocyclyl(alkane)carboxamides as

antiinflammatories INVENTOR(S):

Robert, Jean-Michel; Rideau, Odile; Robert-Piessard, Sylvie; Courant, Jacqueline; Le Baut, Guillaume; Caignard, Daniel-Henri; Renard, Pierre; Adam, Gerard

PATENT ASSIGNEE(S): Adir et Compagnie, Fr.

SOURCE: Eur. Pat. Appl., 35 pp. CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

EP 684241 B1 19970827	5-401194 19950523 <
	F IT II III NI DT SE
	F TT LT LII NI. DT SF
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, I	
FR 2720396 A1 19951201 FR 199	4-6412 19940527
FR 2720396 B1 19960628	
	5-401194 19950523 <
ES 2107284 T3 19971116 ES 199	5-401194 19950523 <
FI 9502550 A 19951128 FI 199	5-2550 19950524 <
CA 2150162 A1 19951128 CA 199	5-2150162 19950525 <
CA 2150162 C 20020514	
	5-20288 19950525 <
AU 683151 B2 19971030	
US 5712294 A 19980127 US 199	5-450346 19950525 <
NO 9502075 A 19951128 NO 199	5-2075 19950526 <
NO 308359 B1 20000904	
ZA 9504314 A 19960124 ZA 199	5-4314 19950526 <
	5-105512 19950526 <
CN 1053904 C 20000628	
JP 07330764 A 19951219 JP 199	5-130573 19950529 <
JP 3048511 B2 20000605	
	7-827344 19970326 <
RITY APPLN. INFO.: FR 199	4-6412 A 19940527 <
US 199	5-450346 A3 19950525 <

$$\mathbb{R}^2$$
 $\mathbb{R}^3$ 
 $\mathbb{R}^3$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^6$ 
 $\mathbb{R}^6$ 

- AB Title compds. [I, R1,R2 = (di)(alkyl)amino, alkyl, OH, alkoxy, halo; R3,R4 = H, groups cited for R1; R5 = NRC(:x)ZR6; R = H, alkyl; R6 = heterocyclyl, heteroaryl; 1 of Z1,Z2 = NOm and the other = CH; m = 0 or 1] were prepared Thus, thiophene-2-acetic acid was amidated by 2-amino-4,6-dimethylpyridine to give title compound II which gave 70% inhibition of carrageenin-induced rat paw inflammation at 10mm/kg orally.
- IT 160363-91-7P 174454-08-1P 174454-09-2P 174454-10-5P 174454-19-4P 174454-26-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of N-pyridylheterocyclyl(alkane)carboxamides as
- antiinflammatories) RN 160363-91-7 CAPLUS
- CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-2-pyridinyl)-5-methyl- (CA INDEX NAME)

- RN 174454-08-1 CAPLUS
- CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-2-pyridinyl)- (CA INDEX NAME)

- RN 174454-09-2 CAPLUS
- CN 2-Pyrazinecarboxamide, N-(5-bromo-4,6-dimethyl-2-pyridinyl)- (CA INDEX NAME)

RN 174454-10-5 CAPLUS

CN 2-Pyrazinecarboxamide, N-(3,5-dibromo-4,6-dimethyl-2-pyridinyl)- (CA INDEX NAME)

RN 174454-19-4 CAPLUS

CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-1-oxido-2-pyridinyl)- (CA INDEX NAME)

RN 174454-26-3 CAPLUS

CN 2-Pyrazinecarboxamide, N-(4,6-dimethyl-5-nitro-2-pyridinyl)- (CA INDEX NAME)

L13 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:169102 CAPLUS

DOCUMENT NUMBER: 118:169102

ORIGINAL REFERENCE NO.: 118:29009a,29012a

TITLE: Preparation of phenoxymethyl(carbamoyl)arenes as leukotriene B4 antagonists

INVENTOR(S): Nagata, Hideo; Kawakami, Hajime

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE:

GT

Eur. Pat. Appl., 147 pp.

CODEN: EPXXDW

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 516069	A1	19921202	EP 1992-108916	19920527 <
EP 516069	B1	19960424		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, NL, PT,	SE
CA 2069667	A1	19921201	CA 1992-2069667	19920527 <
AU 9217193	A	19930311	AU 1992-17193	19920527 <
AU 643140	B2	19931104		
AT 137223	T	19960515	AT 1992-108916	19920527 <
JP 05239004	A	19930917	JP 1992-164065	19920528 <
US 5225422	A	19930706	US 1992-891256	19920601 <
PRIORITY APPLN. INFO.:			JP 1991-157725	A 19910531 <
OTHER SOURCE(S):	MARPAT	118:169102		

AB Title compds. I (A = alkylene; B, X = (substituted) phenylene, heteroarylene; Y = bond, O; Z = bond, alkylene; R1 = alkyl; R2 = OH, C1-C5 alkoxy; R3, R4 = H, alkyl, alkenyl or alkynyl; R5 = H, C1-C5 alkyl or hydroxyalkyl; R6 = (modified) carboxy; NR5R6 = heteroarom.) were prepared as allergy inhibitors and antiinflammatories (no data). Thus, 6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]pyridine-2-carboxylic acid, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, 1-hydroxybenzotriazole, 2-aminothiazole-4-carboxamide, and triethylamine were stirred in CH2C12/DMF at room temperature for 44 h to give 2-[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]pyridine-2-carboxamid[htmacol-4-vlcarboxamide]

Ι

IT 146460-86-8P 146460-87-9P 146461-18-9P 146461-19-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antiallergic and antiinflammatory agent)

RN 146460-86-8 CAPLUS

CN 2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-2-pyrazinyl]carbonyl]amino]- (CA INDEX NAME)

146460-87-9 CAPLUS

RN

CN 2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-2-pyrazinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 146461-18-9 CAPLUS
- CN 2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-2-pyrazinyl]carbonyl]amino]-, 1-oxide (CA INDEX NAME)

HO O 
$$CH_2$$
 N  $CH_2$   $CO_2H$ 

- RN 146461-19-0 CAPLUS
- CN 2-Pyridineacetic acid, 6-[[[6-[(4-acetyl-2-ethyl-5-hydroxyphenoxy)methyl]-2-pyrazinyl]carbonyl]amino]-, ethyl ester, 1-oxide (CA INDEX NAME)

L13 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:147571 CAPLUS
DOCUMENT NUMBER: 118:147571

ORIGINAL REFERENCE NO.: 118:25387a,25390a

TITLE:

Preparation of N-(2-pyridinesulfonyl)-N'-(2-pyrimidinyl)urea

derivatives as herbicides

INVENTOR(S): Sakashita, Nobuyuki; Nakajima, Toshio; Murai, Shigeo;

Yoshida, Tsunezo; Nakamura, Yuji; Sawaki, Masahiko; Motosawa, Shoichi

Motosawa, Shoich:

PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04253974	A	19920909	JP 1991-100628	19910205 <

MARPAT 118:147571

- AB The title compds. (I; R1 = cycloalkyl, alkoxyalkyl, (un)substituted Ph, pyridyl, thienyl, furyl, pyrazolyl, or piperazinyl; R2 = (halo)alkyl, cycloalkyl, Ph, PhCH2; R3 = H, halo, (halo)alkyl; X, Y = halo, alkyl, (halo) alkoxy; A = CH, N) are prepared by reaction of 2-pyridinesulfonamide derivs. (II; Z1 = NH2, isocyanato, NHCO2R4; R4 = alkyl, aryl; R1 - R3 = same as above) with pyrimidine derivs. (III; Z2 = NH2, when Z1 = isocyanato or NHCO2R4; Z2 = isocyanato or NHCO2R4, when Z1 = NH2). Thus, cyanation of 2,6-dibromopyridine with CuCN in refluxing DMF and hydrolysis of the resulting 2-bromo-6-cyanopyridine with aqueous NaOH followed by acidification gave 6-bromopicolinic acid. Chlorination of the latter compound with POC13 under reflux, condensation of the product with N-tert-butyl-6-methylaminopyridine-2-ylsulfonamide in CH2Cl2 containing Et3N, and deprotection of the resulting 6-bromo-N-(6-tertbutylaminosulfonylpyridin-2-yl)-N-methylpicolinamide to 6-bromo-N-(6-aminosulfonylpyridin-2-yl)-N-methylpicolinamide followed by carbamoylation with Ph 2,4- dimethoxypyrimidin-2-yl carbamate gave I (R1 = 6-bromo-2-pyridyl, R2 = Me, R3 = H, X = Y = OMe, A = CH) (IV). IV at 0.31 g/are postemergence completely controlled Ipomoea and Amaranthus retroflexus. A total of 82 I were prepared and were also effective for controlling Sida spinosa and Echinochloa crus-galli. 146371-95-1P 146371-96-2P
- RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide) RN 146371-95-1 CAPLUS
- 2-Pyrazinecarboxamide, N-[6-[[[[(4,6-dimethoxy-2-CN pyrimidinyl)amino]carbonyl]amino]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 146371-96-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-[6-[[[(4,6-dimethoxy-2pyrimidinyl)amino]carbonyl]amino]sulfonyl]-2-pyridinyl]-N-methyl- (CA INDEX NAME)

ΙT 146372-53-4P 146372-54-5P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for (pyridinesulfonyl)pyrimidinylurea herbicide)

RN 146372-53-4 CAPLUS

CN 2-Pyrazinecarboxamide, N-[6-(aminosulfonyl)-2-pyridinyl]- (CA INDEX NAME)

146372-54-5 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-[6-(aminosulfonyl)-2-pyridinyl]-N-methyl- (CA INDEX NAME)

L13 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1981:156973 CAPLUS

DOCUMENT NUMBER: 94:156973

ORIGINAL REFERENCE NO.: 94:25669a,25672a

TITLE:

Heterocyclic compounds for pharmaceutical compositions INVENTOR(S): Cotrel, Claude; Crisan, Cornel; Jeanmart, Claude;

Messer, Mayer N.

PATENT ASSIGNEE(S): Rhone-Poulenc Industries S. A., Fr.

U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 628,926, SOURCE:

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 4220646	A	19800902	US	1977-790801		19770425	<
FR 2313060	A1	19761231	FR	1974-36963		19741107	
FR 2322600	A1	19770401	FR	1975-27160		19750904	
FR 2322600	B1	19790914					
FR 2322601	A1	19770401	FR	1975-27161		19750904	
FR 2322601	В1	19790914					
FR 2322602	A1	19770401	FR	1975-27162		19750904	
FR 2322602	B1	19790914					
JP 51070776	A	19760618		1975-132198		19751105	
ZA 7506954	A	19761027	ZA	1975-6954		19751105	<
AU 7586331	A	19770512	AU	1975-86331		19751105	<
AU 503200	B2	19790830					
BE 835325	A1	19760506		1975-161652		19751106	<
PL 100434	B1	19781031		1975-184578		19751107	
JP 52033685	A	19770314	JP	1976-1850		19760110	<
JP 61041919	В	19860918					
AT 7704019	A	19771015		1977-4019		19770607	
AT 7704020	A	19771015		1977-4020		19770607	
CS 231958	B2	19850116		1977-5983		19770914	
CS 231959	B2	19850116		1977-5984		19770914	
JP 55040671	A	19800322	JP	1979-105633		19790821	<
JP 59019551	В	19840507					
JP 55051087	A	19800414	JP	1979-105632		19790821	<
JP 60003397	В	19850128					
PRIORITY APPLN. INFO.:				1974-36963	A	19741107	
				1975-27160		19750904	
				1975-27161		19750904	
				1975-27162	A	19750904	
				1975-628926		19751105	
				1974-56963		19741107	
				1975-8486	A	19751107	
			CS	1975-7510	A3	19751107	<
OTHER SOURCE(S):	MARPAT	94:156973					

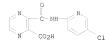
GI

AB The heterocyclic compds. (.apprx.40) I (R1R2 together with the pyrroline ring form an isoindoline, a 2,3,6,7-tetrahydro-5H-1,4-oxathiino[2,3-c]pyrrole, or a 2,3,6,7-tetrahydro-5H-1,4-dithiino[2,3-c]pyrrole; R3 = H, C1-4 alkyl, C2-4 alkenyl, CF3; R4 = chloro-1,8-naphthyridin-2-yl), useful (no data) as tranquilizers, anticonvulsants, muscle relaxants, and hypnotics, were prepared Thus, acetylation of II (R = H) by AcCl gave II (R = Ac). Several pharmaceutical formulations were reported.

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with thionyl chloride) RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)



L13 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:446758 CAPLUS

DOCUMENT NUMBER: 85:46758

ORIGINAL REFERENCE NO.: 85:7607a,7610a

TITLE: Heterocyclic compounds and compositions containing

them INVENTOR(S): Cotrel, Claude; Crisan, Cornel; Jeanmart, Claude;

Messer, Mayer N.
PATENT ASSIGNEE(S): Rhone-Poulenc S. A., Fr.

SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	
DE 2550111	A1	19760513	DE 1975-2550111	
DE 2550111	C2	19830915		
FR 2313060	AI	19761231	FR 1974-36963	19741107
FR 2322600	A1	19770401	FR 1975-27160	19750904
FR 2322600	B1	19790914		
FR 2322601	A1	19770401	FR 1975-27161	19750904
FR 2322601	B1	19790914		
FR 2322602	A1	19770401	FR 1975-27162	19750904
	B1	19790914		
	A	19760511	NL 1975-12732	19751030 <
	В			
	C	19850916		
CA 1057755	A1	19790703	CA 1975-238909	19751103 <
JP 51070776	A	19760618	JP 1975-132198	19751105 <
DD 122684	A5	19761020	DD 1975-189261	19751105 <
ZA 7506954	A	19761027	ZA 1975-6954	19751105 <
AU 7586331	A	19770512	AU 1975-86331	19751105 <
AU 503200	B2 B	19790830		
HU 173108	В	19790228	HU 1975-R0868	19751105 <
IL 48423	A	19790312	IL 1975-48423	19751105 <
BE 835325	A1	19760506	BE 1975-161652 DK 1975-4992	19751106 <
DK 7504992	A	19760508	DK 1975-4992	19751106 <
DK 141098	В	19800114		
DK 141098	C	19800707		
NO 7503713	A	19760510	NO 1975-3713	19751106 <
NO 143576	В	19801201		
NO 143576	C	19810311		
SE 7512477	A	19760510	SE 1975-12477	19751106 <
SE 407063	В	19790312		
SE 407063	С	19790621		
GB 1468497	A	19770330	GB 1975-46103	19751106 <
CH 609057	A5	19790215	CH 1975-14378	19751106 <
SU 673173	A3	19790705	SU 1975-2186208	19751106 <
FI 7503127	A	19760508	FI 1975-3127	19751107 <

	60303	-	10011110					
	60707	В	19811130					
	60707	C	19820310					
	7508486	A	19770915		1975-8486		19751107	
	100434	B1	19781031		1975-184578		19751107	<
PL	101248	B1	19781230		1975-199797		19751107	<
CS	231957	B2	19850116	CS	1975-7510		19751107	<
JP	52033685	A	19770314	JP	1976-1850		19760110	<
JP	61041919	В	19860918					
AT	7704019	A	19771015	AT	1977-4019		19770607	<
AT	7704020	A	19771015	AT	1977-4020		19770607	<
CS	231958	B2	19850116	CS	1977-5983		19770914	<
CS	231959	B2	19850116	CS	1977-5984		19770914	<
	54098790	A	19790803	JP	1978-125257		19781013	
	55008508	В	19800304					
	55040671	A	19800322	JP	1979-105633		19790821	<
	59019551	В	19840507					
	55051087	A	19800414	.TP	1979-105632		19790821	<
	60003397	B	19850128	٠-	13.3 100032		13.30021	
	APPLN. INFO.:	_	13030110	FR	1974-36963	А	19741107	<
11(101(11)					1975-27160	A	19750904	
					1975-27161	A	19750904	
					1975-27162	A	19750904	
					1974-56963	A	19741107	
					1975-8486	A	19751107	
				CS	1975-7510	A3	19751107	<
OTHER SO	DURCE(S):	CASREA	CT 85:46758					

AB Tranquilizing (no data) piperazinocarbonyloxypyrrolones I [RR1 = (CH)4, N:CHCH:N, CH:CHCC1:CH, OCHCHCHS, CSCHCH2; R2 = H, Me, Et, Pr, CHMe2, CH:CH2, cyclopropyl, cyclohexyl, CH2Cl, CF3, 3-pyridyl, CH:CHMe, CMe:CH2, C.tplbond.CH, CH:CMe2, OEt, OCMe31 and some related compds. (39 compds.) were prepared Thus, 2-amino-1,8-naphthyridin-7-ol was treated with phthalic anhydride, the phthalimide chlorinated, reduced, the indolone II (R3 = H) treated with ClCO2Ph, II (R3 = CO2Ph) treated with piperazine, and II (R3 = piperazinocarbonyloxy) treated with CH2:CHCOCl to give I (RR1 = (CH)4, R2 = CH:CH2).

IT 43200-83-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclization of)

2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

L13 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:492284 CAPLUS

DOCUMENT NUMBER: 79:92284

ORIGINAL REFERENCE NO.: 79:14995a,14998a

TITLE: Anticonvulsive and tranquilizing pyrrolopyrazines

INVENTOR(S): Cotrel, Claude; Jeanmart, Claude; Messer, Mayer N.
PATENT ASSIGNEE(S): Rhone-Poulenc S. A.

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PAT	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE	
	2300491	A1	19730719	DE	1973-2300491	19730105	<
DE	2300491	B2	19770908				
FR	2166314		19730817		1972-505	19720107	
	2205318	A2	19740531	FR	1972-39731	19721109	
DD	102698	A5	19731220	DD	1972-167951	19721228	<
	82478	B1	19751031	PL	1972-159840	19721228	
	91759	B1	19770331		1972-174539	19721228	<
	91760		19770331	PL	1972-174540	19721228	<
NL	7217852	A	19730710	NL	1972-17852	19721229	
US	3862149 7300072 164821 7350754	A	19750121	US	1972-319876	19721229	<
ZA	7300072	A	19730926	ZA	1973-72 1973-R0691	19730104	<
HU	164821	В	19740411	HU	1973-R0691	19730104	<
AU	7350754	A	19740704	ΑU	1973-50754	19730104	<
BE	793730	A1	19730705	BE	1973-126194	19730105	<
	48076892		19731016	JP	1973-69	19730105	<
		В	19770131				
GB	1358680	A	19740703	GB	1973-796	19730105	<
	560702		19750415			19730105	
	560703	A5	19750415	CH		19730105	
AT	323181	В	19750625	ΑT		19730105	<
	564558	A5	19750731			19730105	
	991183	A1	19760615			19730105	
	548212		19770225			19730105	
	136843	В	19770808	NO	1973-62	19730105	
CS		B1	19770831	CS		19730105	<
	180650	B2	19770831	CS	1976-4996	19730105	<
	398503	В	19771227	SE	1973-159	19730105	<
SE	398503	C	19780406				
	180610		19780131	CS	1973-122 1973-27	19730105	
	54124		19780630	FI	1973-27	19730105	<
	54124	C	19781010				
DK	139359	В	19790205	DK	1973-69	19730105	<

DK	139359	C	19790709				
SU	507240	A3	19760315	SU	1974-1993903		19740206 <
SU	504484	A3	19760225	SU	1974-1995434		19740213 <
JP	52048687	A	19770418	JP	1976-106831		19760908 <
JP	52031358	В	19770813				
JP	52048688	A	19770418	JP	1976-106832		19760908 <
PRIORIT	Y APPLN. INFO.:			FR	1972-505	Α	19720107 <
				FR	1972-39731	Α	19721109 <

GI For diagram(s), see printed CA Issue.

Five pyrrolopyrazines (I; R = 3-02NC6H4, 5-chloro-2-pyridyl, 6-methyl-3-pyridazinyl, or 7-chloro-2-quinolyl; n = 0 or 1), useful as tranquilizers and anticonvulsants, were prepared by reaction of II with YCl or successively with CLCO2Ph and 1-methylpiperazine, optionally followed by oxidation II were prepared by reaction of RMH2 with 2,3-pyrazinedicarboxylic anhydride, followed by ring closure, and KBH4 reduction of the resulting 5,7-dioxopyrrolopyrazine derivs.

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 43200-83-5 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]- (CA INDEX NAME)

L13 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1972:434570 CAPLUS

DOCUMENT NUMBER: 77:34570

ORIGINAL REFERENCE NO.: 77:5763a,5766a

TITLE: Pyrazinamide derivatives as diuretics and natriuretics INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenneth L.

INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenr PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: Fr. Demande, 54 pp.

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	FR 2034542		19710108			<
PRIO	RITY APPLN. INFO.:			US	19690212	<
2.T	For diagram(e) eac	nrinte	CA Teeno			

Refluxing a mixture of I (R1 = Me, R2 = R3 = H, R4 = C1), 5% aqueous NaOH, and iso-PrOH for 1 hr gave the carboxylic acid I (R1 = R2 = R3 = H, R4 = C1) (II). A mixture of CH.tplbond.CCH2NH2, Me 3-amino-5,6-dichloropyrazinoate, and Me2SO when stirred for 1 hr gave I (R1 = Me, R2 = H, R3 = R). CH.tplbond.CCH2, R4 = C1) which on hydrolysis gave the corresponding carboxylic acid, R1 = H. Using similar methods, 2 II were prepared in which R1 = H, R2 = H, Me, allyl, cyclopentyl, Me2NCH2CH2, 2-furylmethyl, Me0, NH2, etc., R3 = H or Me, R4 = C1, Br, or iodo. To a solution of II, EtN, and Me2NCHO was added N-tert-butyl-5-methylisoxazolium perchlorate (III) and the mixture stirred 2 hr to give IV (R2 = R3 = H, R4 = C1, R5 = Me, R6 =

Me3C) (V). Nineteen IV were similarly prepared in which R2 = H, allyl, propargyl, cyclopentyl, hydroxyalkyl, benzyl, furylmethyl, phenyl, substituted phenyl, MeO, NH2, Me, or Et; R3 = H or Me; R4 = C1, Br, or iodo; R5 = Me or Ph; R6 = Et, CMe3, or Me. Refluxing a mixture of 1-aminopyrrolidine and V for 2 hr gave VI (R2 = R3 = H, R4 = C1, R1 = pyrrolidino) as a high m.p. solid. Twenty-two VI were similarly prepared in which R2, R3, and R4 were as in V and R1 was a group such as MePrN(CH2)2, MeOCH2CH2, benzyl, Me2NCH2CH2, pyrrolidinoethyl, and 1-methyl-4-piperazinoethyl. VI (R2 = R3 = H, R4 = C1, R1 = 2-pyridylamino) was prepared by refluxing a mixture of 2-hydrazinopyridine (VII) and MeCN. Reacting III, 3,5-diamino-6-chloropyrazinoic acid (VIII) with Et3N in Me2NCHO, then addition of 2-hydrazinopyrimidine in DMF and further heating gave VI (R2 = R3 = H, R4 = C1, R1 = 2-pyrimidinylamino). In THF, under similar conditions were prepared a further 14 amides and hydrazines VI including VI (R2 = R3 = H, R4 = C1, R1 = 4H-1,2,4-triazolyl). Stirring a mixture of benzamidine and VII in H2O for 2 hr gave IX. Five analogs were prepared using other amidines. In a similar manner using quanidine in place of benzamidine was prepared X (R = H) (XI) giving a crystalline hydrochloride. XI could also be prepared directly from

VIII

without isolation of intermediates. By similar methods were prepared X (R = OH, CH2Ph) and 39 analogs of X in which the NH2 adjacent to the Cl could also be substituted. With aminoquanidine and 2-hydrazino-2-imidazoline were prepared X (R = NH2 and 2-aminoimidazoline). A mixture of CNNH2 and Na in iso-PrOH was refluxed for 0.5 hr and then heated with N-tert-buty1-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)crotonamide to give N-cyano-3,5-diamino-6-chloropyrazinecar-boxamide. Refluxing N-tert-butv1-3-methv1-3-(3,5-diamino-6chloropyrazinylcarbonyloxy)acrylamide (XII) and benzyloxydiguanide in THF gave XIII (R = H, R1 = CH2Ph). Twelve XI in which R was H and R1 1-6C alkyl, or R was a substituent such as cyclopentyl, PhCH2, and furylmethyl, and R1 was substituted benzyl were prepared Refluxing a mixture of 2-amino-2-thiazoline, XII, and THF gave N-(2-thiazolin-2-yl)-3,5-diamino-6-chloropyrazinecarboxamide (XIV, R = R1 = R2 = R3 = H). Three analogs were prepared in which R was cyclopentyl, benzyl and HO(CH2)2, the other substituents being H, Me, or C6H13. XIV where RNH was pyrrolidino was also prepared The 4- and 2-pyridyl groups and 2-pyrimidinyl could be substituted for the thiazoline. Reaction of V with sulfamide and Et3N in MeCN at room-temperature gave XV (R = R1 = R2 = H, X = C1). Eighteen XV were similarly prepared Properties are also given for a further 19 amides XVI. containing a wide variety of substituents. The products are useful in treatment of hypertension and related conditions by causing diuresis without elimination of potassium. Daily doses are 5 mg-1

IT 37804-11-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 37804-11-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-5-(dimethylamino)-N-2-pyridinyl-(CA INDEX NAME)

ACCESSION NUMBER: 1971:420438 CAPLUS

DOCUMENT NUMBER: 75:20438 ORIGINAL REFERENCE NO.: 75:3278h,3279a

TITLE: N-substituted 3,5-diamino-6-halopyrazinamides

INVENTOR(S): Shepard, Kenneth L.; Cragoe, Edward J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: U.S., 10 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 3573306	A	19710330	US 1969-804663		19690305
NL 7001141	A	19700908	NL 1970-1141		19700127 <
BE 746816	A	19700904	BE 1970-746816		19700304 <
PRIORITY APPLN. INFO.:			HS 1969-804663	A	19690305 <

A 19690305 <-ABB Addition of diphenylcarbamoyl chloride to 3,5-diamino-6-chloropyrazinoic acid
and Et3N in HCONMe2 gave 3,5-diamino-6-chloropyrazinecarboxylic

diphenylcarbamic anhydride (I). Refluxing Na in iso-PrOH with quanidine-HCl and addition of I gave 1-(3,5-diamino-6-

chloropyrazinoyl)quanidine. Similarly prepared were

1,1,3,3-tetramethyl-2-(3,5-diamino-6-chloropyrazinoyl)guanidine,

1-(3,5-diamino-6-chloropyrazinoyl)-3-cyanoguanidine,

N-methyl-N-(cyanomethyl)-3,5-diamino-6-chloropyrazinecarboxamide, N-(2,2-diethoxyethyl)-3,5-diamino-6-chloropyrazinecarboxamide, N-(2-morpholinoethyl)-3,5-diamino-6-chloropyrazinecarboxamide,

N-(4-pyridylmethyl)-3,5-diamino-6-chloropyrazinecarboxamide,

N-(2-pyridyl)-3,5-diamino-6-chloropyrazinecarboxamide,

3,5-diamino-6-chloropyrazinecarboxylic acid 1,2-dimethylhydrazide,

3,5-diamino-6-chloropyrazinecarboxylic acid 1-methyl-2-benzylidenehydrazide, and

N-(3,5-diamino-6-chloropyrazinoy1) morpholine. These compds. had diuretic activity at 10-100 mg.

IT 33249-56-8P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 33249-56-8 CAPLUS

RN 33249-56-8 CAPLUS CN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-2-pyridinyl- (CA INDEX NAME)

L13 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1963:53333 CAPLUS
DOCUMENT NUMBER: 58:53333

ORIGINAL REFERENCE NO.: 58:9094g-h,9095a-q

TITLE: 3,5-Diaminopyrazine-2,6-dicarboxamides

INVENTOR(S): Daglish, Anthony F.; Vonderwahl, R.; Tillotson, G. A.

PATENT ASSIGNEE(S): J. R. Geigy A.-G. SOURCE: 8 pp.

SOURCE: DOCUMENT TYPE:

Patent

LANGUAGE: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 1087609		19600825	DE 1958-G24632	19580528 <
	CH 358807			CH	
	CH 358808			CH	
	US 3043780		19620710	US 1958-737215	19580523
	US 3175980		19650330	US 1961-179263	19611116
	US 3201315		19650817	US 1962-168868	19620115
C	RITY APPLN. INFO.:			CH	19570529 <

PRIO GI

For diagram(s), see printed CA Issue. 1,3-Diethyl-4-amino-5-nitrosouracil (I) 212 and 1,3-diethyl-4-aminouracil 183 in AcOH 750 refluxed 3 h. with stirring, cooled, and filtered yielded 3,2;5,6-bis[(1,3-diethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino] pyrazine 320 parts (II), m. 235.5-36° (75% AcOH). II 10, EtOH 200 parts, and N NaOH 300 volume parts. refluxed 2.5 h., cooled, and filtered gave 3,5-bis(ethylamino)pyrazine-2,6-bis(N-ethylcarboxamide) 7.5 parts, m.  $133-4^{\circ}$  (EtOH). In the same manner as II were prepared the following IV (R1, R2, R3, R4 and m.p. given): Pr, Pr, Pr, Pr, 150-1°; Bu, Bu, Bu, Bu (V), 115-16°; Me, Me, Me, Me (VI), 390°. Saponification of IV gave the corresponding VII (R1, R2, R3, R4, and m.p. given): Pr. Pr. Pr, Pr, 96-7°; Bu, Bu, Bu, Bu, 89-91°; Me, Me, Me, Me (VIIa), 232-3°. I 42 and 1,3-dipropyl-4-aminouracil 42 in AcOH 150 refluxed 3 h. with stirring, cooled, diluted with H2O, and filtered gave IV (R1 = R2 = Et, R3 = R4= Pr) 70 parts, m.  $150-1^{\circ}$  (EtOH); a portion 10 saponified in the usual manner gave VII (R1 = R2 = Et, R3 = R4 = Pr) 7.2 parts, m. 91-2°. In the same manner were prepared IV (R1 = R2 = Me, R3 = R4 = Pr), m. 169-9.5°, and IV(R1 = R2 = Me, R3 = R4 = Et) (VIII), m.  $253-4^{\circ}$ , and saponified to VII (R1 = R2 = Me, R3= R4 = Pr), m.  $136-7^{\circ}$  and VII (R1 = R2 = Me, R3 = R4 = Pr), m.  $169-70^{\circ}$ , resp. 1,3-Dimethyl-4-aminouracil (IX) 31 and 5-NO derivative 40 of IX in AcOH 200 refluxed 3 h. gave VI 51 parts, m. 390° (75% EtOH). VI 51 and a solution 152 of KOH 200 in EtOH 2400 refluxed 6 h. yielded VIIa.0.5H2O 117 parts, m. 214° (decomposition). VIIa.0.5H2O 20 and SOC12 150 kept 45 min. at room temperature and evaporated, the residue added slowly with cooling

PhNH2 10 and dry C5H5N 400 parts, stirred overnight, steam distilled to remove the C5H5N, and filtered vielded X (R1 = R2 = R3 = Me, R4 = NHPh), light vellow crystals, m. 198-8.5° (EtOH). Similarly were prepared the following X with R1 = R2 = R3 = Me) (R4, m.p., and color of fluorescence given): NH2, 290-2°, violet blue; NHCH2CH2OH, 210-10.5°, violet-blue; NHPr, 218-19°, violet-blue; NHEt, 197-8.5°, violet-blue; NHCH2Ph, 218.5-20°, blue-violet; NHCH2CH2Ph, 76-8°, blue-violet; m-NHC6H4-OMe, 126.5-27°, blue; NHBu, 194-6°, violet-blue; p-NHC6H4OPh, 252-4°, blue; NHCH2CH: CH2, 194-5.5°, violet-blue; NHC8H17, 121-21.5°, violet-blue; PhNH, 237-8°, blue-violet; NMe2, 128-9°, violet; NHCHEtMe, 188-90°, violet-blue; 2-pyridylamino, 223-4°, blue-violet; NHCMe3, 204-5°, violet-blue; p-NHC6H4Me, 211-12.5°, blue-violet; o-NHC6H4Me, 194-5°, blue-violet; m-NHC6H4Me, 172-3°, blue-violet; p-C1C6H4NH, 261-2.5°, blue-violet; m-ClC6H4NH, 185-7°, blue-violet; 3,4-Cl2C6H3NH, 216-17°, violet-blue; m-HO2CC6H4NH, 268-70°; m-HO3SC6H4NH, -, violet-blue; p-HO3SC6H4NH, -, violet-blue; m-(p-MeC6NH4SO2NH)C6H4NH, 226-7° violet-blue; m-H2NO2SC6H4NH, 234-6°, violet-blue; morpholino, 155-6°, violet-blue; NHCHMe2, 175-7°, violet-blue; NH(CH2)30H, 147-9°, violet blue; 3-pyridylamino, 209-11°, blue-violet; 3,4-dimethyl-1-phenylpyrazolylamino, 267-9°, blue-violet; 2-thiazolylamino, 262-3°, blue-violet; 1-phenyl-3-pyrazolylamino,

to

AB

236-8°, blue-violet; 6-quinolylamino, 232-4°, blue-violet; NHCONHPh, 233-4°, blue; NHCONHCH2Ph, 190-1°, violet-blue; NHCONHPh, 215-17°, violet-blue. Similarly were prepared the following XII (Rl, R2, R3, and m.p. given): PhCH2, PhCH2, PhCH2, 161-2°; Et, Et, Et (XIII), 174-5°. XIII was converted in the usual manner to the anilide, m. 146.5-7.5°, and to the N-(2-pyridyl)amide, m. 108-9°. VIII 57, KOH 45, and EtCH 500 refluxed 6 h. and evaporated, and the residue acidified with dilute HCl gave

(R1 = R2 = Et, R3 = Me) (XIV) 43 parts, m 160-2°. XIV 20 treated 45 min. with SOC12 100 and evaporated, and the residue stirred overnight with concentrated NH4OH 300 and EtOH 100 and filtered gave amide of XIV 16 parts, m. 223-4° (EtOH). Similarly were prepared the N-Et, N-Pr, and N-PhCIE amides, m. 162-4°, 84-6°, and 87-9°, resp., of XIV.

VI 10 and PhCH2NH2 300 refluxed 24 h., cooled, diluted with H2O, and filtered yielded 3,2-[(1,3-dimethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino]-5-methylamino-6 - (Ar. benzylcarboxamido)pyrazine 9 parts, m. 204-5° (EtOH). 1,3-Dibutyl-4-aminouracil (XV) 48 and 5-NO derivative 54 of XV in 2N H2SO4 300 refluxed 3 h. with stirring, cooled, and filtered, and the residue in EtOH 1200 refluxed 2 h. with N NAHCO3 1800 and filtered gave V 66 parts, needles, m. 115-16° (EtOH).

IT 94804-12-3P, 2,6-Pyrazinedicarboxamide, N-ethyl-3,5-bis(ethylamino)-N'-2-pyridyl-

RL: PREP (Preparation) (preparation of)

RN 94804-12-3 CAPLUS
CN 2,6-Pyrazinedicarboxamide, N2-ethyl-3,5-bis(ethylamino)-N6-2-pyridinyl(CA INDEX NAME)

=> fil stnquide		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	116.04	682.88
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-16.40	-16.4

FILE 'STNGUIDE' ENTERED AT 15:46:34 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Feb 20, 2009 (20090220/UP).

=> => FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION
FULL ESTIMATED COST

1.82 684.70

SINCE FILE TOTAL. ENTRY SESSION 0.00

-16.40

-16.40

CA SUBSCRIBER PRICE

FILE 'STNGUIDE' ENTERED AT 16:02:11 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Feb 20, 2009 (20090220/UP).

=> fil reg COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 1.26	TOTAL SESSION 685.96		
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL		

CA SUBSCRIBER PRICE 0.00 FILE 'REGISTRY' ENTERED AT 16:12:46 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\STNEXP\Oueries\10589407phenvlnarrow.str

chain nodes : 7 8 9 17 ring nodes : 1 2 3 4 5 6 10 11 12 13 14 15 chain bonds : 2-8 7-8 7-10 7-17 8-9

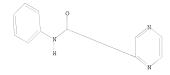
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15 exact/norm bonds:
7-8 7-10 8-9 exact bonds:
2-8 7-17 normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15 isolated ring systems:
containing 1: 10:

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS

### L14 STRUCTURE UPLOADED

=> d 114 L14 HAS NO ANSWERS L14 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 114 sss sam
SAMPLE SEARCH INITIATED 16:14:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 598 TO ITERATE

100.0% PROCESSED 598 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 10493 TO 13427
PROJECTED ANSWERS: 2530 TO 4070

L15 50 SEA SSS SAM L14

=> s 114 sss full FULL SEARCH INITIATED 16:15:01 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 12386 TO ITERATE

100.0% PROCESSED 12386 ITERATIONS SEARCH TIME: 00.00.01 3109 ANSWERS

50 ANSWERS

=> d scan

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C13 H9 C12 N3 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-ethoxyphenoxy)phenyl]-

MF C19 H18 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-

thiazin-4-yl]-4-fluorophenyl]-5-(3,5-dimethyl-4-isoxazolyl)-

F C21 H21 F N6 O2 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

- L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]phenyl]-5-chloro-
- MF C16 H16 C1 N5 O S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-[[(2-methoxyphenyl)amino]sulfonyl]-4-
- methylphenyl]-5-methyl-MF C20 H20 N4 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5benzoylpheny1]-
- MF C23 H24 N6 O2

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-methylethyl)phenyl]-

MF C14 H16 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-(acetylamino)-2-fluorophenyl]-

MF C13 H11 F N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[5-[[4-(4-cyanophenyl)-1-piperidinyl]carbonyl]-2-methylphenyl]-5-methyl-

MF C26 H25 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[4-[(2,4-dimethylphenyl)thio]phenyl]-

MF C19 H17 N3 O S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[4-[(6-phenyl-4-pyrimidinyl)amino]phenyl]-

MF C21 H16 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-[2-(1,3-dimethylbutyl)phenyl]-3-(trifluoromethyl)-

MF C18 H20 F3 N3 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-(2-benzothiazoly1)-N-(3,5-dimethylphenyl)-

MF C20 H16 N4 O S

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-chloro-N-[2-(2,2-difluoro-1,3-benzodioxol-5-

yl)phenyl]-

MF C18 H10 C1 F2 N3 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-chloro-N-[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]-

MF C18 H11 C1 F3 N3 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, N-(2,4-difluorophenyl)-3-[[3-fluoro-4-(1H-

pyrrolo[2,3-b]pyridin-4-yloxy)phenyl]amino]-

MF C24 H15 F3 N6 O2

CI COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

1N 2-Pyrazinecarboxamide, 3-[(d-chlorobenzoyl)amino]-N-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]
MF C27 H31 C1 N6 03 Si

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, N-[4-[[(3,4-dihydro-2H-pyrrol-5-

yl)amino[sulfonyl]phenyl]-

MF C15 H15 N5 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

TN 2-Pyrazinecarboxamide, 3-methyl-N-[3-(2-methylpropyl)-4-[1,1,2-trifluoro-2-(trifluoromethoxy)ethoxy]phenyl]-

MF C19 H19 F6 N3 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

TN 2-Pyrazinecarboxylic acid, 3-[[[3-(methylthio)phenyl]amino]carbonyl]-MF

C13 H11 N3 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L16 3109 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d his

(FILE 'HOME' ENTERED AT 15:35:13 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 15:35:28 ON 25 FEB 2009

1.1 STRUCTURE UPLOADED

L2 50 S L1 SSS SAM L3 3685 S L1 SSS FULL

STRUCTURE UPLOADED L4

L5 50 S L4 SSS SAM L6 3230 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:40:44 ON 25 FEB 2009

L7 187 S L6 AND (PRY<2005)

FILE 'REGISTRY' ENTERED AT 15:41:20 ON 25 FEB 2009

FILE 'REGISTRY' ENTERED AT 15:42:35 ON 25 FEB 2009

L8 STRUCTURE UPLOADED L9 STRUCTURE UPLOADED

L10 11 S L9 SSS SAM L11 178 S L9 SSS FULL

> FILE 'CAPLUS' ENTERED AT 15:45:31 ON 25 FEB 2009 50 S L11

L12 L13 20 S L12 AND (PRY<2005)

FILE 'STNGUIDE' ENTERED AT 15:46:34 ON 25 FEB 2009

FILE 'STNGUIDE' ENTERED AT 16:02:11 ON 25 FEB 2009

FILE 'REGISTRY' ENTERED AT 16:12:46 ON 25 FEB 2009

L14 STRUCTURE UPLOADED

L15 50 S L14 SSS SAM

L16 3109 S L14 SSS FULL

=> fil cap COST IN U.S. DOLLARS

SINCE FILE TOTAL. ENTRY SESSION 873.76 FULL ESTIMATED COST 187.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION 0.00 -16.40

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 16:15:33 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9 FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 116 and (pry<2005) 345 L16 4600131 PRY<2005

173 L16 AND (PRY<2005) => d 1-20,160-173 ibib abs hitstr

L17 ANSWER 1 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1042502 CAPLUS

DOCUMENT NUMBER: 149:307845

TITLE: Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various

diseases

Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, INVENTOR(S): Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;

Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D., Jr.; Caldwell, John; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo, Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.;

Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jinggi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 702 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

PA	TENT :	NO.			KIN	D	DATE				ICAT				D.	ATE	
WO	2008	1033	51		A2	_	2008	0828							2	0080	220
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw			
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM							
US	2008	0200	445		A1		2008	0821		US 2	007-	7105	82		2	0070	223 <
RIORIT	Y APP	LN.	INFO	. :						US 2	007-	7105	82		A 2	0070	223
										US 2	003-	5295	35P		P 2	0031	215 <
										US 2	004-	1077	2		A2 2	0041	213 <
										US 2	005-	1490	27		A2 2	0050	609
THER S	OURCE	(S):			MAR	PAT	149:	3078	45								

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or CR67; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-mine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in

ΙI

III

particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting Human Immunodeficiency Virus, plasmepsin, cathepsin D, and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

887911-28-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic aspartyl protease inhibitors for treating various diseases)

887911-28-6 CAPLUS RN

2-Pyrazinecarboxamide, N-[3-(2-amino-4,5-dihydro-1-methyl-5-oxo-4-phenyl-CN 1H-imidazol-4-yl)phenyl]- (CA INDEX NAME)

L17 ANSWER 2 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1011066 CAPLUS

DOCUMENT NUMBER: 149:307842

TITLE:

Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various

diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey O.; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D.; Caldwell, John P.; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Guo, Tao; Le, Thuy X. E.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang; Tadesse, Dawit; Huang, Ying; Li, Guoging; Pan,

Jianping; Misiaszek, Jeffrey A.; Lai, Gaifa; Duo, Jinggi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug

Discovery, Inc. U.S. Pat. Appl. Publ., 1209pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 149,027. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: Enalish

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080200445	A1	20080821	US 2007-710582	20070223 <
US 20070072852	A1	20070329	US 2004-10772	20041213 <
US 20060111370	A1	20060525	US 2005-149027	20050609 <

```
AU 2005317204
                       A1
                              20060622 AU 2005-317204
                                                                 20050609 <--
                                          CA 2005-2591033
                                                                 20050609 <--
    CA 2591033
                        A1
                               20060622
                                          WO 2005-US20446
    WO 2006065277
                         A2
                               20060622
                                                                  20050609 <--
    WO 2006065277
                               20070125
                         A3
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
            LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
            NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
            SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
            ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
            CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
            KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM
    EP 1838304
                               20071003 EP 2005-766007
                         A2
                                                                 20050609 <--
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
            HR, LV, MK, YU
                         Т
                                           JP 2007-545436
    JP 2008523059
                               20080703
                                                                  20050609 <--
    IN 2007CN02535
                         Α
                               20070907
                                           IN 2007-CN2535
                                                                  20070613 <--
                                           KR 2007-713310
    KR 2007106689
                         Α
                               20071105
                                                                 20070613 <--
    MX 2007007058
                         Α
                               20071211
                                         MX 2007-7058
                                                                 20070613 <--
                                         NO 2007-3616
    NO 2007003616
                         Α
                               20070912
                                                                 20070712 <--
                        A
                               20080130
                                          CN 2005-80047939
                                                                  20070809 <--
    CN 101115482
                                          WO 2008-US2182
    WO 2008103351
                         A2
                              20080828
                                                                 20080220
        W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
            TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
            IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
            TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
            TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
    JP 2008174570 A 20080731
                                           JP 2008-79293
                                                                  20080325 <--
PRIORITY APPLN. INFO.:
                                                             P 20031215 <--
                                           US 2003-529535P
                                           US 2004-10772
                                                              A2 20041213 <--
                                           US 2005-149027
                                                              A2 20050609
                                           JP 2006-544081
                                                              A3 20041213 <--
                                           WO 2005-US20446
                                                             W 20050609
```

US 2007-710582 A 20070223

- Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 orAB CR6R7; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartvl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist.
  - T 887911-28-6P RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (preparation of heterocyclic aspartyl protease inhibitors for treating various diseases)
- RN 887911-28-6 CAPLUS
- CN 2-Pyrazinecarboxamide, N-[3-(2-amino-4,5-dihydro-1-methyl-5-oxo-4-phenyl-1H-imidazol-4-yl)phenyl]- (CA INDEX NAME)

ACCESSION NUMBER: DOCUMENT NUMBER:

2006:982164 CAPLUS

145:356811

TITLE: INVENTOR(S): Preparation of fused heterocyclic kinase inhibitors Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.; Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai, Zhen-Wei

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE:

U.S. Pat. Appl. Publ., 141 pp., Cont.-in-part of U.S. Ser. No. 167,043.

CODEN: USXXCO DOCUMENT TYPE: Patent LANGUAGE . English

PWMGOW	JE :			
FAMILY	ACC.	NUM.	COUNT:	
PATENT	TNFO	RMATT	NI +	

PA.	PATENT NO.					D	DATE				ICAT					ATE		
US	2006	0211	695		A1		2006	0921			005-							<
US	7439	246			B2		2008	1021										
US	7439 2005 2005	0288	290		A1		2005	1229		US 2	005-	1670	43		2	0050	624	<
AU	2005	2598	94		A1		2006	0112										
	2005		56				2006	0112		AU 2	005-	2600	20050628 <					
	2571				A1		2006 2007	0112		CA 2	005-	2571	680		2	0050	628	<
EP	1761				A2													
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,		LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR,	LV,	
EP	1768				A2		2007	0404		EP 2	005-	7642	91		2	0050	628	<
			BE.	BG.			CZ,											
	• • •						MC,											
		MK,		,	21,	20,	1107	,	,	/	,	02,	0-7	0117	,	,	,	
EP	1771				A2		2007	0411		EP 2	005-	7902	29		2	0050	628	<
			BE.	BG.			CZ,											
							MC.											
		MK.		,	,		,	,	,	,	,	~=,	,	J.,	,	,	_ ,	
CN	1993				Α		2007	0704		CN 2	005-	8002	5519		2	0050	628	<
CN	1993 1010	0584	3		A		2007	0725		CN 2	005-	8002	7728		2	0050	628	<
CN	1010	2730	5		A		2007	0829		CN 2	005-	8002	7173		2	0050	628	<
JP	2008	5043	66		т		2008				007-							
JP	2008 2008	5043	67		T		2008				007-				2	0050 0050	628	<
	2008		68		т		2008			JP 2	007-	5194	16		2	0050	628	<
	2005						2008			BR 2	005-	1272	2		2	0050	628	<
	2006				A		2007			TN 2	005- 006- 006-	DN 75	97		2	0061	215	<
	2006				A		2007			TN 2	006-	DN 76	0.2		2	0061	215	<
	2006				A		2007			MX 2	006-	1503	2		2	0061	219	¿
	2006				A		2007			MY 2	006-	1519	2		2	0061	220	¿
	2006				A		2007			TN 2	006-	DN77	59		2	0061	220	<
	2007				A		2007			KP 2	006-	7273	76			0061		
	2007						2007			KR 2	006-	7273	70		2	0061	227	¿
	2007		53		A		2007			MO 2	007-	453				0070	124	2
	2007						2007				007-							
	2007						2007			NO 2	007	514			2	0070	126	2
	Y APP				11		2007	0312		HC 2	007-	5934	500		D 2	0070	628	2
J. (1 1 .			1111	• •						HS 2	004-	6125	83D		P 2	0040	923	
											005-					0050		
										***	005				** 0	0050		
										TriO 2	005-	11022	002		w 2	0050	620	
											005-							
	ounon									WU Z	-000	0523	120		vi Z	0030	020	

$$\begin{bmatrix} \mathbb{R}^2 \\ \mathbb{n} \end{bmatrix} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{N}}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^4}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{R}^3}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\underset{\mathbb{N}}{\bigvee}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\overset{\mathbb{N}^4}{\underset{\mathbb{N}}{\bigvee}}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\overset{\mathbb{N}^4}}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\overset{\mathbb{N}^4}}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\overset{\mathbb{N}^4}}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\overset{\mathbb{N}^4}}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}{\overset{\mathbb{N}^4}}} \overset{\mathbb{N}^4}{\underset{\mathbb{N}^4}} \overset{\mathbb{N}^4}} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4}} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4}} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4}} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4}} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4} \overset{\mathbb{N}^4}} \overset{\mathbb{N}^4} \overset{\mathbb{N}^$$

The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = AB C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14) mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-y1, pyrrolo[1,2-b]pyridazin-4-y1, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 µM. Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed.

ΙI

III

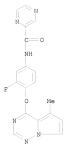
IT 888717-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888717-17-7 CAPLUS CN 2-Pvrazinecarboxami

2-Pyrazinecarboxamide, N-[3-fluoro-4-[(5-methylpyrrolo[2,1-f][1,2,4]triazin-4-yl)oxy]phenyl]- (CA INDEX NAME)



L17 ANSWER 4 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2006:710810 CAPLUS 145:159773

TITLE:

Benzimidazole derivative transcription factor-modulating compounds for use as antiinfective

INVENTOR(S):

DOCUMENT TYPE:

agents Alekshun, Michael N.; Amoo, Victor; Kim, Oak K.;

Verma, Atul K.

PATENT ASSIGNEE(S): Paratek Pharmaceuticals, Inc., USA

PCT Int. Appl., 405 pp.

SOURCE:

CODEN: PIXXD2 Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.									APPL				DATE				
WO	2006	0760	09		A2										20050425 <			
WO	2006	0760	09		A3		2007	1227										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
		NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	
		SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	
		ZM,	ZW															
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,	
		KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,	
		KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA								
AU	2005	3244	92		A1		2006	0720		AU 2	005-	3244	92		2	0050	425 <	
CA	2562	763			A1		2006	0720		CA 2	005-	2562	763		2	0050	425 <	<
US	2006	0160	799		A1		2006	0720		US 2	005-	1150	24		2	0050	425 <	<
EP	1742	637			A2		2007	0117		EP 2	005-	8566	51		2	0050	425 <	<
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
							MC,											

HR, LV, MK, YU JP 2007-509742 JP 2008504233 20080214 PRIORITY APPLN. INFO .: US 2004-565047P P 20040423 <--

US 2004-569032P P 20040507 <--US 2004-623251P P 20041028 <--WO 2005-US14345 W 20050425

20050425 <--

OTHER SOURCE(S): MARPAT 145:159773

The invention provides substituted benzimidazole compds. useful as

antiinfectives that decrease resistance, virulence, or growth of microbes. Also provided are methods for making and using the substituted benzimidazole compds., as well as pharmaceutical prepns, for e.g. reducing

antibiotic resistance and inhibiting biofilms.

ΙT 900142-29-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzimidazole derivative transcription factor-modulating compds. for use as antiinfective agents)

RM 900142-29-2 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-(1-hydroxy-6-nitro-1H-benzimidazol-2yl)phenyl]- (CA INDEX NAME)

L17 ANSWER 5 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:656503 CAPLUS

DOCUMENT NUMBER: 145:124568

TITLE: Preparation of benzimidazole derivatives for treatment

of prostatic hypertrophy

INVENTOR(S): Haruno, Akihiro; Miyoshi, Kazuhisa; Oda, Nobuyuki;

Hagiwara, Yuichi; Yamashita, Tomohiro; Konno, Yasuo;

Kazuno, Hideki

PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
						-											
WC	2006	0708	06		A1		2006	0706		WO 2	005-	JP23	906		2	0051	227 <
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	ΚĠ,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO .: JP 2004-381951 A 20041228 <--

OTHER SOURCE(S):

MARPAT 145:124568

Т

JP 2005-69693 A 20050311

- 5-[(Pvridin-5-vlcarbonvl)amino]-1H-benzimidazole compds, represented by the general formula [I; wherein R1 and R2 each represents H. (un) substituted C1-6 alkyl, or (un) substituted C3-7 cycloalkyl, provided that R1 and R2 may form, in cooperation with the adjacent nitrogen atom, a 4- to 8-membered (un) substituted heterocycle optionally having N or O besides that nitrogen atom in the ring structure; and R3 represents a 5or 6-membered monocyclic unsatd. heterocyclic group having, in the ring structure, one to three heteroatoms selected among N, O, and S, benzofuryl, dihydrobenzofuryl, methylenedioxyphenyl (these groups are (un) substituted) ] or pharmaceutically acceptable salts thereof are prepared These compds. or salts thereof are useful in the prevention or treatment of diseases attributable to abnormal proliferation of prostatic interstitial cells, in particular, prostatic hypertrophy (benign prostatic hyperplasia). Thus, N-(3,4-diaminophenyl)-6-morpholinonicotinamide was cyclocondensed with 2-dimethylaminomethylpyridine-5-carboxaldehyde to give 2-[(2-dimethylaminomethyl)pyridin-5-yl]-5-[[2-(morpholino)pyridin-5yl]carbonylamino]-1H-benzimidazole (II). II showed IC50 of 0.025 µM against the proliferation of prostatic interstitial cells. Pharmaceutical formulation containing specific compds. I were described.
- IΤ 897399-92-7P, N-[3-Amino-4-[(pyrazin-2-vlcarbonyl)amino]phenyl]-6-(pvrrolidin-1-vl)nicotinamide 897399-93-8P. N-[4-Amino-3-[(pyrazin-2-ylcarbonyl)amino]phenyl]-6-(pyrrolidin-1-

vl)nicotinamide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. as inhibitors for abnormal proliferation of prostatic interstitial cells in treatment of prostatic hypertrophy)

897399-92-7 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-[2-amino-4-[[[6-(1-pyrrolidiny1)-3pyridinyl]carbonyl]amino[phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 897399-93-8 CAPLUS
CN 2-Pyrazinecarboxamide, N-[2-amino-5-[[[6-(1-pyrrolidiny1)-3-pyridiny1]carbonyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:655920 CAPLUS

DOCUMENT NUMBER: 145:124613

TITLE: Preparation of carboxylic acid derivatives having three cyclic moietles as anticoagulants
INVENTOR(S): Ishinara, Tsukasa; Miura, Masanori; Ohne, Kazuhiko;

Takuwa, Tomofumi; Shirakami, Shohei; Ibuka, Ryotaro; Ohnuki, Kei; Seki, Norio; Shigenaga, Takeshi; Hirayama, Fukushi; Hirabayashi, Akihito; Kai, Yuichiro; Kobayashi, Junichi; Hirasawa, Hideaki;

Kondou, Atsushi; Yamada, Ken PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

 TENT :				KIN	D	DATE			APPL		ION:			D.	ATE			
2006				A1	_	2006	0706		WO 2					20051228 <				
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,		
	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
	VN,	YU,	ZA,	ZM,	zw													
RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
	KG,	KZ,	MD,	RU,	TJ,	TM												

PRIORITY APPLN. INFO.: JP 2004-380131 A 20041228 <-OTHER SOURCE(S): MARPAT 145:124613
GI

$$\begin{array}{c|c} (R^4)_{D} & & & \\ \hline \\ (R^2)_{m} & & & \\ \end{array}$$

AB The title compds. [I, ring A = aryl or heteroaryl ring; ring B = benzene, naphthalene, or monocyclic or bicyclic heteroaryl ring; ring C = cycloalkyl, aryl, or heterocyclic ring; m, n, p = an integer of 0-3; R1 = NH2, CH2NH2, CONH2, C(:NH)NH2, C(:NH)NH2, C(:NH)NH-CO2-(optionally substituted lower alkyl), 5-oxo-2,5-dihydro-1,2,4-oxadiazol-3-yl; R2, R3 = lower alkyl, halo-lower alkyl, halo, oxo, cyano, NO2, halo-lower alkoxy, NROROO, SO, S(O)RO, SOZRO, SOZROROO, NROSOZROO, CORO, CORO, COZRO, CONROROO, NROCOROO, NROCO-(halo-lower alkyl), cycloalkyl, aryl, heterocyclyl, etc.;

RO, ROO = H, lower alkyl; R4 = lower alkyl, lower alkenyl, cycloalkyl, aryl, heterocyclyl, halo, oxo, cyano, NO2, OR6, NR6R6a, SR6, SOR6, SO2R6, SO2NR6R6a, NR6SO2R6a, NR6SO2NR6R6a, NR6SO2NR6aCO2R6a, COR6, CO2R6, CONR6R6a, cycloalkyl, aryl, heterocyclyl, etc.; R6, R6a = H, each (un) substituted lower alkyl, lower alkenyl, cycloalkyl, aryl, or heterocyclyl; R5 = ORO, NROROO, N(RO)-lower alkylene-OROO; J = NROCO, CONRO, NROCONRO, NRO-lower alkylene, lower alkylene-NROCO; L = NRO-lower alkylene, NRO-lower alkenylene, lower alkylene, lower alkenylene; X = a single bond, (un)substituted NH, S, CO, SO, SO2, lower alkylene-O, lower alkylene-(un)substituted NH; Y = a single bond, each (un)substituted lower alkylene or lower alkenylene) or pharmaceutically acceptable salt thereof are prepared These compds. such as phenoxyacetic acid and phenylpropanoic acid derivs. or salts thereof have an anticoagulant effect based on the inhibition of the activated blood coaqulation factor VII and, therefore, are useful as blood coagulation inhibitors or preventives/remedies for diseases caused by thrombus or embolus. They are also selective inhibitors of activated blood coagulation factor VII over activated blood coagulation factor X and thrombin. The above diseases include ischemic heart diseases, restenosis after angioplasty, cerebral thrombosis, transient cerebral ischemia, peripheral arterial obstruction, Charcot's syndrome (intermittent claudication), deep venous thrombosis, pulmonary embolism, disseminated intravascular coagulation (DIC), thrombogenesis after heart valve replacement surgery, coagulation or inflammation of circulating blood during external blood circulation, arteriosclerosis, and cancer. For example, [(3-([(2-([(2-amino-1H-benzimidazol-5yl)amino]carbonyl)-4-chlorophenyl)amino]methyl)biphenyl-2-yl)oxy]acetic acid in vitro inhibited activated blood coagulation factor VII over activated blood coagulation factor X and thrombin with IC50 of 0.36, ≥100, and ≥100 uM, resp. 897639-50-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of carboxylic acid derivs. having three cyclic moieties as activated blood coagulation factor VII inhibitors and anticoagulants) 897639-50-8 CAPLUS

Acetic acid, 2-[2-[[[3-[[[4-(aminoiminomethy1)phenyl]amino]carbonyl]-2pyrazinyl]amino]methyl]-6-ethoxyphenoxy]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

RN

CN

CRN 897639-49-5 CMF C23 H24 N6 O5

```
CM 2
    CRN 76-05-1
    CMF C2 H F3 O2
F-C-CO2H
REFERENCE COUNT:
                    32
                            THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
                             RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT
L17 ANSWER 7 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                       2006:634786 CAPLUS
DOCUMENT NUMBER:
                       145:103692
TITLE:
                       Preparation of
                       4H-spiro[1,3]benzodioxine-2,4'-piperidine derivatives
                       and related compounds
INVENTOR(S):
                       Barker, Emma; Jenmalm Jensen, Annika; Nordling, Erik;
                       Proud, Andrew; Slater, Martin; Weber, Mikael
PATENT ASSIGNEE(S):
                       Biovitrum AB, Swed.
SOURCE:
                       PCT Int. Appl., 83 pp.
                       CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
```

														D1112				
						-									-			
WO 2	00606	24		A2		2006	0629		WO 20	005-1	EP57	132		2	0051	222 -	<	
WO 2	00600	6722	24		A3		2006	1102										
	W: 2	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	(	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	(	GΕ,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
	1	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
	1	ΜZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
	7	VN,	YU,	ZA,	ZM,	ZW												
	RW: A	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
	(	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
	(	GΜ,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
	1	KG,	ΚZ,	MD,	RU,	ΤJ,	TM											
US 2	0060	2173	375		A1		2006	0928		US 20	005-	3181	26		2	0051	222 -	<
PRIORITY	APPLI	N. 1	INFO.	. :						SE 20	004-	3160			A 2	0041	223 -	<
										US 20	005-	6538	03P		P 2	0050	217	
OTHER SOU	RCE (	S):			MARI	PAT	145:	10369	92									

The invention relates to compds. I (m, n are 0 or 1; A, Y are independently CH2. O, NH or alkylimino; R1 is Ph, naphthyl or aza analogs (with provisos)] for use in the prophylaxis or treatment of orexin-1 or orexin-2 receptor-related disorders such as obesity and related disorders such as diabetes type II, dyslipidemia and the metabolic syndrome, cardiovascular diseases such as atherosclerotic vascular disease, angina pectoris, myocardial infarction and stroke, drug addiction, and sleeping disorders. Thus, I (m, n = 1, A = NH, Y = CH2, R1 = 5-quinolinyl), prepared by condensation of 5-bromo-2-hydroxybenzyl alc. with N-carboethoxy-4-piperidone, followed by deprotection and arylation reaction, showed Ki = 349 nM for inhibition of the orexin-1 receptor.

895525-09-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of spirobenzodioxinepiperidine derivs. and related compds. for inhibition of orexin receptor)

895525-09-4 CAPLUS RN

CN 2-Pyrazinecarboxamide, N-(3-spiro(4H-1,3-benzodioxin-2,4'-piperidin)-6ylphenyl) - (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:631033 CAPLUS DOCUMENT NUMBER:

145:103956

TITLE: Preparation of peptides as Myd88 homodimerization

inhibitors

Carminati, Paolo; Gallo, Grazia; Fanto', Nicola; INVENTOR(S):

Ruggiero, Vito; Sassano, Marica; Mastroianni, Domenico

PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A.,

Italv

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO KIND DATE APPLICATION NO. DATE

```
WO 2006067091
                        A1 20060629 WO 2005-EP56847
                                                                20051216 <--
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
            MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
            SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
            VN, YU, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
    AU 2005318226
                         A1
                               20060629
                                          AU 2005-318226
                                                                 20051216 <--
    CA 2590750
                         A1
                               20060629
                                         CA 2005-2590750
                                                                 20051216 <--
    EP 1828246
                        A1
                              20070905
                                         EP 2005-823931
                                                                 20051216 <--
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
            BA, HR, MK, YU
    CN 101084240
                         Α
                               20071205
                                          CN 2005-80043762
                                                                 20051216 <--
    JP 2008524167
                         Т
                              20080710
                                          JP 2007-546073
                                                                 20051216 <--
    MX 200707259
                        A
                              20070814
                                         MX 2007-7259
                                                                 20070615 <--
    IN 2007KN02236
                        A
                              20070817
                                          IN 2007-KN2236
                                                                 20070618 <--
    KR 2007094802
US 20080064643
                                         KR 2007-716815
                        A
                              20070921
                                                                 20070720 <--
                       A1 20080313
                                          US 2007-793516
                                                                 20070720 <--
                                           EP 2004-425929
PRIORITY APPLN. INFO.:
                                                             A 20041220 <--
W 20051216
                                           WO 2005-EP56847
                       MARPAT 145:103956
```

OTHER SOURCE(S):

The invention relates to peptidic and peptidomimetic compds.

AA1-AA2-AA3-AA4-AA5-AA6-AA7 [AA1-AA7 are L- or D-amino acid residues (defined), at least one of which is not a natural amino acid (if all are natural amino acids, the sequence is reversed); AA1, AA2, AA7 may be absent; AA2-AA3-AA4 may be a spacer group; AA5-AA6 may be a B-turn mimetic; a disulfide bond may exist between AA4 = AA7 = Cys or D-Cys; the N-terminal amine group may be acylated and the terminal carboxyl may be in the acid or amide form] or their pharmaceutically-acceptable salts, which mimic a particular protein portion of MyD88, preventing its homodimerization and interfering with its interaction with the TIR domain. The compds. are useful as medicaments, particularly for the treatment of inflammatory and autoimmune diseases. Thus, Ac-D-Thr-Glv-D-Pro-D-Leu-D-Val-D-Asp-D-Arg-NH2 was prepared by the

solid-phase method and assayed for inhibition of homodimerization of Mvd88 (30% in the NF-kB assay).

IT 894787-19-0P 894787-23-6P 894787-31-6P 894787-33-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides as Myd88 homodimerization inhibitors)

894787-19-0 CAPLUS RN

Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-3'-CN carboxamide, 1-[4-chloro-3-[(2-pyrazinylcarbonyl)amino]benzoyl]tetrahydro-6'-oxo-, (2R, 3'S, 8'aR)- (CA INDEX NAME)

Absolute stereochemistry.

RN 894787-23-6 CAPLUS

CN Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-3'carboxamide, tetrahydro-1-[3-[4-[(5-methyl-2pyrazinyl)carbonyl]amino]benzoyl]amino]-1-oxopropyl]-6'-oxo-,
(2R,3'5,8'aR)- (CA INDEX NAME)

Absolute stereochemistry.

RN 894787-31-6 CAPLUS

CN Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-4'carboxamide, tetrahydro-1-[3-[[4-[[(5-methyl-2pyrazinyl)carbonyl]amino]benzoyl]amino]-1-oxopropyl]-6'-oxo-,
(2R,4'R,8'aR)- (CA INDEX NAME)

Absolute stereochemistry.

RN 894787-33-8 CAPLUS

CN Spiro[pyrrolidine-2,7'(6'H)-[2H]pyrrolo[2,1-b][1,3]thiazine]-4'carboxamide, 1-[4-chloro-3-[(2-pyrazinylcarbonyl)amino]benzoyl]tetrahydro-6'-oxo-, (2R, 4'R,8'aR)- (CA INDEX NAME)

## Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:558961 CAPLUS

DOCUMENT NUMBER: 145:62922

TITLE: Preparation of pyrazinedicarboxamides and related

compounds for the treatment of thromboembolic diseases Roehrig, Susanne; Jeske, Mario; Akbaba, Metin;

Rosentreter, Ulrich; Boyer, Stephen; Fischer, Karin;

Pohlmann, Jens; Tuch, Arounarith; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Burkhardt, Nils; Allerheiligen, Swen; Nell, Peter; Arndt, Sabine;

Lobell, Mario

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

SOURCE:

```
KIND DATE APPLICATION NO. DATE
    PATENT NO.
                       A1 20060615 WO 2005-EP12681 20051128 <--
    WO 2006061116
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
            MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
            SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
            VN, YU, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
                              20060614
                                         DE 2004-102004059219 20041209
    DE 102004059219
                       A1
                                        CA 2005-2594102
    CA 2594102
                        A1
                              20060615
                                                                 20051128 <--
    EP 1824844
                               20070829
                                         EP 2005-815232
                                                                 20051128 <--
                        A1
    EP 1824844
                              20081105
                        В1
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
    JP 2008522992
                        Т
                              20080703 JP 2007-544770
                                                                 20051128 <--
    AT 413396
                         T
                              20081115
                                          AT 2005-815232
                                                                 20051128 <--
    US 20060287315
                       A1
                              20061221
                                          US 2005-299342
                                                                 20051208 <--
                                          DE 2004-102004059219A 20041209 <--
WO 2005-EP12681 W 20051128
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): CASREACT 145:62922; MARPAT 145:62922
```

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [A = substituted pyrrolidonyl, imidazolidinonyl, 2-oxazolidinonyl, etc.; R1, R2 = H, F, CL, etc.; R3 = H, alkyl, OH, etc.; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and their formulations were prepared For example, 1,1'-Carbonyldimidazole mediated cyclization of aminoalc. II afforded pyrazinedicarboxamide III in 19% yield. In blood-coagulation factor Xa inhibition assays, 8-examples of compds. I exhibited IC50 values ranging from 0.16-16 MM.
- IT 890822-15-8P 890822-23-8P 890822-31-8P 890822-33-6P 890822-39-6P 890822-47-6P 890822-55-6P 890822-63-6P 890822-55-6P 890822-63-6P 890822-71-6P 890822-79-4P 890823-11-7P 890823-95-4P 890823-11-7P 890823-11-7P 890823-11-7P 890823-11-7P 890823-11-7P 890823-11-7P 890823-11-7P 890823-15-3P 890823-59-3P 890823-59-3P 890823-59-3P 890823-59-3P 890823-69-3P 890823-69-3P 890823-83-3P 890823-99-1P 890823-83-3P 890823-15-4P 890823-23-3P 890823-49-0P 890823-83-89 890823-59-3P 890823-59-4P 890823-59-4P 890823-59-4P 890823-59-4P 890823-59-4P 890823-59-4P 890823-59-4P 890823-73-4P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)

RN 890822-15-8 CAPLUS

GI

CN 2,3-Pyrazinedicarboxamide, N2-(4-chloropheny1)-N3-[4-(2-oxo-1-

pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-23-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-31-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(6-chloro-3-pyridinyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-39-6 CAPLUS CN 2,3-Pyrazinedicarboxamide, N2-(4-fluorophenyl)-N3-[4-(2-oxo-1-pyrolidinyl)phenyl]- (CA INDEX NAME)

RN 890822-47-6 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(4-methylphenyl)-N3-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)

890822-55-6 CAPLUS CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(2-oxo-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN

890822-63-6 CAPLUS 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-oxo-3-oxazolidinyl)phenyl]- (CA INDEX NAME) CN

- RN 890822-71-6 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(2-oxo-1-imidazolidinyl)phenyl]- (CA INDEX NAME)

- RN 890822-79-4 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-(3-hydroxy-2-oxo-1-piperidinyl)phenyl]- (CA INDEX NAME)

RN 890822-87-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-fluoro-4-[(3S)-3-hydroxy-2-oxo-1-piperidinyl]phenyl]- (CA INDEX NAME)

## Absolute stereochemistry.

RN 890822-95-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-[(3R)-3-hydroxy-2-oxo-1-piperidiny1]pheny1]- (CA INDEX NAME)

## Absolute stereochemistry.

RN

CN 2,3-Pyrazinedicarboxamide, N2-[4-(3-amino-2-oxo-1-piperidinyl)phenyl]-N3-(4-chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 890823-11-7 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

- RN 890823-19-5 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-27-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-(3-oxo-4-morpholiny1)pheny1]- (CA INDEX NAME)

RN 890823-35-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(6-chloro-3-pyridinyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-43-5 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(2-chlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-51-5 CAPLUS CN 2,3-Pyrazinedicarboxamide, N2-(3,5-dichlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-59-3 CAPLUS CN 2,3-Pyrazinedicarboxamide, N2-(4-fluorophenyl)-N3-[4-(3-oxo-4-morpholinyl)]-Npenyl]- (CA INDEX NAME)

RN 890823-67-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-methylphenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-75-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(3-oxo-4-morpholinyl)phenyl]-N3-phenyl-(CA INDEX NAME)

RN 890823-83-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-ethynylphenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

RN 890823-91-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-[2-(2-hydroxyethyl)-3-oxo-4-morpholinyl]phenyl]- (CA INDEX NAME)

RN 890823-99-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl}- (CA INDEX NAME)

RN 890824-07-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-15-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(6-chloro-3-pyridinyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

890824-22-3 CAPLUS CN

2,3-Pyrazinedicarboxamide, N2-(4-fluorophenyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

890824-29-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-methylphenyl)-N3-[4-(tetrahydro-3-methyl-2-oxo-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

RN 890824-36-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-3-(2-hydroxyethyl)-2-oxo-1(2H)-pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 890824-43-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[tetrahydro-2-oxo-3-[2-(1-pyrrolidinyl)ethyl]-1(2H)-pyrimidinyl)phenyl]- (CA INDEX NAME)

PAGE 2-A

N

- RN 890824-50-7 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-(2-fluoro-4-[3-(hydroxymethyl)-2-oxo-1(2H)-pyridinyl]phenyl]- (CA INDEX NAME)

- RN 890824-58-5 CAPLUS

[(cyclopropylamino)methyl]-2-oxo-1(2H)-pyridinyl]-2-fluorophenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 890824-57-4 CMF C26 H21 C1 F N7 O3

CM :

CRN 76-05-1 CMF C2 H F3 O2

RN 890824-65-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanopheny1)-N3-[4-(3-oxo-4morpholiny1)pheny1]- (CA INDEX NAME)

RN 890824-73-4 CAPLUS

2,3-Pyrazinedicarboxamide, N2-[2-(2-aminoethoxy)-4-(3-oxo-4-morpholinyl)phenyl]-N3-(5-chloro-2-pyridinyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CN

CRN 890824-72-3 CMF C23 H22 C1 N7 O5

CM 2

CRN 76-05-1 CMF C2 H F3 02

- IT 278610-25-6P 693252-02-7P 890052-00-3P 890052-06-9P 890826-85-4P 890826-92-3P
  - 890826-99-0P 890827-06-2P 1096601-39-6P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
  - (preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)
- RN 278610-25-6 CAPLUS
- CN 2-Pyrazinecarboxylic acid, 3-[[(4-chlorophenyl)amino]carbonyl]- (CA INDEX NAME)

- RN 693252-02-7 CAPLUS
- CN 2-Pyrazinecarboxylic acid, 3-[[(4-cyanophenyl)amino]carbonyl]- (CA INDEX NAME)

$$\bigcap_{N}^{\text{CO}_2\text{H}} \bigcap_{C-N\text{H}}^{\text{CN}}$$

- RN 890052-00-3 CAPLUS
- CN 2-Pyrazinecarboxylic acid, 3-[[(4-ethynylphenyl)amino]carbonyl]- (CA INDEX NAME)

- RN 890052-06-9 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890826-85-4 CAPLUS

CNC Carbamic acid, [1-44-[[[3-[[(4chlorophenyl)amino]carbonyl]pyrazinyl]carbonyl]amino]phenyl]-2-oxo-3piperidinyl]-, [1-dimethylethyl ester (SCI) (CA INDEX NAME)

RN 890826-92-3 CAPLUS

CN 2,3=Pyrazinedicarboxamide, N2-(4-chlorophenyl)-N3-(4-[2-[2-[1(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3-oxo-4-morpholinyl]phenyl]- (CA INDEX NAME)

RN 890826-99-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[2-fluoro-4-(3-formy1-2-oxo-1(2H)-pyridiny1)pheny1]- (CA INDEX NAME)

RN 890827-06-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

1096601-39-6 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:542131 CAPLUS

DOCUMENT NUMBER: 145:46051

TITLE: Preparation of 2-imino-3-phenyloxazolidines and

related compounds for the treatment of thromboembolic

diseases

INVENTOR(S): Roehrig, Susanne; Pohlmann, Jens; Arndt, Sabine; Jeske, Mario; Akbaba, Metin; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Tuch,

Arounarith; Lobell, Mario; Nell, Peter; Burkhardt, Nils

PATENT ASSIGNEE (S): Bayer Healthcare AG, Germany

PCT Int. Appl., 91 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

							KIND DATE					APPLICATION NO.								
																0051	122 <			
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,			
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE.	EG,	ES,	FI,	GB,	GD,			
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,			
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,			
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,			
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,			
		VN,	YU,	ZA,	ZM,	ZW														
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,			
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,			
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,			
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,			
		KG,	KZ,	MD,	RU,	TJ,	TM													
DE	1020	0405	8062		A1		2006	0608		DE 2	004-	1020	0405	8062	2	0041	202			
CA	2589	740			A1		2006	0608		CA 2	005-	2589	740		2	0051	122 <			
EP	1819	701			A1		2007	0822		EP 2	005-	8152	74		2	0051	122 <			
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,			
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR				
																	122 <			
US	2008	0214	533		A1		2008	0904		US 2	007-	7921	80		2	0071	213 <			
PRIORIT	Y APP	LN.	INFO	.:													202 <			
										WO 2	005-	EP12	465	1	W 2	0051	122			
OTHER S		(S):			MAR	PAT	145:	4605	1											

AB Title compds. I [Y = (CH2)n; n = 1-3; R1 = H, alkyl, CN, etc.; R2, R3 = H, halo, CN, etc.; A = phenylene, 5 or 6-membered heteroaryl ring with provisos; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, methanesulfonic acid mediated cyclization of cyanoamine II afforded the methanesulfonic acid salt of claimed phenyloxazolidine III in 81% yield. In blood-coagulation factor Xa inhibition assays, 4-examples of compds. I

exhibited ICSO values ranging 0.3-4.4 nM.

IT 890051-67-9P 890051-68-0P 890051-71-5P

890051-72-6P 890051-73-7P 890051-74-8P

890051-75-9P 890051-76-0P 890051-77-1P

890051-78-2P 890051-79-3P 890051-80-6P

890051-81-7P 890051-82-8P 890051-80-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); RDIO, (Rological study); PREP (Preparation); ISSE

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 890051-67-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-68-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-(dihydro-2imino-2H-1,3-oxazin-3(4H)-y1)pheny1]- (CA INDEX NAME)

RN 890051-71-5 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CRN 890051-67-9 CMF C20 H16 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-72-6 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-(4-(2-imino-3-oxazolidiny1)pheny1]-, hydrobromide (1:?) (CA INDEX NAME)

●x HBr

RN 890051-73-7 CAPLUS

CN

2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 890051-74-8 CAPLUS

2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-(dihydro-2-imino-2H-1,3-oxazin-3(4H)-yl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CN

CRN 890051-68-0

CMF C21 H18 C1 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-75-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidiny1)pheny1]-N3-(5-methy1-2-pyridiny1)- (CA INDEX NAME)

RN 890051-76-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-(2-imino-3-oxazolidiny1)pheny1]-N3-(5methyl-2-pyridiny1)-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-75-9 CMF C21 H19 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-77-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]- (CA INDEX NAME)

RN 890051-78-2 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridiny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-77-1 CMF C21 H16 N8 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-79-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanopheny1)-N3-[4-(2-imino-3-oxazolidiny1)pheny1]- (CA INDEX NAME)

890051-80-6 CAPLUS RN 2,3-Pyrazinedicarboxamide, N2-(4-cyanophenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME) CN

CM 1

CRN 890051-79-3 CMF C22 H17 N7 O3

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890051-81-7 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-ethynylphenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]- (CA INDEX NAME)

RN 890051-82-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-ethynylphenyl)-N3-[4-(2-imino-3-oxazolidinyl)phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 890051-81-7 CMF C23 H18 N6 O3

CM 2

CRN 75-75-2 CMF C H4 O3 S

CN

RN 890051-95-3 CAPLUS

2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-[(2Z)-2-(hydroxyimino)-3-oxazolidiny1]pheny1]- (CA INDEX NAME)

Double bond geometry as shown.

IT 693252-02-7P 890052-00-3P 890052-06-9P

890052-07-0P 890052-08-1P 890052-09-2P 890052-10-5P 890052-11-6P 890052-12-7P

890052-13-8P 890052-14-9P 890052-15-0P

890052-16-1P 890052-34-3P RL: RCT (Reactant); SPN (

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-imino-3-phenyloxazolidines and related compds. for the treatment of thromboembolic diseases)

RN 69325-02-7 CAPUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-cyanophenyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-00-3 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(4-ethynylphenyl)amino]carbonyl]- (CA INDEX NAME)

RN 890052-06-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-07-0 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridiny1)-N3-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsily1]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-08-1 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 89052-09-2 CAPLUS
CN 2,3-Pyrazinedicarboxamide, N2-(5-chloro-2-pyridinyl)-N3-[4-[cyano[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-10-5 CAPLUS

CN

2,3-Pyrazinedicarboxamide, N2-[4-[[2-[[(1,1dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2pyridinyl)- (CA INDEX NAME)

- RN 890052-11-6 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

- RN 890052-12-7 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylstlyl]oxy]ethyl]amino]phenyl]-N3-(5-cyano-2-pyridinyl)- (CA INDEX NAME)

RN 890052-13-8 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(4-cyanophenyl)-N3-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]- (CA INDEX NAME)

RN 890052-14-9 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(4-cyanophenyl)-(CA INDEX NAME)

- RN 890052-15-0 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-[4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(4-ethynylphenyl)-(CA INDEX NAME)

- RN 890052-16-1 CAPLUS
- CN 2,3-Pyrazinedicarboxamide, N2-[4-[cyano[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenyl]-N3-(4-ethynylphenyl)-(CA INDEX NAME)

RN 890052-34-3 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-(5-cyano-2-pyridinyl)-N3-[4-[[2-[[(1,1dimethylethyl)dimethylsilyl|oxy|ethyl|amino|phenyl|- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:534761 CAPLUS

DOCUMENT NUMBER: 145:28024

TITLE:

Preparation of fused heterocyclic kinase inhibitors INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.; Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai,

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

Zhen-Wei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 141 pp.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

REFERENCE COUNT:

APPLICATION NO. PATENT NO. KIND DATE DATE

HO 20052222222 21 20051222 HO	005 163012 00050621 .
	005-167043 20050624 < 005-259894 20050628 <
	005-259894 20050628 < 005-260056 20050628 <
	000 2012000 2000020 1
	005-US22682 20050628 <
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB,	DC DD DW DV D7 C3 CU
CN, CO, CR, CU, CZ, DE, DK, DM, DZ,	
GE, GH, GM, HR, HU, ID, IL, IN, IS,	
LC, LK, LR, LS, LT, LU, LV, MA, MD,	MC ME MU ME MY ME NA
NG, NI, NO, NZ, OM, PG, PH, PL, PT,	
	UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW	OA, OG, OS, OZ, VC, VN, 10,
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE,	PC PT PD CB CD UII TP
IS, IT, LT, LU, MC, NL, PL, PT, RO,	
CG, CI, CM, GA, GN, GQ, GW, ML, MR,	NE, SN, TD, TG, BW, GH, GM,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ,	IIG. ZM. ZW. AM. AZ. BY. KG.
KZ, MD, RU, TJ, TM	00, 511, 511, 121, 115, 51, 110,
	005-US23099 20050628 <
WO 2006004833 A3 20060713	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB,	BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ,	EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS,	JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD,	MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT,	RO, RU, SC, SD, SE, SG, SK,
	UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW	
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE,	ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, MC, NL, PL, PT, RO,	SE, SI, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR,	
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ,	UG, ZM, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM	
	005-US23198 20050628 <
WO 2006004884 A3 20060323	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB,	
CN, CO, CR, CU, CZ, DE, DK, DM, DZ,	
GE, GH, GM, HR, HU, ID, IL, IN, IS,	JP. KE. KG. KM. KP. KR. KZ.
LC, LK, LR, LS, LT, LU, LV, MA, MD,	MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT,	MG, MK, MN, MW, MX, MZ, NA, RO, RU, SC, SD, SE, SG, SK,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ,	MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW	MG, MK, MN, MW, MX, MZ, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE,	MG, MK, MN, MW, MX, MZ, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LT, LU, MC, NL, PL, PT, RO,	MG, MK, MN, MW, MX, MZ, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LT, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GN, GQ, GW, ML, MR,	MG, MK, MN, MM, MX, MZ, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BM, GH, GM,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZM, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW	MG, MK, MN, MM, MX, MZ, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BM, GH, GM,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, TT, LT, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GN, GQ, GW, ML, MR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM	MG, MK, MN, MM, MX, MZ, NA, RO, RO, RC, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, IR, BF, BJ, CF, NE, SN, TD, TG, BM, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW	MG, MK, MN, MM, MX, MZ, NA, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 <
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZM RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ST, TL, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GN, GQ, GW, ML, MR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, MD, RU, TJ, TM EP 1761268 A2 20070314 EP 2 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE,	MG, MK, MN, MM, MX, MZ, NA, RO, RO, RC, SC, SC, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GW, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, ZA, ZM, ZW, ZW, ZW, ZM, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW	MG, MK, MN, MM, MX, MZ, NA, RO, RO, RC, SC, SC, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GW, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW  RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ST, TT, LT, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GN, GQ, GW, ML, MR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM  EP 1761268  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LT, LU, MC, NL, PL, PT, MK, YU	MG, MK, MN, MM, MX, MZ, NA, RO, RO, RC, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BI, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW, ZW, ZW, ZM, ZW, ZM, ZW, ZM, ZW, ZM, ZW, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM	MG, MK, MN, MM, MX, MZ, NA, NA, NG, NI, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU,  ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BM, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG,  005-791275
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW  RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LT, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GN, GQ, GW, ML, MR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM  EP 1761268 A2 20070314 EP 2  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LT, LU, MC, NL, PL, PT, MK, YU  EP 1768983  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, TR, ST, ST, ST, ST, ST, ST, ST, ST, ST, ST	MG, MK, MN, MM, MX, MZ, NA, NA, NG, ND, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BI, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, UG, ST, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, U05-764291 20050628 < ES, FI, FR, GB, GR, HU, IE, ES, FI, FR, GB, GR, HU, IE, ES, FI, FR, GB, GR, HU, IE,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, ZA, ZM, ZW, ZW, ZM, ZW, ZM, ZW, ZM, ZW, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM	MG, MK, MN, MM, MX, MZ, NA, NA, NG, ND, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BI, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, UG, ST, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, U05-764291 20050628 < ES, FI, FR, GB, GR, HU, IE, ES, FI, FR, GB, GR, HU, IE, ES, FI, FR, GB, GR, HU, IE,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LT, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GN, GQ, GW, ML, MR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM EP 1761268 A2 20070314 EP 2 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, LS, IT, LI, LT, LU, MC, NL, PL, PT, MK, YU EP 1768983 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, LS, TT, LI, LT, LU, MC, NL, PL, PT, MK, YU EP 1768983 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, LS, TT, LI, LT, LU, MC, NL, PL, PT, MK, YU	MG, MK, MN, MM, MX, MZ, NA, NA, NG, ND, RC, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BI, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 <es, 005-764291="" 20050628="" <es,="" fi,="" fr,="" gb,="" gr,="" hr,="" hu,="" ie,="" lv,="" lv,<="" ro,="" se,="" si,="" sk,="" td="" tr,="" ub,=""></es,>
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW, ZW, ZM, ZW, ZM, ZW, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM	MG, MK, MN, MM, MX, MZ, NA, NA, RO, RD, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU,  ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG,  005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV,  005-764291 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV,  005-790229 20050628 <
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, ZA, 2M, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW	MG, MK, MN, MM, MX, MZ, NA, NA, RO, RD, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU,  ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG,  005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV,  005-764291 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV,  005-790229 20050628 <
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, ZA, 2M, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LT, LU, MC, NL, PL, PT, RO, CG, CI, CM, GA, GM, GQ, GW, ML, MR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM EP 1761268 A2 20070314 EP; SH, ST, ST, ST, ST, ST, ST, ST, ST, ST, ST	MG, MK, MN, MM, MX, MZ, NA, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BI, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-794291 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-790229 20050628 < ES, FI, FR, GB, GR, HU, IE, ES, FI, FR
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, JJ, TM, TN, TR, TT, TZ, ZA, ZM, ZM, ZW, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM	MG, MK, MN, MM, MX, MZ, NA, NA, RO, RU, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BI, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-794291 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-790229 20050628 < ES, FI, FR, GB, GR, HU, IE, ES, FI, FR
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, JJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW, ZW	MG, MK, MN, MM, MX, MZ, NA, NA, NO, ND, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 <es, 005-790229="" 005-80025519="" 005-80025719="" 20050628="" <="" <<="" <es,="" fi,="" fr,="" gb,="" gr,="" hr,="" hu,="" ie,="" lv,="" ro,="" se,="" si,="" sk,="" td="" tr,=""></es,>
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW, ZW, ZM, ZW, ZM, ZW, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM, ZM	MG, MK, MN, MM, MX, MZ, NA, NA, NG, ND, NG, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-764291 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-790229 20050628 < ES, FI, FR, GB, GR, HU, IE, RO, SE, SI, SK, TR, HR, LV, 005-8002519 SK, TR, HR, LV, 005-80025519 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 20050628 < 2
NG, NI, NO, NZ, OM, PG, PH, PL, PT, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, ZA, ZM, ZW RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, LS, TI, LT, LU, MC, NL, PL, PT, RO, CG, CT, CM, GA, GN, GQ, GW, ML, PL, PT, RO, CG, CT, CM, GA, GN, GQ, GW, ML, PL, PT, RO, CG, CT, CM, RA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM EP 1761268 A2 20070314 EP 2 ER: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LU, MC, NL, PL, PT, MK, YU EP 176878 A2 20070404 EP 2 EP 176878 A2 20070404 EP 2 EP 1771177 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LU, MC, NL, PL, PT, MK, YU EP 1771177 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LU, MC, NL, PL, PT, MK, YU EP 1771173 B2, B3, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LU, MC, NL, PL, PT, NK, YU CN 1993130 A 20070704 CN 2 CN 10101005843 A 20070725 CN 2	MG, MK, MN, MM, MX, MZ, NA, NA, NO, ND, SC, SD, SE, SG, SK, UA, UG, US, UZ, VC, VN, YU, ES, FI, FR, GB, GR, HU, IE, SE, SI, SK, TR, BF, BJ, CF, NE, SN, TD, TG, BW, GH, GM, UG, ZM, ZW, AM, AZ, BY, KG, 005-791275 20050628 <es, 005-790229="" 005-80025519="" 005-80025719="" 20050628="" <="" <<="" <es,="" fi,="" fr,="" gb,="" gr,="" hr,="" hu,="" ie,="" lv,="" ro,="" se,="" si,="" sk,="" td="" tr,=""></es,>

JP	2008504367	T	20080214	JP	2007-519390		20050628	<
JP	2008504368	T	20080214	JP	2007-519416		20050628	<
BR	2005012722	A	20080401	BR	2005-12722		20050628	<
US	20060211695	A1	20060921	US	2005-292358		20051201	<
US	7439246	B2	20081021					
IN	2006DN07597	A	20070803	IN	2006-DN7597		20061215	<
IN	2006DN07602	A	20070803	IN	2006-DN7602		20061215	<
MX	2006015032	A	20070208	MX	2006-15032		20061219	<
MX	2006015192	A	20070228	MX	2006-15192		20061220	<
IN	2006DN07759	A	20070817	IN	2006-DN7759		20061220	<
KR	2007028458	A	20070312	KR	2006-727376		20061227	<
KR	2007037448	A	20070404	KR	2006-727370		20061227	<
NO	2007000453	A	20070124	NO	2007-453		20070124	<
NO	2007000506	A	20070214	NO	2007-506		20070126	<
NO	2007000514	A	20070312	NO	2007-514		20070126	<
PRIORITY	APPLN. INFO.:			US	2004-583459P	P	20040628	<
				US	2004-612563P	P	20040923	<
				US	2005-167043	A2	20050624	
				WO	2005-US22682	W	20050628	
				WO	2005-US23099	W	20050628	
				WO	2005-US23198	W	20050628	
			4.15 00001					

OTHER SOURCE(S): MARPAT 145:28024 GI

$$\begin{bmatrix} R^2 \\ n \end{bmatrix} \xrightarrow{R^3} \begin{bmatrix} V \\ N \end{bmatrix} \xrightarrow{R^2} \begin{bmatrix} R^3 \\ N \end{bmatrix} \xrightarrow{R^4} \begin{bmatrix} R^2 \\ N \end{bmatrix} \xrightarrow{R^3} \begin{bmatrix} R^3 \\ N \end{bmatrix} \xrightarrow{R^4} \begin{bmatrix} R^4 \\ N \end{bmatrix} \xrightarrow{R^4} \begin{bmatrix} R^4 \\ N \end{bmatrix} \xrightarrow{R^4} \xrightarrow{R$$

AB The title compds. I and II [RI = H, alkyl, cycloalkyl, etc.; R = C, NRR, S, SO, SO2, CRC10; V = NRI1 or (CR47R4B); W or X = C or N; Y = O, NRR, S, SO, SO2, CRC10; V = NRI1 or (CR47R4B); w or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = O-2; n = O-4; p = O-4, provided that if p = 0, R1 is not Pj; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl, R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable saltsl, useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3, 4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-carboxylate, was given. Compds. I and II inhibit the Met kinase with ICSO values between 0.01 to 100 µM. Pharmaceutical compns. comprising the

III

compound I or II alone or in combination with other antitumor agent are disclosed.

888717-17-7P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888717-17-7 CAPLUS

> 2-Pyrazinecarboxamide, N-[3-fluoro-4-[(5-methylpyrrolo[2.1f][1,2,4]triazin-4-yl)oxy]phenyl]- (CA INDEX NAME)

L17 ANSWER 12 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:534671 CAPLUS

DOCUMENT NUMBER: 145:28023

TITLE: Preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer

INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Hunt, John T.; Huynh, Tram; Poss, Michael A.; Schroeder, Gretchen M.; Vaccaro, Wayne; Wong, Tai W.; Chen, Xiao-Tao; Kim,

PATENT ASSIGNEE(S):

Kyoung S. USA SOURCE: U.S. Pat. Appl. Publ., 135 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060004006	A1	20060105	US 2005-167049	20050624 <
US 7173031	B2	20070206		
AU 2005259894	A1	20060112	AU 2005-259894	20050628 <
AU 2005260056	A1	20060112	AU 2005-260056	20050628 <
CA 2571680	A1	20060112	CA 2005-2571680	20050628 <
WO 2006004636	A2	20060112	WO 2005-US22682	20050628 <
WO 2006004636	A3	20060526		

	W:	AE.	AG.	AI	AM.	AT.	AII.	AZ.	BA.	BB.	BG,	BR.	BW.	BY.	BZ.	CA.	CH.
											EC,						
											JP,						
											MG,						
											RO,						SK,
			SM,					TR,	TT,		UA,					VN,	YU,
		ZA,	ZM,	ZW													
	RW:										ES,			GB,	GR,	HU,	IE,
											SE,				BF,		
											ΝE,				BW,		
							SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	ΒY,	KG,
			MD,	RU,	TJ,	$^{\mathrm{TM}}$											
		0048			A2		2006			WO 2	005-	US23	099		2	0050	628 <
WO 2		0048			A3		2006				ъ.	-	D.				
	W:										BG,						
											EC,						
		GE,	GH,	GM,	HK,	HU,	ID,	IL,	IN,	15,	JP, MG,	KE,	KG,	KM,	KP,	KK,	KZ, NA,
											RO,					SG,	SK,
			SM,		TJ,		TN,	TR,			UA,					VN.	YU,
			ZM,		10,	111,	114,	II,	11,	14,	Un,	ou,	05,	04,	vc,	V 14 ,	10,
	DM.				CH	CV	CZ	DE	DK	FF	ES,	FT	FD	CB	CP	нп	IE,
	11111	IS.	IT.	LT.	LU.	MC.	NL.	PL.	PT.	RO.	SE,	SI.	SK.	TR.	BF.	BJ.	
		CG.	CI.	CM.	GA.	GN.	GO.	GW.	ML.	MR.	NE,	SN,			BW,		
											UG,						
			MD,			TM	,		,	,	,			,	,	,	,
WO 2	2006	0048			A2		2006	0112		WO 2	005-	US23	198		2	0050	628 <
		0048			A3		2006	0323									
	W:										BG,						
											EC,						
											JP,						
											MG,						
											RO,					SG,	SK,
			SM,		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,
			ZM,														
	RW:										ES,						
		15,	TI,	ы,	LU,	MC,	NL,	CW.	PI,	KU,	SE,	SI,	DI,		BF,		
											NE, UG,				AZ,		
			MD,			TM	SD,	JL,	54,	14,	00,	41°1,	un,	mu,	nu,	DI,	NG,
EP 1	1761		HD,	IO,	A2		2007	n 3 1 <i>A</i>		EP 2	005-	7912	75		2	0050	628 <
	R:		BE.	BG.							ES,			GB.			
		IS.	IT.	LI.	LT.	LU.	MC.	NL.	PL.	PT.	RO,	SE.	SI.	SK.	TR,	HR.	LV.
		MK.															
EP 1	1768	983			A2		2007	0404		EP 2	005-	7642	91		2	0050	628 <
	R:	AT,	BE,								ES,				GR,	HU,	IE,
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR,	LV,
			YU														
EP 1	1771				A2		2007				005-						628 <
	R:										ES,						
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR,	LV,
		MK,	YU								0.05						
	1993		2		A		2007				005-						628 <
		0584			A		2007				005-						628 <
		2730			A T		2007				005- 007-						628 < 628 <
		5043 5043			T		2008				007-					0050	
		5043			T		2008				007-					0050	
		0127			A		2008				007-					0050	
		DN07			A		2007				005-					0061	
		DN07			A		2007				006-						215 <
		0150			A		2007				006-						219 <
		0					_ • • / ·					_ 0 0 0 0	_		-		

MX	2006015192	A	20070228	MX	2006-15192		20061220 <	
IN	2006DN07759	A	20070817	IN	2006-DN7759		20061220 <	
KR	2007028458	A	20070312	KR	2006-727376		20061227 <	
KR	2007037448	A	20070404	KR	2006-727370		20061227 <	
NO	2007000453	A	20070124	NO	2007-453		20070124 <	
NO	2007000506	A	20070214	NO	2007-506		20070126 <	
NO	2007000514	A	20070312	NO	2007-514		20070126 <	
PRIORITY	APPLN. INFO.:			US	2004-583459P	P	20040628 <	
				US	2004-612563P	P	20040923 <	
				WO	2005-US22682	W	20050628	
				WO	2005-US23099	W	20050628	
				WO	2005-US23198	W	20050628	
OTHER SO	OURCE(S):	MARPAT	145:28023					

GI

The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, AB CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = 0, S, NR12; Z = CR13R14, (CR13R14) mNR15; m = 0-2; n = 0-4; p = 0.00-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 µM. Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed. 888717-17-7P

RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors

III

for treating cancer)

RN 888717-17-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-fluoro-4-[(5-methylpyrrolo[2,1-f][1,2,4]triazin-4-vl)oxylphenvl]- (CA INDEX NAME)

REFERENCE COUNT: 205 THERE ARE 205 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:513602 CAPLUS

DOCUMENT NUMBER: 145:46271

TITLE: Preparation of glycopeptide antibiotic monomer derivatives having antibacterial activity against

vancomycin-resistant bacteria

INVENTOR(S): Arimoto, Hirokazu; Lu, Jun; Yamano, Yoshinori; Yasukata, Tatsuro; Yoshida, Osamu; Iwaki, Tsutomu;

Yoshida, Yutaka; Kato, Issei; Morimoto, Kenji;

Yasoshima, Kayo

PATENT ASSIGNEE(S): National University Corporation Nagoya University,

Japan; Shionogi & Co., Ltd.

SOURCE: PCT Int. Appl., 244 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIN	D	DATE			APPL	ICAT	TON .	NO.		D.	ATE		
						-									-			
WO	2006	0573	03		A1		2006	0601		WO 2	005-	JP21	587		2	0051	124 <	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.	EE.	ES.	FT.	FR.	GB.	GR.	HII.	TE.	

```
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     AU 2005308160
                         A1
                               20060601
                                            AU 2005-308160
                                                                   20051124 <--
    CA 2588285
                         A1
                                20060601
                                         CA 2005-2588285
                                                                   20051124 <--
     EP 1818340
                               20070815
                                            EP 2005-809139
                                                                   20051124 <--
                         A1
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     BR 2005016657
                         A
                               20080916
                                           BR 2005-16657
                                                                   20051124 <--
     US 20080097078
                         A1
                               20080424
                                            US 2007-791446
                                                                   20070524 <--
     MX 200706319
                         A
                               20070725
                                         MX 2007-6319
                                                                   20070528 <--
     IN 2007CN02297
                        A
                               20070907
                                           IN 2007-CN2297
                                                                   20070529 <--
                                           KR 2007-7/140-2
CN 2005-80047421 20070/50
JP 2004-344231 A 20041129 <--
20050722
20050722
    KR 2007092719
CN 101111513
                        A
                               20070913
                                           KR 2007-714842
                        A
                              20080123
PRIORITY APPLN. INFO.:
                                                              W 20051124
                                            WO 2005-JP21587
OTHER SOURCE(S): MARPAT 145:46271
GI
```

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. A-(Sac-NH)-RA [A = a part formed by removing the sugar part from a known glycopeptide antibiotic derivative; (Sac-NH) = an amino sugar part or a sugar chain part containing an amino sugar; RA = -X1-Ar1-X2-X3-Ar2; X1, X2, X3 = single bond, -O-, -S-, etc.; Y = -NR2CO-, -CONR2-, Q1, etc.; R2 = H, alkyl; Ar1, Ar2 = (un)substituted, (un)saturated carbocycle or heterocycle) and their pharmaceutically acceptable salts were prepared For example, reductive amination of 3-benzyloxy-N-(4-formylphenyl)-4-methyl-2-nitrobenzamide, e.g., prepared from 3-hydroxy-4-methyl-2-nitrobenzoic acid in 4 steps, with vancomycin hydrochloride afforded compound I in 62% yield. In antibacterial test against E. faecalis SR7914 (VRE: VanA), MIC values of compound I and vancomycin were 4 and >64 my/mL (sic), resp.
- IT 889680-06-2P Rl: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of glycopeptide antibiotic monomer derivs. having antibacterial activity against vancomycin-resistant bacteria)
- RN 889680-06-2 CAPLUS CN Vancomycin, N3''-11
  - Vancomycin, N3''-[[3-[(pyrazinylcarbonyl)amino]phenyl]methyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## PAGE 1-B

PAGE 2-A

C1\_

PAGE 3-A

## ●6/5 HCl

2006:493876 CAPLUS

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

111175;

SOURCE:

145:8167

Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various

diseases

INVENTOR(S):

Claseases
Zhu, Zhaoning; McKittrick, Brian A.; Sun, Zhong-Yue;
Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;
Smith, Elizabeth M.; Stamford, Andrew; Greenlee,
William J.; Mazzola, Robert; Caldwell, John; Cumming,
Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich;
Guo, Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu,
Suresh D.; Hunter, Rachael C.; Morris, Michelle L.;
Gu, Huizhong; Oian, Gang; Tadesse, Dawit

PATENT ASSIGNEE(S):

Schering Corporation, USA; Pharmacopeia Drug Discovery, Inc.

DISCOVELY, INC

U.S. Pat. Appl. Publ., 568 pp., Cont.-in-part of U.S. Ser. No. 10,772.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND D	DATE APPLI	ICATION NO.	DATE
AU 2005317204 CA 2591033 WO 2006065277	A1 2 A1 2 A1 2 A1 2 A2 2	20070329 US 20 20060622 AU 20 20060622 CA 20 20060622 WO 20	005-149027 004-10772 005-317204 005-2591033 005-US20446	20050609 <
CN, CO, GE, GH, LC, LK, NG, NI, SL, SM, ZA, ZM,	AL, AM, AT, CR, CU, CZ, GM, HR, HU, LR, LS, LT, NO, NZ, OM, SY, TJ, TM, ZW	20070125 AU, AZ, BA, BB, BB, DE, DK, DM, DZ, ID, IL, IN, IS, LU, LV, MA, MD, PG, PH, PL, PT, TN, TR, TT, TZ,	EC, EE, EG, ES, JP, KE, KG, KM, MG, MK, MN, MW, RO, RU, SC, SD, UA, UG, US, UZ,	FI, GB, GD, KP, KR, KZ, MX, MZ, NA, SE, SG, SK, VC, VN, YU,
IS, IT, CG, CI, KE, LS,	LT, LU, MC, CM, GA, GN, MW, MZ, NA, RU, TJ, TM	CZ, DE, DK, EE, NL, PL, PT, RO, GQ, GW, ML, MR, SD, SL, SZ, TZ,	SE, SI, SK, TR, NE, SN, TD, TG, UG, ZM, ZW, AM,	BF, BJ, CF, BW, GH, GM, AZ, BY, KG,
R: AT, BE,	BG, CH, CY, LI, LT, LU,	20071003 EP 20 CZ, DE, DK, EE, MC, NL, PL, PT,	ES, FI, FR, GB,	GR, HU, IE,
IN 2007CN02535	A1 2 A 2 A 2 A 2 A 2 A 2 A 2	20080821 US 20 20070907 IN 20 20071105 KR 20 20071211 MX 20 20070912 NO 20 20080130 CN 20 20080731 JP 20 US 20 US 20 JP 20	007-3616 005-80047939 008-79293 003-529535P 004-10772 006-544081	20050609 < 2007023 < 20070613 < 20070613 < 20070712 < 20070809 < 20080325 < P 20031215 < A2 20041213 < A3 20041213 <
		WO 20	005-149027 005-US20446	A2 20050609 W 20050609

OTHER SOURCE(S): MARPAT 145:8167 GI

Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or AB CR6R7; U = a bond, S(0), S02, C(0), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist.

T 887911-28-6P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic aspartyl protease inhibitors for treating various diseases)

887911-28-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-(2-amino-4,5-dihydro-1-methyl-5-oxo-4-phenyl-1H-imidazol-4-yl)phenyl]- (CA INDEX NAME)

ACCESSION NUMBER: 2006:437125 CAPLUS

DOCUMENT NUMBER: 144:468165

TITLE: Preparation of benzimidazole derivatives containing

aryloxy moiety as glucokinase activators

INVENTOR(S): Hashimoto, Noriaki; Takahashi, Keiji; Nakama, Chisato;
Ogino, Yoshio; Sakai, Fumiko; Nishimura, Teruyuki;

Eiki, Junichi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd, Japan

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

GT

PA:	PATENT NO.				KIN	D	DATE				LICAT				D.	ATE	
WO	WO 2006049304 W: AE, AG, AE, AG, AE, AG, AE, AG, AE, AG, AE, AE, AE, AE, AE, AE, AE, AE, AE, AE			AL, CR, GM, LK, NG, SL, ZA, BG,	AM, CU, HR, LR, NI, SM, ZM, CH,	AT, CZ, HU, LS, NO, SY, ZW	AU, DE, ID, LT, NZ, TJ,	AZ, DK, IL, LU, OM, TM,	BA, DM, IN, LV, PG, TN,	WO : BB, DZ, IS, LY, PH, TR,	2005- , BG, , EC, , JP, , MA, , PL, , TT,	JP20 BR, EE, KE, MD, PT, TZ,	BW, EG, KG, MG, RO, UA,	BY, ES, KM, MK, RU, UG,	BZ, FI, KN, MN, SC, US,	CA, GB, KP, MW, SD, UZ,	CH, GD, KR, MX, SE, VC,
		CF, GM,	CG, KE,	CI, LS,	CM,	GA,	GN, NA,	GQ,	GW,	ML	, MR,	NE,	SN,	TD,	TG,	BW,	GH,
7.11	2006							0611		3 FT 4	2006	2016	0.0		2	0061	101 <
											2005- 2005-						101 <
											2005-						101 <
EF		AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		FI,	FR,	GB,	GR,	HU,	IE,
CN	1010	9484	7		A		2007	1226		CN 2	2005-	8004	5688		2	0051	101 <
BR	2005	0172	32		A		2008	1007		BR 2	2005-	1723	2		2	0051	101 <
	2007						2007	0719		MX 3	2007-	5289			2	0070	430 <
	2007						2007	0824		KR 2	2007-	7100	65		2	0070	502 <
	2007						2007				2007-					0070	525 <
NO	2007	0028	06		A		2007	0727		NO 2	2007-	2806			2	0070	501 <
US	2008	0125	429		A1		2008	0529		US 2	2007-	6665	55		2	0070	518 <
RIORIT	Y APP	LN.	INFO	.:													102 <
											2005-					0050	
										WO 2	2005-	JP20	483		W 2	0051	101
THER SO	DURCE	(S):			MAR	PAT	144:	4681	65								

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R2 = H, halo, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, alkyl, alkoxy, etc.; Q = carbon, nitrogen, sulfur atom with the proviso that the sulfur atom may be mono- or di-substituted with oxo; R5, R6 = H, alkyl, halo, etc.; X1-X4 = carbon, nitrogen; Z = oxygen, sulfur, nitrogen; Ar = optionally substituted aryl with alkyl, alkoxy, halo, etc., optionally substituted heteroaryl with alkyl, alkoxy, halo, etc.; ring A = aromatic heterocycle containing nitrogen represented by Q1; X = carbon; m =

<sup>1-6;</sup> n = 0-3; p = 0-2 with the proviso that at least two of X1 to X4 are each

carbon; q = 0, 1] and their pharmaceutically acceptable salts were prepared for example, DEAD mediated reaction of a mixture of compound II [R = OH; R' = CH2OCH2CH2SIMe3] and compound III [R = OH; R' = CH2OCH2CH2SIMe3], e.g., prepared from 4-bromo-3-fluoroaniline in 9 steps, with succinimide followed by treatment with trifluoroacetic acid and silica-gel purification afforded comound II [R = 2,5-dioxopyrrolidin-l-yl; R' = H]. In glucokinase activation assaws, the EC50 value of compound II [R =

2,5-dioxopyrrolidin-1-yl; R' = H] was 0.12  $\mu$ M. Compds. I are claimed useful for the treatment of diabetes and obesity.

T 886977-03-3P 886978-99-0P 886979-01-7P

886979-96-0P 886979-97-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. containing aryloxy moiety as

glucokinase activators for treatment of diabetes and obesity)

RN 886977-03-3 CAPLUS

CN Benzoic acid, 2-fluoro-5-nitro-4-[(2-pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

RN 886978-99-0 CAPLUS

CN 2-Pyrazinecarboxamide, N-[5-fluoro-2-nitro-4-[(2-oxo-1-pyrrolidiny1)methy1]pheny1]- (CA INDEX NAME)

RN 886979-01-7 CAPLUS

CN Benzoic acid, 4-[[(5-bromo-2-pyrazinyl)carbonyl]amino]-2-fluoro-, methyl ester (CA INDEX NAME)

886979-96-0 CAPLUS RN

CN Benzoic acid, 5-[[6-(ethylsulfonyl)-3-pyridinyl]oxy]-3-nitro-2-[(2pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

886979-97-1 CAPLUS RN

CN Benzoic acid, 5-[[6-(ethylsulfonyl)-3-pyridinyl]oxy]-2-[(2pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:367034 CAPLUS DOCUMENT NUMBER:

144:412543

TITLE: Preparation of quinoxalines as B Raf inhibitors INVENTOR(S): Aquila, Brian; Dakin, Les; Deegan, Tracey; Ioannidis,

Stephanos; Lee, Stephen; Lyne, Paul; Pontz, Timothy; Su, Mei

PATENT ASSIGNEE(S):

SOURCE:

Astrazeneca AB, Swed.; Astrazeneca UK Ltd. PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						DATE			APPL					D	ATE		
															2	0051	013 <	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE.	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	
		NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	
		SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	
		YU,	ZA,	ZM,	zw													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
					RU,													
																	)13 <	
																	013 <	
EP																	013 <	
	R:						CZ,											
																	HR	
	1010				A												013 <	
JP	2008	5169	39		Т												013 <	
BR	2005	0181	26		A												)13 <	
	2007																403 <	
	2008						2008			US 2							412 <	
	2007				A		2007										413 <	
	2007						2007										416 <	
	2007				A		2007	0618									515 <	
PRIORIT	Y APP	LN.	INFO	.:													015 <	
										WO 2					W 2	0051	)13	
OTHER S	JURCE	(5):			CASI	REAC	T 14	4:41	2543	; MAI	KPAT	144	:412	543				

AB The title compds. I [A = carbocyclyl or heterocyclyl; Rl is a substituent on carbon and is selected from halo, nitro, cyano, etc.; n = 0-4; Z = CONH, NHCO, CH2NH; R2 = H, halo, nitro, etc.; R3 = halo, hydroxy, Me, methoxy or hydroxymethyl; X = RN18CO, NR19, NR20CH2; R4-R8 = H, halo, nitro, etc.; R18-R20 = H, alkyl, alkanoyl, etc.] which possess B Raf inhibitory activity and are accordingly useful for their anti cancer activity, were prepared Thus, amidation of

N-(5-amino-2-methylphenyl)quinoxaline-6-carboxamide (preparation given) with 3-(methyllthio)benzoic acid afforded 73%

Ι

 $N-(2-methyl-5-\{[3-(methylthio)benzoyl]amino\}phenyl)quinoxaline-6-\\$ 

carboxamide. The compds. I exhibited activity less than 30 μM when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of compds. I, to pharmaceutical compns. containing

them and to their use in the manufacture of medicaments of use in the production of

an anti-cancer effect in a warm blooded animal such as man.

TT 884000-09-3P

REFERENCE COUNT:

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoxalines as B Raf inhibitors for treating cancer)

884000-09-3 CAPLUS RN

CN 6-Quinoxalinecarboxamide, N-[2-methyl-5-[(2-

pvrazinvlcarbonvl)amino|phenvl|- (CA INDEX NAME)

5 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 17 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:353676 CAPLUS

DOCUMENT NUMBER: 144:369921

TITLE: Preparation of phenylaminopyridines for treatment of

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

neoplastic and autoimmune disease. INVENTOR(S):

Eberle, Martin; Bachmann, Felix; Strebel, Alessandro; Roy, Subho; Saha, Goutam; Nandi, Godhuli

PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIN	D	DATE				ICAT				D	ATE		
	2006				A1		2006	0316							2	0050	905	<
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
		ZA,	ZM,	ZW														
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ,	MD,	RU,	TJ,	TM											
EP	1634	871			A1		2006	0315		EP 2	004-	4055	52		2	0040	906	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
CA	2578	047			A1		2006	0316		CA 2	005-	2578	047		2	0050	905	<
EP	1789	044			A1		2007	0530		EP 2	005-	7871	55		2	0050	905	<
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		
US	2008	0221	171		A1		2008	0911		US 2	008-	6620	47		2	0800	111	<
PRIORITY	APP	LN.	INFO	. :						EP 2	004-	4055	52		A 2	0040	906	<
															W 2			

AB Title compds. [I; A = CH, N, CX; X = alkyl; R1 = COR9, SO2R10, PO(OR11)2, (substituted) Ph, heteroaryl; R2 = H, alkyl; R3 = 1-2 of H, alkyl, cycloalkyl heterocyclyl, hydroxyalkyl, haloalkyl, alkoxyalkyl, (substituted) alkenyl, alkynyl, aryl, heteroaryl, aryloxy, etc.; R4, R5 = H, alkyl, haloalkyl, alkoxy, amino, halo; R6 = H, alkyl, alkylcarbonyl, alkoxycarbonyl; R7 = 1-2 of H, alkyl, cycloalkyl, heterocyclyl, hydroxyalkyl, haloalkyl, alkoxyalkyl, (substituted) alkenyl, alkynyl, aryl, heteroaryl, etc.; R8 = H, OH, alkoxy, alkylcarbonyloxy, alkoxycarbonyl, aminocarbonyl, halo, cyano, NO2, etc.; R9 = alkyl, haloalkyl, cycloalkylalkyl, heterocyclylalkyl, hydroxyalkyl, alkoxyalkyl, etc.; R10 = alkyl, haloalkyl, cycloalkylalkyl, heterocyclylalkyl, hydroxyalkyl, alkoxyalkyl, alkylcarbonyl, (substituted) alkenyl, heterocyclyl, aryl, heteroaryl, etc.; R11 = alkyl, haloalkyl, alkoxyalkyl, aryl, aralkyl], were prepared Thus, 3,5-dibromopyridine, p-anisidine, (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl, NaOCMe3, and Pd2(dba)3 were heated together in PhMe at 70° for 16 h to give 3-bromo-5-(p-methoxyphenylamino)pyridine. The latter was refluxed with 3-aminophenylboronic acid, Na2CO3, and Pd(PPh3)4 in dimethoxyethane for 16 h to give 3-(m-aminophenyl)-5-(p-methoxyphenylamino)pyridine. This was stirred with MsCl in pyridine at -20° to room temperature to give 3-(m-mesylaminophenyl)-5-(p-methoxyphenylamino)pyridine. I induced apoptosis in various cancer cell lines. 882183-54-2P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylaminopyridines for treatment of neoplastic and autoimmune disease)

RN 882183-54-2 CAPLUS CN 2-Pyrazinecarboxami

2-Pyrazinecarboxamide, N-[3-[5-[(4-methoxyphenyl)amino]-3pyridinyl]phenyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 18 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN 2006:318485 CAPLUS

3

ACCESSION NUMBER: 144:370081

DOCUMENT NUMBER:

TITLE: Carbostyril compounds and their preparation,

pharmaceutical compositions, and their transcription promoting activity of TFF2 for treatment and/or

prevention of various diseases

INVENTOR(S): Kuroda, Takeshi; Yamauchi, Takahito; Shinohara,

Tomoichi; Oshima, Kunio; Kitajima, Chiharu; Nagao, Hitoshi; Fukushima, Tae; Tomoyasu, Takahiro; Ishiyama,

Hironobu; Ohta, Kazuhide; Takano, Masaaki; Sumida,

Takumi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

 	010																	
PA:	TENT I	.00			KIN	D	DATE			APPL:					D	ATE		
T-T-C	2006	0350	E /		7.1	_	2006	0.406							2	2050	226 .	
WU																		
	W:						AU,											
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV.	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	
		NA.	NG.	NI.	NO.	NZ.	OM,	PG.	PH.	PL.	PT.	RO.	RU.	sc.	SD.	SE.	SG,	
							TM,											
				ZM.														
	RW:					CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR.	GB,	GR,	HU,	IE,	
							MC,											
							GN,											
							NA,											
					RU,			,	,	,	,	,	,	,	,	,	,	
AII	2005							0406		AII 20	005-	2880	80		21	00509	926 <	<
	2580						2006			CA 20							926 <	
	3906						2007			JP 20							926 <	
										JF 21	000-	JI 20	4.1		2	0000	220 \	
	2007						2007											
EP	1797	082			A1		2007	0620	1	EP 20	005-	7881.	52		2	00509	926 <	<
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		

CN	101068810	A	20071107	CN	2005-80037090		20050926	<
BR	2005016219	A	20080826	BR	2005-16219		20050926	<
US	20070179173	A1	20070802	US	2006-582014		20060607	<
IN	2007DN01824	A	20070817	IN	2007-DN1824		20070308	<
MX	200703735	A	20070423	MX	2007-3735		20070328	<
KR	2007061902	A	20070614	KR	2007-709483		20070426	<
KR	823414	B1	20080417					
KR	2007072632	A	20070704	KR	2007-714064		20070621	<
KR	840465	В1	20080620					
PRIORITY	APPLN. INFO.:			JP	2004-282814	Α	20040928	<
				WO	2005-JP18217	W	20050926	
				KR	2007-709483	A3	20070426	
OFFIED OF	NUMBER (C) .	22 CDE26	or 144.370001	1. 1.	(ADDAT 144.370001			

OTHER SOURCE(S):

CASREACT 144:370081; MARPAT 144:370081

AΒ The invention provides carbostyril compds. represented by formula I or salts thereof, and their pharmaceutical compns., prepns. and use for transcription promotion activity of TFF2. The carbostyril compds. or salts thereof, of the invention, induces the production of TFF, and thus is usable for the treatment and/or prevention of disorders such as alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, and wounds. Compds. of formula I wherein A is a bond, a lower alkylene group, or a lower alkylidene group; X is O or S; the dotted line is a single or a double bond; R4 and R5 are independently H, with the provision that dotted line is a double bond; or R4-R5 may be linked together to form a CH=CH-CH=CH group; R1 is H, lower alkyl, (un) substituted Ph lower alkyl, cycloalkyl lower alkyl, phenoxy lower alkyl, naphthyl lower alkyl, lower alkoxy lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un) substituted pyridyl lower alkyl, cyano lower alkyl, etc.; R2 is H, lower alkoxy, lower alkyl, carboxy lower alkyl, lower alkoxycarbonyl lower

alkoxy, HO, (un)substituted Ph lower alkoxy, (un)substituted pyridyl piperidinyl(oxy) lower alkyl, lower alkenyloxy, (un)substituted pyridyl lower alkoxy, lower alkynyloxy, Ph lower alkenyloxy, Ph lower alkynyloxy, (un)substituted furyl lower alkoxy, (un)substituted oxadiazolyl lower alkyl, or (un)substituted thiazolyl lower alkyn, etc.; R3 is H, lower (HO-substituted) alkyl, cycloalkyl lower alkyl, etc.; R3 is H, lower alkyl, lower alkyl, cycloalkyl lower alkyl, carboxyl lower alkyl, lower alkyl, lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted terazolyl, or (un)substituted benzothienyl, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by heterocyclization of

2-chloro-3-(8-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-5-yl)propionic acid with thiourea. All the invention compds. were evaluated for the transcription promoting activity of hTFF2. From the assay, it was determined that some invention compds., including compound III, showed TFF2 production activity of 1000% or higher at a test compound concentration of 10-6M concentration Some

concentration Some

invention compds. showed a TFF2 production promoting activity of 300% or higher at a test compound concentration is less than 10-5M and preferably more than

10-6M. Example compound III and a few other compds. showed >20% healing ratio of the ulcerated area, which indicated that these compds. may be effective in preventing and/or treating mucosal injury.

T 882009-63-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of carbostyril compds. and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases)

RN 882009-63-4 CAPLUS

CN

2-Pyrazinecarboxamide, N-[4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]phenyl]- (CA INDEX NAME)

PAGE 1-A



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

L17 ANSWER 19 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN 2006:273658 CAPLUS

English

ACCESSION NUMBER: 144:331457

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Preparation of substituted pyrazolo[1,5-a]pyrimidines and methods of their use as antiproliferative agents Wang, Yanong Daniel; Gopalsamy, Ariamala; Honores, Erick Eduardo; Jennings, Lee Dalton; Johnson, Steven Lawrence; Powell, Dennis William; Sum, Fuk-Wah; Tsou,

Hwei-Ru; Wu, Bigi; Zhang, Nan USA U.S. Pat. Appl. Publ., 83 pp.

CODEN: USXXCO Patent

PATENT NO.			KIND		DATE		APPLICATION NO.					DATE				
US 20060063784 WO 2006033795			A1 A2	A1 2006032 A2 2006033			US 2005-221846 WO 2005-US31087					20050909 <				
WO 2006033795			A3	A3 20060810			BA, BB, BG, BR, BW, BY,					B				
Ch	, co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	, GH, , LK,															
NO	, NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,

ZA. ZM. ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-610550P P 20040917 <--OTHER SOURCE(S): CASREACT 144:331457; MARPAT 144:331457 GI

AB The invention is related to novel methods of use of pyrazolo[1,5-a]pyrimidines I [Rl = H, CN, halo, CHO, CO2H, etc.; R2-R4 = H, CF3, alkyl; R5 = (un)substituted hetero/aryl), and their therapeutically acceptable salts and prodrugs, as antiproliferative agents, particularly antitumor agents, in mammals, including humans. The use of pyrazolpyrimidines I in regulating the expression of p21 in cells, and the preparation of certain I are given. Thus, reacting (3-Amino-1H-pyrazol-4-yl)(thien-2-yl)methanone (preparation given) with 3-(Dimethylamino)-1-(2-thienyl)-2-propen-1-one (preparation given) gave pyrazolopyrimidine II. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an ICS0 in the range of 1-10 µM.

IN 879372-18-6F, N-[3-{3-[(Thien-2-yl)carbonyl)pyrazolo[1,5-

a]pyrimidin-7-yl]phenyl]pyrazine-2-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted pyrazolo[1,5-a]pyrimidines as antitumor agents)

RN 879372-18-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]- (CA INDEX NAME)

L17 ANSWER 20 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:273618 CAPLUS DOCUMENT NUMBER: 144:312112

DOCUMENT NUMBER: 144:312112
TITLE: Preparation of substituted pyrazolo[1,5-a]pyrimidines

as antiproliferative agents

INVENTOR(S): Wang, Yanong Daniel; Gopalsamy, Ariamala; Powell,

Dennis William; Tsou, Hwei-Ru; Zhang, Nan PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 84 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

ENT				KIN	D	DATE				ICAT				D	ATE	
2006				A1	-	2006	0323			005-				2	0050	909 <
2006				A1												901 <
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
	ZA,	ZM,	ZW													
RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
	KG,	KZ,	MD,	RU,	TJ,	TM										

PRIORITY APPLN. INFO.: US 2004-610520P P 20040917 <-OTHER SOURCE(S): MARPAT 144:312112
GI

This invention relates to novel pyrazolo[1,5-a]pyrimidine compds. I (wherein Rl = H, cyano, halogen, carbamoyl, formyl, carboxy, C(0)0-alkyl, C(0)0-cycloalkyl, C(0)calkyl, R6, C(0)R6, and C(S)R6; R6 = (un)substituted, aryl or heteroaryl, R2, R3, and R4 = H, C2, or alkyl; R5 = (un)substituted aryl or heteroaryl) and the therapeutically acceptable salts thereof. These compds. are useful as anti-proliferative agents in mammals, including humans. The compds., their use in regulating the expression of p21 in cells, as well as a method of preparation are claimed. For example, II is prepared from (3-amino-IH-pyrazol-4-yl)-2-

thienylmethanone and 3-(dimethylamino)-1-[3-(cyclopentyloxy)phenyl]-2propen-1-one, which in turn was prepared from 3-cyclopentyloxyacetophenone and DMF-di-Me acetal. In a cytotoxicity test against 80514 (p21-deficient) cells, II had an IC50 in the range of 1-10 µM.

IIT 879372-18-6F, N-[3-[3-{(Thien-2-y1)carbonyl]pyrazolo[1,5-a]pyrimidin-7-y1]phenyl]pyrazine-2-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted pyrazolo[1,5-a]pyrimidines as antiproliferative agents)

RN 879372-18-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[3-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-y1]phenyl]- (CA INDEX NAME)

L17 ANSWER 160 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1981:532666 CAPLUS DOCUMENT NUMBER: 95:132666

ORIGINAL REFERENCE NO.: 95:22215a,22218a

TITLE: Aminopropanol derivatives and their pharmaceutical use INVENTOR(S): Friebe, Walter Gunar; Kampe, Wolfgang; Bartsch,

Wolfgang; Sponer, Gisbert; Dietmann, Karl
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA:	TENT NO.	KIND	DATE	APPLICATION NO.		DATE
	2948056	A1	19810604	DE 1979-2948056	_	19791129
	4378363	A	19830329	US 1980-207527		19801117 <
	29992	A1	19810610	EP 1980-107337		19801125 <
EP	29992	B1	19830629			
	R: AT, BE, CH,	DE, FR	, GB, IT, LU,	, NL, SE		
AT	3977	T	19830715	AT 1980-107337		19801125 <
JP	56092863	A	19810727	JP 1980-166857		19801128 <
PRIORIT:	Y APPLN. INFO.:			DE 1979-2948056	A	19791129 <
				EP 1980-107337	A	19801125 <
OTHER SO	OURCE(S):	CASREAG	CT 95:132666,	; MARPAT 95:132666		

AB The β-adrenergic blocking agents (no data) I [R = H, acyl, aroyl; R1 = alkyl optionally substituted by Z1R4 (Z1 = bond, O, S; R4 = optionally substituted aryl or heteroaryl); R2 = H, acyl; R3 = optionally substituted heterocyclyl; Z = bond, CH2] and their salts were prepared Thus, 4-H2NC6H4CH2CH(OH)CH2Ph(CH2Ph)CHMe reacted with 2-indolecarbonyl chloride in CH2C12 containing NaHCO3, and the product was hydrogenated over Pd-C to give I.HC1 (R = H, R1 = Me2CH, R2 = H, R3z = 2-indolyl).

т

79112-24-6P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 79112-24-6 CAPLUS

CN 2-Pyrazinecarboxamide, N-[4-[2-hydroxy-3-[(1-

methylethyl)amino]propoxy]phenyl]-5-methyl- (CA INDEX NAME)

L17 ANSWER 161 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1981:3857 CAPLUS

ACCESSION NUMBER: 1981:3857 CA DOCUMENT NUMBER: 94:3857

ORIGINAL REFERENCE NO.: 94:715a,718a

TITLE: Carboxylic acid derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Mot

INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu; Tsuji, Masayoshi; Amano, Hidetoshi; Ide, Hiroyuki

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55040650	A	19800322	JP 1978-114394	19780916 <
PRIORITY APPLN. INFO.:			JP 1978-114394 A	19780916 <

GI For diagram(s), see printed CA Issue.

AB Sixteen carboxylic acid derivs. I (the ring is a benzene, cyclohexane, pyridine, or pyrazine ring; R = H, halo, alkyl, alkoxy, NO2; Rl = substituted Ph) were prepared by reaction of II with R1NH2. The data of homologous passive dermal reaction were given in rats. Thus, reaction of 2.96 g phthalic anhydride with 3.66 g 3,4,9-(MeO) 3C6H2NH2 in EtOH 24 h at room temperature gave 5.7 g N-(3,4,5-trimethoxyphenyl)phthalamidic acid. IT 78893-58-2P 78893-59-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) RN 75893-58-2 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(3,4,5-trimethoxyphenyl)amino]carbonyl]-(CA INDEX NAME)

RN 75893-59-3 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(3,5-dichloro-4hydroxyphenyl)amino]carbonyl]- (CA INDEX NAME)

L17 ANSWER 162 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN 1979:151840 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 90:151840

ORIGINAL REFERENCE NO.: 90:24125a,24128a Methyl N-acylanthranilates

TITLE:

INVENTOR(S): Kirino, Osamu; Yamamoto, Shigeo; Kato, Hisao PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 53130655 19781114 JP 1977-45269 19770419 <--Α JP 58048560 B 19831028 PRIORITY APPLN. INFO.: JP 1977-45269 A 19770419 <--

2-RCONHC6H4CO2Me (I; R = 2- or 3-furyl, 2-thienyl, 2-, 3-, or 4-pyridyl, pyrazinyl) were prepared by treating 2-H2NC6H4CO2Me (II) with RCO2H or their reactive derivs. Antibacterial test data of I against Sphaerotheca fuliginea and Erysiphe graminis are given. Thus, stirring 15.1 g II, pyrazinecarboxylic acid, and dicyclohexylcarbodiimide in C6H6 4 h at room temperature gave 21.5 g I (R = pyrazinyl).

69873-69-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and bactericidal activity of)

69873-69-4 CAPLUS RN

CN Benzoic acid, 2-[(2-pyrazinylcarbonyl)amino]-, methyl ester (CA INDEX NAME)

L17 ANSWER 163 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:140042 CAPLUS
DOCUMENT NUMBER: 86:140042
ORIGINAL REFERENCE NO.: 86:21993a,21996a

TITLE: 1,5-Diphenylpyrazoles
INVENTOR(S): Reis, Hermann; Vilhuber, Heinz G.; Schulz, Lothar;

Lenke, Dieter
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.

PATENT ASSIGNEE(S): BASF A.-G., Fed. Re SOURCE: Ger. Offen., 13 pp.

DOCUMENT TYPE: CODEN: GWXXBX
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2525024	A1	19761230	DE 1975-2525024		19750605 <
PRIORITY APPLN. INFO.:			DE 1975-2525024	A	19750605 <
0.7					

- AB Antinflammatory (carboxamidophenyl)pyrazoles (I; R = 2-, 3-, and 4-pyridinyl, 2-chloro-3-pyridinyl, 2-chichoro-4-methyl-3-pyridinyl, 4-pyrimidinyl, 2-pyrazinyl) are prepared by acylation of 5-(4-aminophenyl)-1-phenylpyrazole (II) with the appropriate acyl chlorides. Thus, reaction of ClCH:CHCCC6H4NO2-4 with PhNNI2 gives 94% PNNICH:CHCCC6H4NO2-4 which on condensation with PhNNINI2 gives 95% 5-(4-nitrophenyl)-1-phenylpyrazole (III). Hydrogenation of III gives 87% II. Nicotinic acid is converted with SCO12 to the acid chloride which reacts with II in PhNe in the presence of Et3N at 60° to give 76% I (R = 3-pyridinyl) (IV). IV has 87% of the activity of phenylbutazone with <20% of its toxicity.
- IT 62089-25-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

- RN 62089-25-2 CAPLUS
- CN 2-Pyrazinecarboxamide, N-[4-(1-phenyl-1H-pyrazo1-5-yl)phenyl]- (CA INDEX NAME)

L17 ANSWER 164 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:542990 CAPLUS

DOCUMENT NUMBER: 85:142990

ORIGINAL REFERENCE NO.: 85:22917a,22920a

TITLE: N-monosubstituted-2,3-pyridinedicarboxamides, and related compounds

INVENTOR(S): Jacobs, Richard L.

PATENT ASSIGNEE(S): Sherwin-Williams Co., USA SOURCE: U.S., 10 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3960877	A	19760601	US 1974-536947	19741223 <
PRIORITY APPLN. INFO.:			US 1968-740046 A1	19680626 <
			US 1970-82804 A1	. 19701021 <
			US 1973-381770 A1	19730723 <

GΙ

- AB Amides I-III (R or R1 = alkyl, cycloalkyl, substituted benzyl, etc.) were prepared by treating dicarboximides with RNH2 or N-substituted dicarboximides with NH3. The amides are intermediates for herbicidal condensed pyrimidines. Thus I (R = CHMe2, R1 = H) on heating with base gave pyridopyrimidinedione IV.
- IT 60554-71-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 60554-71-4 CAPLUS

CN 2,3-Pyrazinedicarboxamide, N2-phenyl- (CA INDEX NAME)

L17 ANSWER 165 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:492284 CAPLUS
DOCUMENT NUMBER: 79:92284

ORICHAIN DESERBACE NO. 120-14006-14008-

ORIGINAL REFERENCE NO.: 79:14995a,14998a
TITLE: Anticonvulsive a

TITLE: Anticonvulsive and tranquilizing pyrrolopyrazines INVENTOR(S): Cotrel, Claude; Jeanmart, Claude; Messer, Mayer N.

PATENT ASSIGNEE(S): Rhone-Poulenc S. A. SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

LANGUAGE:								
FAMILY	ACC.	NUM.	COUNT					
PATENT	INFO	RMATI	ON:					

PA	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE		
DE	2300491	A1	19730719	DE	1973-2300491	19730105	/	
	2300491	B2	19770908	-	1575 2500451	13730103	`	
	2166314	A1	19730817	FR	1972-505	19720107		
	2205318	A2	19740531		1972-39731	19721109		
	102698	A5	19731220		1972-167951	19721228	<	
	82478	B1	19751031		1972-159840	19721228		
	91759	B1	19770331		1972-174539	19721228		
	91760	B1	19770331		1972-174540	19721228		
	7217852	A	19730710		1972-17852	19721229		
	3862149	A	19750121		1972-319876	19721229		
	7300072	A	19730926		1973-72	19730104		
	164821	В	19740411		1973-R0691	19730104		
	7350754	Ā	19740704		1973-50754	19730104		
	793730	A1	19730705		1973-126194	19730105		
	48076892	A	19731016		1973-69	19730105		
	52003952	В	19770131					
	1358680	A	19740703	GB	1973-796	19730105	<	
CH	560702	A5	19750415	CH	1974-11606	19730105	<	
CH	560703	A5	19750415	CH	1974-11607	19730105	<	
AT	323181	В	19750625	AT	1973-100	19730105	<	
CH	564558	A5	19750731	CH	1973-113	19730105	<	
CA	991183	A1	19760615	CA	1973-160620	19730105	<	
SU	548212	A3	19770225	SU	1973-1873290	19730105	<	
NO	136843	В	19770808	NO	1973-62	19730105	<	
CS	180649	B1	19770831	CS	1976-4995	19730105	<	
CS	180650	B2	19770831	CS	1976-4996	19730105	<	
SE	398503	В	19771227	SE	1973-159	19730105	<	
SE	398503	C	19780406					
	180610	B2	19780131		1973-122	19730105		
FI	54124	В	19780630	$_{\rm FI}$	1973-27	19730105	<	
FΙ	54124	C	19781010					
DK	139359	В	19790205	DK	1973-69	19730105	<	
	139359	C	19790709					
SU	507240	A3	19760315		1974-1993903	19740206		
SU	504484	A3	19760225	SU	1974-1995434	19740213	<	

JP 52048687	A	19770418	JP	1976-106831		19760908 <
JP 52031358	В	19770813				
JP 52048688	A	19770418	JP	1976-106832		19760908 <
PRIORITY APPLN. INFO.:			FR	1972-505	A	19720107 <
			FR	1972-39731	A	19721109 <

GI For diagram(s), see printed CA Issue.

AB Five pyrrolopyrazines (I; R = 3-02NC6H4, 5-chloro-2-pyridyl,

6-methyl-3-pyridazinyl, or 7-chloro-2-quinolyl; n = 0 or 1), useful as tranquilizers and anticonvulsants, were prepared by reaction of II with YCl or successively with CLCO2Ph and 1-methylpiperazine, optionally followed by oxidation II were prepared by reaction of RNH2 with

2,3-pyrazinedicarboxylic anhydride, followed by ring closure, and KBH4 reduction of the resulting 5,7-dioxopyrrolopyrazine derivs.

IT 43200-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 43200-87-9 CAPLUS

CN 2-Pyrazinecarboxylic acid, 3-[[(3-nitrophenyl)amino]carbonyl]- (CA INDEX NAME)

L17 ANSWER 166 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:99069 CAPLUS
DOCUMENT NUMBER: 78:99069
ORIGINAL REFERENCE NO.: 78:15905a,15908a

TITLE: Azo dyes for color photography

INVENTOR(S): Piller, Bernhard; Lenoir, John; Froehlich, Alfred;

Stauner, Thomas; Tschopp, Paul

PATENT ASSIGNEE(S): Ciba-Geigy A.-G. SOURCE: Ger. Offen., 104 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2216592	A	19721019	DE 1972-2216592	19720406 <
DE 2216592	C2	19820930		
CH 572230	A5	19760130	CH 1971-5058	19710407
CH 566029	A5	19750829	CH 1971-7208	19710514
CH 572231	A5	19760130	CH 1971-13605	19710916
AU 7240352	A	19730927	AU 1972-40352	19720323 <
AU 7240651	A	19731004	AU 1972-40651	19720330 <
CA 985675	A1	19760316	CA 1972-138612	19720330 <
CA 987310	A1	19760413	CA 1972-138614	19720330 <
IT 958675	В	19731030	IT 1972-89525	19720405 <
IT 958676	В	19731030	IT 1972-89526	19720405 <
GB 1372448	A	19741030	GB 1972-15612	19720405 <
BE 781728	A1	19721006	BE 1972-115988	19720406 <
BE 781729	A1	19721006	BE 1972-115989	19720406 <
NL 7204615	A	19721010	NL 1972-4615	19720406 <

NL 7204616	A	19721010 NL	1972-4616		19720406	<
FR 2132697	A5	19721124 FF	1972-12026		19720406	<
FR 2132697	B1	19740913				
FR 2132734	A5	19721124 FF	1972-12183		19720406	<
FR 2132734	B1	19740802				
JP 56011941	В	19810318 JF	1972-33985		19720406	<
AT 317672	В	19740910 AT	1972-3022		19720407	<
JP 56011942	В	19810318 JF	1972-34511		19720407	<
US 4118232	A	19781003 US	1977-777867		19770315	<
PRIORITY APPLN. IN	WFO.:	CH	1971-5058	A	19710407	<
		CH	1971-7208	A	19710514	<
		CH	1971-13605	A	19710916	<
		US	1972-238944	A1	19720328	<
		US	1975-606395	A3	19750821	<

- AB Approx. 300 disazo dyes (I, R=H, Me, alkylaryl; X = halogen, Me, OMe, SMe, CF3, NHBz, Q = aromatic or heterocyclic dicarboxylic acid residue) were prepared by the reaction of an amino azo compound with a diacyl chloride and are especially useful for diffusion transfer Rg-dye bleach processes. Thus, 5, 4, 2-Me(O2N) (H2N)C6H2SO3NH4 was diazotized and coupled with ZH (R = 2,6-Me2C6H3), reduced with Na2S, and acylated with m-C6H4(COC1)2 to give disazo dye (II R = 2,6-Me2C6H3 in z) 138219-20-2], Amaximum 524 and 542 nm in DMF. In another example, 4,3-C1(H2N)C6H3CO2Me was acylated with 4-MeC6H4COC1 to give 2,5-C1(ECDC6H3MHCOC6H4Me-4, followed by hydrolysis, oxidation with KHnO4, and treatment with SCC12 to give 2,5-C1(CLCO)C6H3MHCOC6H4COC1-4 which was condensed with 5,4,2-Me(H2N)(ROSS)C6H2N:NZ (R = 2,6-Me2C6H3 in Z) to give disazo dye (III R = 2,6-Me2C6H3) [38359-32-9], Amaximum 526 and 545 in DMF-H2O.
  - T 41522-66-1 41522-67-2 41522-68-3
- 41675-97-2
  - RL: USES (Uses)
- (photog. sensitization maximum of) RN 41522-66-1 CAPLUS
- CN 2-Naphthalenesulfonic acid, 5,5'-[(3,6-dimethyl-2,5-
- pyrazinediyl)bis[carbonylimino(4-methoxy-6-sulfo-3,1-phenylene)azo]]bis[6-(2,6-dimethylphenyl)amino]-4-hydroxy-(9CI) (CA INDEX NAME)

- RN 41522-67-2 CAPLUS
- CN 2-Naphthalenesulfonic acid, 5,5'-[(3,6-dimethyl-2,5pyrazinediyl)bis[carbonylimino(4-methyl-6-sulfo-3,1-phenylene)azo]]bis[6-[(2,6-dimethylphenyl)amino]-4-hydroxy- (9C1) (CA INDEX NAME)

- RN 41522-68-3 CAPLUS
- CN 2-Naphthalenssulfonic acid, 5,5'-[2,5-pyrazinediylbis[carbonylimino(4-methoxy-6-sulfo-3,1-phenylene)azo]]bis[6-[(2,6-dimethylphenyl)amino]-4-hydroxy-(9CI) (CA INDEX NAME)

- RN 41675-97-2 CAPLUS
- CN 2-Naphthalenesulfonic acid, 5,5'-[(3,6-dimethyl-2,5pyrazinediyl)bis[carbonylimino[2-sulfo-5-(trifluoromethyl)-4,1phenylene]azo]]]bis[6-(2,6-dimethylphenyl)-4-hydroxy- (9CI) (CA INDEX NAME)

L17 ANSWER 167 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1972:434570 CAPLUS

KIND DATE

DOCUMENT NUMBER: 77:34570

ORIGINAL REFERENCE NO.: 77:5763a,5766a

TITLE: Pyrazinamide derivatives as diuretics and natriuretics INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenneth L.

PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: Fr. Demande, 54 pp. CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT NO.

PRI GI AB

PATENT INFORMATION:

	FR 2034542	19710108		<
10	RITY APPLN. INFO.:		US	19690212 <
	For diagram(s), see	printed CA Issue		
	Refluxing a mixture	of I (R1 = Me, R	2 = R3 = H, $R4 = C1$ ), $5$ %	aqueous NaOH, and
	iso-PrOH for 1 hr g	ave the carboxyli	c acid I (R1 = R2 = R3 =	H, R4 = C1)
	(II). A mixture of	CH.tplbond.CCH2N	H2, Me 3-amino-5,6-dichl	oropyrazinoate,
	and Me2SO when stir:	red for 1 hr gave	I (R1 = Me, R2 = H, R3	=
	CH.tplbond.CCH2, R4	= C1) which on h	ydrolysis gave the corre	sponding
	carboxylic acid, R1	= H. Using simi	lar methods, 21 I were p	repared in which
	R1 = H, $R2 = H$ , $Me$ ,	allyl, cyclopent	yl, Me2NCH2CH2, 2-furylm	ethyl, MeO,
	NH2, etc., $R3 = H$ or	r Me, R4 = C1, Br	, or iodo. To a solution	on of II, Et3N,
	and Me2NCHO was add	ed N-tert-butyl-5	-methylisoxazolium perch	lorate (III)
	and the mixture sti:	rred 2 hr to give	IV $(R2 = R3 = H, R4 = C$	1, R5 = Me, R6 =
	Me3C) (V). Ninetee	n IV were similar	ly prepared in which R2	= H, allyl,
	propargyl, cyclopen	tyl, hydroxyalkyl	, benzyl, furylmethyl, p	henyl,
	substituted phenyl,	MeO, NH2, Me, or	Et; R3 = H or Me; R4 =	Cl, Br, or
	iodo; R5 = Me or Ph	; R6 = Et, CMe3,	or Me. Refluxing a mixt	ure of
			ve VI (R2 = R3 = H, R4 =	
	pyrrolidino) as a h	igh m.p. solid.	Twenty-two VI were simil	arly prepared in
			d R1 was a group such as	MePrN(CH2)2,
	MeOCH2CH2, benzyl, 1			
			= R3 = H, R4 = C1, R1 =	
			uxing a mixture of 2-hyd	
			iamino-6-chloropyrazinoi	
	with Et3N in Me2NCH	O, then addition	of 2-hydrazinopyrimidine	in DMF and
	further heating gave	$e \ VI \ (R2 = R3 = H$	, R4 = C1, R1 = 2-pyrimi	dinylamino).

APPLICATION NO.

DATE

In THF, under similar conditions were prepared a further 14 amides and hydrazines VI including VI (R2 = R3 = H, R4 = C1, R1 = 4H-1,2,4-triazoly1). Stirring a mixture of benzamidine and VII in H2O for 2 hr gave IX. Five analogs were prepared using other amidines. In a similar manner using quanidine in place of benzamidine was prepared X (R = H) (XI) giving a crystalline hydrochloride. XI could also be prepared directly from

without isolation of intermediates. By similar methods were prepared X (R = OH, CH2Ph) and 39 analogs of X in which the NH2 adjacent to the C1 could also be substituted. With aminoquanidine and 2-hydrazino-2-imidazoline were prepared X (R = NH2 and 2-aminoimidazoline). A mixture of CNNH2 and Na in iso-PrOH was refluxed for 0.5 hr and then heated with N-tert-buty1-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)crotonamide to give N-cyano-3,5-diamino-6-chloropyrazinecar-boxamide. Refluxing N-tert-buty1-3-methy1-3-(3,5-diamino-6chloropyrazinylcarbonyloxy)acrylamide (XII) and benzyloxydiquanide in THF gave XIII (R = H, R1 = CH2Ph). Twelve XI in which R was H and R1 1-6C alkyl, or R was a substituent such as cyclopentyl, PhCH2, and furylmethyl, and R1 was substituted benzyl were prepared Refluxing a mixture of 2-amino-2-thiazoline, XII, and THF gave N-(2-thiazolin-2-yl)-3,5-diamino-6-chloropyrazinecarboxamide (XIV, R = R1 = R2 = R3 = H). Three analogs were prepared in which R was cyclopentyl, benzyl and HO(CH2)2, the other substituents being H, Me, or C6H13. XIV where RNH was pyrrolidino was also prepared The 4- and 2-pyridyl groups and 2-pyrimidinyl could be substituted for the thiazoline. Reaction of V with sulfamide and Et3N in MeCN at room-temperature gave XV (R = R1 = R2 = H, X = Cl). Eighteen XV were similarly prepared Properties are also given for a further 19 amides XVI. containing a wide variety of substituents. The products are useful in treatment of hypertension and related conditions by causing diuresis without elimination of potassium. Daily doses are 5 mg-1

32209-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 32209-55-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl- (CA INDEX NAME)

L17 ANSWER 168 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1971:420438 CAPLUS

DOCUMENT NUMBER: 75:20438 ORIGINAL REFERENCE NO.:

75:3278h,3279a

TITLE: N-substituted 3,5-diamino-6-halopyrazinamides INVENTOR(S): Shepard, Kenneth L.; Cragoe, Edward J., Jr.

Merck and Co., Inc. PATENT ASSIGNEE(S): U.S., 10 pp. SOURCE: CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.

	US 3573306	A	19710330	US 1969-804663	19690305						
	NL 7001141	A	19700908	NL 1970-1141	19700127 <						
	BE 746816	A	19700904	BE 1970-746816	19700304 <						
PRIC	RITY APPLN. INFO.:			US 1969-804663	A 19690305 <						
AB	Addition of diphen	ylcarba	moyl chloride	to 3,5-diamino-6-ch	nloropyrazinoic acid						
	and Et3N in HCONMe	2 gave	3,5-diamino-	-chloropyrazinecarbo	oxylic						
	diphenylcarbamic a	nhydrid	e (I). Reflu	xing Na in iso-PrOH	with						
	guanidine-HCl and	additio	n of I gave :	l-(3,5-diamino-6-							
	chloropyrazinoyl)c	uanidin	e. Similarly	prepared were							
	1,1,3,3-tetramethy1-2-(3,5-diamino-6-chloropyrazinoy1) quanidine,										
	1-(3,5-diamino-6-chloropyrazinoy1)-3-cyanoguanidine,										
	N-methyl-N-(cyanomethyl)-3,5-diamino-6-chloropyrazinecarboxamide,										
	N-(2,2-diethoxyeth	y1)-3,5	-diamino-6-cl	nloropyrazinecarboxan	mide,						
	N-(2-morpholinoeth	y1)-3,5	-diamino-6-cl	nloropyrazinecarboxan	mide,						
	N-(4-pyridylmethyl	)-3,5-d	iamino-6-chl	propyrazinecarboxamic	de,						
	N-(2-pyridy1)-3,5-	diamino	-6-chloropyra	azinecarboxamide,							
	3,5-diamino-6-chlo	ropyraz	inecarboxyli	acid 1,2-dimethylhy	ydrazide,						
	3,5-diamino-6-chlo	ropyraz	inecarboxyli	acid							
	1-methyl-2-benzyli	denehyd	razide, and								
	N-(3,5-diamino-6-c	hloropy	razinoyl)mor	pholine. These compo	ds. had diuretic						
	activity at 10-100	mg.									
IT	32209-55-5P										
	RL: SPN (Synthetic	prepar	ation); PREP	(Preparation)							
	(preparation of										
RN	32209-55-5 CAPLUS										

2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl- (CA INDEX NAME)

CN

L17 ANSWER 169 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1969:78013 CAPLUS
DOCUMENT NUMBER: 70:78013
ORIGINAL REFERENCE NO.: 70:14573a,14576a

TITLE: 2-Methyl-3-phenyl-4(3H)-pteridinones

INVENTOR(S): Nakanishi, Michio; Tahara, Tetsuya; Maruyama, Yutaka

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd. SOURCE: U.S., 2 pp.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3426022	A	19690204	US 1967-641143	19670525 <
SE 307365	В	19690107	SE 1967-7240	19670523 <
FR 6890	M	19690421	FR 1967-6890	19670526 <
GB 1181284	A	19700211	GB 1967-1181284	19670530 <
PRIORITY APPLN. INFO.:			JP 1966-34445 A	19660528 <
OTHER SOURCE(S).	MARPAT	70.78013		

OTHER SOURCE(S): MARPAT 70:78013
GI For diagram(s), see printed CA Issue.

AB The title compds. (I), are prepared by treating

3-(acetamido)pyrazine-2-carboxylic acid (II) or its cyclized derivs. 2-methyl-4H-pyrazino[2,3-d]-1,3-oxazin-4-one (III) with an aniline in the presence of a dehydrating agent. Thus, 2 g. PhNH2 and 2 ml. PCl3 were added to 4 g. II in 100 ml. toluene, and refluxed 3 hrs. giving 3.2 g. I (Rl = R2 = R3 = H), m. 230-2° (EtOH). I (Rl = R2 = H, R3 = MeO), m. 209-11°, was prepared by stirring 4.5 g. III, 3.5 g. p-anisidine, in 70 ml. tetrahydrofuran (THF) with 6 g. dicyclohexylcarbodiimide (IV) 6 hrs. at room temperature Similarly prepared were the following I (R1 R2, R3,

m.p. given): Me, H, H, 184-6°; H, H, Br, 224-5°; H, F3C, H, 201-4°; Me, H, Me, 183-4°; H, H, Cl, 190-1°; H, H, F, 233-4°. A solution of 5 g. III and 5 g. 3,4-dichloroaniline in 50 ml. THF was stirred 30 min. at room temperature and filtered, giving 9.5 g. 3-(acetamido)pyrazine-2-carboxy-3',4'-dichloroanilide (V), m. 120-2°. A suspension of 6 g. V in 5; ml. THF was stirred 5.5 hrs. at room temp with 2.5 g. IV, filtered, and the filtrate evaporated to give 5.2 g. I (RI = H, R2 = R3 = Cl), m. 293-4°.

3-(Acetamido)pyrazine-2-carboxy-3'-(trifluoromethyl)-anilide, m. 154-5° (decomposition) was similarly prepared and cyclized. These compds. are useful as antiinflammatory agents.

T 21635-46-1P 21635-48-3P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 21635-46-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-(acetylamino)-N-(3,4-dichlorophenyl)- (CA INDEX NAME)

RN 21635-48-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-(acetylamino)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L17 ANSWER 170 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1966:52786 CAPLUS

DOCUMENT NUMBER: 64:52786
ORIGINAL REFERENCE NO.: 64:9905f-q

TITLE: Poly(oxymethylene) articles

PATENT ASSIGNEE(S): J. R. Geigy A. -G. SOURCE: 13 pp.
DOCUMENT TYPE: Patent LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE BE 652264 19641216 BE 19640825 <--PRIORITY APPLN. INFO.: CH 19640604 <--Poly(oxymethylenes) or their copolymers are treated with 0.005-0.05% 3-phenvl-7-triazolvl (or triazinvlamino)coumarin, or a 2(or 3 or 4)-chloro-2'-cvano-4'-(1,2-naphthotriazolv1)stilbene, or a 4,4'-bis [4-(substituted amino)-6-anilino-s-triazin-2-ylamino] stilbene-2,2'-disulfonic acid, or 3,5-bis(alkylamino)-2-benzamido-6alkanoylamino-1,4-diazine as optical brighteners. Thus, a mixture of 500 g. Delrin and 0.045 g. 3-phenyl-7-(3-methylpyrazol-1-yl)coumarin is injection-molded at 120-250° to give pure white plaques, as compared with yellowish plaques for the control. 6994-55-4, 2,6-Pyrazinedicarboxamide, N-methyl-3,5-bis(methylamino)-N'-phenyl-6994-56-5, Benzoic acid, m-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-,

methyl ester
 (as optical brightening agent for polyoxymethylenes)

N 6994-55-4 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-methyl-3,5-bis(methylamino)-N6-phenyl- (CA INDEX NAME)

RN 6994-56-5 CAPLUS

CN Benzoic acid, 3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2pyrazinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

L17 ANSWER 171 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1965:499120 CAPLUS
DOCUMENT NUMBER: 63:99120
ORIGINAL REFERENCE NO.: 63:18317f-h,18318a

TITLE: Fluorescent brightening agents

INVENTOR(S): Tanaka, Tosbiki
PATENT ASSIGNEE(S): Japan Chemical Works Co., Ltd.

SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 40006100	B4	19650326	JP	19620904 <
RITY APPLN. INFO.:			JP	19620904 <

PRIORITY APPLN. INFO.: GI For diagram(s), see printed CA Issue.

AB Compds. of the formula I, where R, R1, R2, and R3 are H, alkyl, or alkylene radicals and X and Z are O, S, or NH, and A, Al, and Y are H, are fluorescent brightening agents for polyesters and polyolefins. Thus, 24 parts 2-(paminophenyl)-6-methylbenzothiazole was diazotized and added at 0-5° to a stirred solution of 10 parts maleic anhydride in aqueous Me2CO in the presence of 40 parts NaOAc. The mixture was treated with 20 parts 10% HCl containing 0.5 part CuCl, stirred 30 min. at <10°, and kept 1 h. at 50° to give p-(6-methylbenzothiazol-2-yl)cinnamic acid (II), which was purified by dissoln. in 3% aqueous Na2CO3. A mixture of 30 parts II and 12 parts 2,5-HO(Me)C6H3NH2 (III) was heated under N at 160-70° for 6 h. and at 200-10° for 2 h. to give pale yellow I (R R2 Me, R1 R3 Y A A1 H, X S, Z O), m. 240°(PhC1). ZnC12 or H3BO3 may be used as a condensing agent. Similarly, the following I (A Y Y1 H) were prepared (R, R1, R2, R3, X, Z, color, and m.p. given): Me, Me, H, H, S, S, pale vellow, 263-5°; Me, H, H, H, S, NH, pale vellow-green, 270-2°; H, H, H, H, S, O, pale yellow, >300°; H, H, H, H, S, S, pale vellow, >300°; H, H, H, H, S, NH, pale vellow, >300°: H. H. R2R3 = CH:CHCH:CH, S. O. pale vellow, >300°. Cf. following abstract 4086-36-6P, Ammonium, benzyl[3-[p-[3,5-bis(methylamino)-6-(methylcarbamoyl)-2-pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 4129-06-0P, Ammonium, benzyl[3-[m-[3,5-bis(methylamino)-6-(methylcarbamovl)-2-

pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 6820-71-9P , Ammonium, triethyl(2-hydroxyethyl), ethyl sulfate,

p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]benzoate RL: PREP (Preparation) (preparation of)

4086-36-6 CAPLUS RN

CN

Benzenemethanaminium, N-[3-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N, N-dimethyl-, chloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ph-CH}_2\text{-N}^{\pm} \text{ (CH}_2) \text{ 3-NH-C} \\ \text{Me} \\ \end{array} \\ \begin{array}{c} \text{O} \\ \text{NH-C} \\ \text{NH-N} \\ \end{array} \\ \begin{array}{c} \text{NHMe} \\ \text{NHMe} \\ \end{array}$$

c1-

4129-06-0 CAPLUS

Benzenemethanaminium, N-[3-[[3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N, N-dimethyl-, chloride (1:1) (CA INDEX NAME)

● c1-

RN 6820-71-9 CAPLUS

CN Ethanaminium, 2-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]oxy]-N, N, N-triethyl-, ethyl sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 48028-76-8 CMF C2 H5 O4 S

Et-0-503-

CM

CRN 47766-06-3 CMF C24 H36 N7 O4

L17 ANSWER 172 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1965:499119 CAPLUS

DOCUMENT NUMBER: 63:99119

ORIGINAL REFERENCE NO.: 63:18317b-f

TITLE: Fluorescent brightening agents for polyacrylonitrile

PATENT ASSIGNEE(S): J. R. Geigy A.-G.

SOURCE: 18 pp.
DOCUMENT TYPE: Patent

LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6412539		19650503	NL 1964-12539	19641028 <
BE 654991			BE	

```
FR 1412795
                                            FR
                                            GB
     GB 1031548
                                            CH
                                                                   19631029 <--
PRIORITY APPLN. INFO .:
    For diagram(s), see printed CA Issue.
AB
    Compds. of the general formula I are prepared and quaternized to give II. A
     suspension of 25.7 q. ACl (III) in 500 mL. PhCl is added dropwise at
     -5° to a stirred solution of 25.7 g. m-H2NC6H4SO2NH(CH2)3NMe2 in 300
     mL. C5H5N and 200 mL. PhCl. The mixture is stirred 12-16 h. at 0°,
     briefly at 50°, and steam distilled, the residue neutralized with
     .apprx. 20 g. Na2CO3, and steam distilled again, to yield I (X = H, Y =
     3-SO2NH, n = 3, R = Me) (IV), yellow crystals, m. 161-3° (1:1
     PhCl-ligroine). Similarly are obtained the following I (X, Y, n, R, and
     m.p. given): H, 3-CONH, 3, Me, 214-16° (EtOAc) (V); H, 4-CONH, 3,
     Me, 192-5° (VI); H, 3-CONH, 2, Et, 158-60°; H, 4-CONH, 2,
     Et, 153-6°; H, 3-CONH, 3, Et, 176-7°; H, 4-CONH, 3, Et,
     168-70°; 3-C1, 4-CONH, 3, Me, 197-9°; 4-Me, 3-CONH, 3, Me,
     203-5°; H, 4-CO2, 2, Et, 91-2° (VII); H, 3-CO2, 2, PhCH2,
     110-.14° (VIII); H, 4-CO2, 2, PhCH2, 91-6° (IX); H,
     4-CO2CH2CH2O, 2, Et, 144-5° (X). Compds. VII-X, before crystallization
     from 1:1 Me2COC5H12, are dissolved in Me2CO and filtered through an
     Al203column. Me2N(CH2)3NH2 (XI) (20.4 g.) is added dropwise to a
     suspension of 41.2 g. ANHC6H4SO2Cl-4 in 500 mL. PhCl, the mixture is stirred
     6 h. at 90°, steam distilled, and the residue in Me2CO filtered
     through Al2O3 to yield I (X = H, Y = 4-SO2NH, n = 3, R = Me), m.
     204-7°; similarly V is prepared from XI and ANHC6H4COC1. A solution of
     47.8 g. IV in 300 mL. PhCl is stirred and reacted slowly at 90-5°
     with 13.8 g. PhCH2Cl (XII), stirred 7 h. at 90-5°, and cooled to
     yield II (X = H, Y = 3-SO2NH, n = 3, R = Me, R' = PhCH2, Z = C1), white
     powder, m. 193-5° (iso-BuOH). Similarly, other II (X = H) are
     prepared (starting amine, quaternizing agent, and m.p. given): V, Me2SO4
     (XIII), 208-10°; V, XII, 234-6°; VI, XIII, 226-7°;
     VI, XII, 170-1°; VII, Et2SO4, 230-3°. Compds. I and II in
     0.01-0.2% acid or neutral solns, with nonionic detergents, develop a
     strong bleaching effect on polyacrylonitrile fibers.
    3991-89-7P, 2,6-Pyrazinedicarboxamide,
     N-[m-[[3-(diethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 3991-90-0P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[3-(diethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis (methylamino) - 3991-95-5P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[3-(dimethylamino)propyl]carbamoyl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 4037-74-5P, Benzoic acid,
     p-[3,5-bis(methylamino)-6-(methylcarbamovl)pyrazinecarboxamido]-,
     2-[2-(diethylamino)ethoxy]ethyl ester 4046-41-7P,
     2,6-Pyrazinedicarboxamide, N-[m-[[3-
     (dimethylamino)propyl]sulfamoyl]phenyl]-N'-methyl-3,5-bis(methylamino)-
     4046-42-8P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[2-(diethylamino)ethyl]carbamovl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 4086-34-4P, 2,6-Pyrazinedicarboxamide,
     N-[p-[[3-(dimethylamino)propyl]sulfamoyl]phenyl]-N'-methyl-3,5-
     bis(methylamino) - 4086-35-5P, Ammonium,
     [3-[m-[3,5-bis(methylamino)-6-
     (methylcarbamov1)pyrazinecarboxamido]benzamido]propyl]trimethyl, methyl
     sulfate 4086-36-6P, Ammonium,
```

benzy1[3-[p-[3,5-bis(methylamino)-6-(methylcarbamoyl)-2pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 4108-49-0P
, 2,6-Pyrazinedicarboxamide, N-[m-[2-(diethylamino)ethyl]carbamoyl]phenyl]-

N'-methyl-3,5-bis(methylamino)- 4129-05-9P, Benzoic acid, m-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-,

2-(dibenzylamino)ethyl ester 4129-06-0P, Ammonium, benzyl[3-[m-[3,5-bis (methylamino)-6- (methylcarbamoyl)-2pyrazinecarboxamido]benzamido]propyl]dimethyl, chloride 4129-07-1P

, Ammonium, [3-[p-[3,5-bis(methylamino)-6-

(methylcarbamoyl)pyrazinecarboxamido]benzamido]propyl]trimethyl, methyl sulfate 4168-67-6P, Benzoic acid,

p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-,

2-(dibenzylamino)ethyl ester 4180-46-5P,

2,6-Pyrazinedicarboxamide, N-[m-[[3-

(dimethylamino)propyl]carbamoyl]phenyl]]-N'-methyl-3,5-bis(methylamino)-

4180-47-6P, Ammonium, benzyl[3-[N3-[(3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinyl)carbonyl]metanilamido]propyl]dimethyl, chloride

4189-28-0P, Benzoic acid, p-[3,5-bis(methylamino)-6-

(methylcarbamoyl)pyrazinecarboxamido]-, 2-(diethylamino)ethyl ester

4193-51-5P, 2,6-Pyrazinedicarboxamide,

N-[3-chloro-4-[[3-(dimethylamino)propy1]carbamoy1]pheny1]-N'-methy1-3,5-

bis(methylamino) - 4366-29-4P, 2,6-Pyrazinedicarboxamide,

N-[3-[[3-(dimethylamino)propyl]carbamoyl]-p-tolyl]-N'-methyl-3,5-

bis(methylamino) - 6820-71-9P, Benzoic acid,

p-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]-, ester with triethyl(2-hydroxyethyl)ammonium ethyl sulfate RE. PREP (Preparation)

(preparation of)

RN 3991-89-7 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[3-

(diethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 3991-90-0 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[3-

(diethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 3991-95-5 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[3-(dimethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5bis(methylamino)- (CA INDEX NAME)

RN 4037-74-5 CAPLUS

CN Benzoic acid, 4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2pyrazinyl]carbonyl]amino]-, 2-[2-(diethylamino)ethoxy]ethyl ester (CA INDEX NAME)

RN 4046-41-7 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[3-(dimethylamino)propyl]amino]sulfonyl]phenyl]-N6-methyl-3,5bis(methylamino)- (CA INDEX NAME)

RN 4046-42-8 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[2-(diethylamino)ethyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

RN 4086-34-4 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[4-[[[3-(dimethylamino)propyl]amino]sulfonyl]phenyl]-N6-methyl-3,5bis(methylamino) - (CA INDEX NAME)

RN 4086-35-5 CAPLUS

CN Ammonium, [3-[m-[3,5-bis(methylamino)-6-(methylcarbamoyl)pyrazinecarboxamido]benzamido]propyl]trimethyl-, methyl sulfate (8CI) (CA INDEX NAME)

CM 1

CRN 47731-82-8 CMF C22 H33 N8 O3

CM 2

CRN 21228-90-0 CMF C H3 O4 S

Me-0-503-

RN 4086-36-6 CAPLUS

CN Benzenemethanaminium, N-[3-[[4-[[13,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ph-CH}_2\text{-N}^{\pm} \text{ (CH}_2) \text{ 3-NH-C} \\ \text{Me} \\ \end{array} \\ \begin{array}{c} \text{O} \\ \text{NH-C} \\ \text{NH-N} \\ \end{array} \\ \begin{array}{c} \text{NHMe} \\ \end{array}$$

RN 4108-49-0 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[2-(diethylamino)ethyl]amino]carbonyl]phenyl]-N6-methyl-3,5-bis(methylamino)-(CA INDEX NAME)

- RN 4129-05-9 CAPLUS
- CN Benzoic acid, 3-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2pyrazinyl]carbonyl]amino]-, 2-[bis(phenylmethyl)amino]ethyl ester (CA INDEX NAME)

- RN 4129-06-0 CAPLUS
- CN Benzenemethanaminium, N=[3-[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-pyrazinyl]carbonyl]amino]benzoyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

● C1-

- RN 4129-07-1 CAPLUS
- CN 1-Propanaminium, 3-[[4-[[13,5-bis(methylamino)-6-[(methylamino)carbonyl]pyrazinyl]carbonyl]amino]benzoyl]amino]-N,N,Ntrimethyl-, methyl sulfate (9CI) (CA INDEX NAME)

CM

CRN 50567-59-4 CMF C22 H33 N8 O3

CM

CRN 21228-90-0 CMF C H3 O4 S

Me-0-SO3-

RN 4168-67-6 CAPLUS

CN Benzoic acid, 4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2pyrazinyl]carbonyl]amino]-, 2-[bis(phenylmethyl)amino]ethyl ester (CA INDEX NAME)

RN 4180-46-5 CAPLUS CN 2,6-Pyrazinedicar

2,6-Pyrazinedicarboxamide, N2-[3-[[3(dimethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5bis(methylamino)- (CA INDEX NAME)

RN 4180-47-6 CAPLUS

CN

Benzenemethanaminium, N-[3-[[[3-[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2pyrazinyl]carbonyl]amino]phenyl]sulfonyl]amino]propyl]-N,N-dimethyl-, chloride (1:1) (CA INDEX NAME)

● C1-

RN 4189-28-0 CAPLUS

CN Benzoic acid, 4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2pyrazinyl]carbonyl]amino]-, 2-(diethylamino)ethyl ester (CA INDEX NAME)

RN 4193-51-5 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-chloro-4-[[[3-(dimethylamino)propyl]amino]carbonyl]phenyl]-N6-methyl-3,5bis(methylamino)- (CA INDEX NAME)

RN 4366-29-4 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-[3-[[[3-(dimethylamino)propyl]amino]carbonyl]-4-methylphenyl]-N6-methyl-3,5bis(methylamino)- (CA INDEX NAME)

RN 6820-71-9 CAPLUS

CN Ethanaminium, 2-[[4-[[[3,5-bis(methylamino)-6-[(methylamino)carbonyl]-2-

pyrazinyl]carbonyl]amino]benzoyl]oxy]-N,N,N-triethyl-, ethyl sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 48028-76-8 CMF C2 H5 O4 S

Et-0-503-

CM 2

CRN 47766-06-3 CMF C24 H36 N7 O4

L17 ANSWER 173 OF 173 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1963:53333 CAPLUS DOCUMENT NUMBER: 58:53333

ORIGINAL REFERENCE NO.: 58:9094g-h,9095a-g

TITLE: 3,5-Diaminopyrazine-2,6-dicarboxamides

INVENTOR(S): Daglish, Anthony F.; Vonderwahl, R.; Tillotson, G. A. PATENT ASSIGNEE(S): J. R. Geigy A .- G.

SOURCE: 8 pp. DOCUMENT TYPE: Patent LANGUAGE: Unavailable

PATENT INFORMATION:

	PATENT NO.	KIND DATE		APPLICATION NO.	DATE	
	DE 1087609		19600825	DE 1958-G24632	19580528 <	
	CH 358807			CH		
	CH 358808			CH		
	US 3043780		19620710	US 1958-737215	19580523	
	US 3175980		19650330	US 1961-179263	19611116	
	US 3201315		19650817	US 1962-168868	19620115	
RI	ORITY APPLN. INFO.:			CH	19570529 <	

For diagram(s), see printed CA Issue. 1,3-Diethyl-4-amino-5-nitrosouracil (I) 212 and 1,3-diethyl-4-aminouracil 183 in AcOH 750 refluxed 3 h. with stirring, cooled, and filtered yielded 3,2;5,6-bis[(1,3-diethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino] pyrazine 320 parts (II), m. 235.5-36° (75% AcOH). II 10, EtOH 200 parts, and N NaOH 300 volume parts. refluxed 2.5 h., cooled, and filtered gave 3,5-bis(ethylamino)pyrazine-2,6-bis(N-ethylcarboxamide) 7.5 parts, m.  $133-4^{\circ}$  (EtOH). In the same manner as II were prepared the following IV (R1, R2, R3, R4 and m.p. given): Pr, Pr, Pr, Pr, 150-1°; Bu, Bu, Bu, Bu (V), 115-16°; Me, Me, Me, Me (VI), 390°. Saponification of IV gave the corresponding VII (R1, R2, R3, R4, and m.p. given): Pr, Pr,

tο

XII

PhNH2 10 and dry C5H5N 400 parts, stirred overnight, steam distilled to remove the C5H5N, and filtered yielded X (R1 = R2 = R3 = Me, R4 = NHPh), light yellow crystals, m. 198-8.5° (EtOH). Similarly were prepared the following X with R1 = R2 = R3 = Me) (R4, m.p., and color of fluorescence given): NH2, 290-2°, violet blue; NHCH2CH2OH, 210-10.5°, violet-blue; NHPr, 218-19°, violet-blue; NHEt, 197-8.5°, violet-blue; NHCH2Ph, 218.5-20°, blue-violet; NHCH2CH2Ph, 76-8°, blue-violet; m-NHC6H4-OMe, 126.5-27° blue; NHBu, 194-6°, violet-blue; p-NHC6H4OPh, 252-4°, blue; NHCH2CH:CH2, 194-5.5°, violet-blue; NHC8H17, 121-21.5°, violet-blue; PhNH, 237-8°, blue-violet; NMe2, 128-9°, violet; NHCHEtMe, 188-90°, violet-blue; 2-pyridylamino, 223-4°, blue-violet; NHCMe3, 204-5°, violet-blue; p-NHC6H4Me, 211-12.5°, blue-violet; o-NHC6H4Me, 194-5°, blue-violet; m-NHC6H4Me, 172-3°, blue-violet; p-C1C6H4NH, 261-2.5°, blue-violet; m-ClC6H4NH, 185-7°, blue-violet; 3,4-C12C6H3NH, 216-17°, violet-blue; m-H02CC6H4NH, 268-70°; m-HO3SC6H4NH, -, violet-blue; p-HO3SC6H4NH, -, violet-blue; m-(p-MeC6NH4SO2NH)C6H4NH, 226-7° violet-blue; m-H2NO2SC6H4NH, 234-6°, violet-blue; morpholino, 155-6°, violet-blue; NHCHMe2, 175-7°, violet-blue; NH(CH2)30H, 147-9°, violet blue; 3-pyridylamino, 209-11°, blue-violet; 3,4-dimethyl-1-phenylpyrazolylamino, 267-9°, blue-violet; 2-thiazolylamino, 262-3°, blue-violet; 1-phenyl-3-pyrazolylamino, 236-8°, blue-violet; 6-quinolylamino, 232-4°, blue-violet; NHCONHPh, 233-4°, blue; NHCONHCH2Ph, 190-1°, violet-blue; NHCONHMe, 215-17°, violet-blue. Similarly were prepared the following XII (R1, R2, R3, and m.p. given): PhCH2, PhCH2, PhCH2, 161-2°; Et, Et, Et (XIII), 174-5°. XIII was converted in the usual manner to the anilide, m. 146.5-7.5°, and to the N-(2-pyridyl)amide, m. 108-9°. VIII 57, KOH 45, and EtOH 500 refluxed 6 h. and evaporated, and the residue acidified with dilute HCl gave

(R1 = R2 = Et, R3 = Me) (XIV) 43 parts, m 160-2°. XIV 20 treated 45 min. with SOC12 100 and evaporated, and the residue stirred overnight with concentrated NH40H 300 and EtOH 100 and filtered gave amide of XIV 16 parts, m. 223-4° (EtOH). Similarly were prepared the N-Et, N-Pr, and N-PhCH2 amides, m. 162-4°, 84-6°, and 87-9°, resp., of XIV.
VI 10 and PhCH2NH2 300 refluxed 24 h., cooled, diluted with H2O, and filtered yielded 3,2-[(1,3-dimethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrlmidino]-5-methylamino-6 - (Ar. benzylcarboxamido)pyrazine 9 parts, m. 204-5° (EtOH). 1,3-Dibtyl-4-aminouracil (XV) 48 and 5-NO derivative 34 of XV in 2N H2SO4 300 refluxed 3 h. with stirring, cooled, and filtered, and the residue in EtOH 1200 refluxed 2 h. with N NAHCO3 1800 and filtered gave V 66 parts, needles, m. 115-16° (EtOH). 93997-91-2P, 2,6-Pyrazinedicarboxamide, N-ethyl-3,5-bis (ethylamino)-N'-phenyl-

RL: PREP (Preparation)
(preparation of)

RN 93997-91-2 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-ethyl-3,5-bis(ethylamino)-N6-phenyl- (CA INDEX NAME)

=> fil stnguide COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 195.50 1069.26 DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -27.88-44.28

FILE 'STNGUIDE' ENTERED AT 16:17:07 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Feb 20, 2009 (20090220/UP).

DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS)

=> LOGOFF

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:Y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.84 1070.10

CA SUBSCRIBER PRICE

SINCE FILE TOTAL ENTRY SESSION 0.00 -44.28

STN INTERNATIONAL LOGOFF AT 16:24:19 ON 25 FEB 2009

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID: SSPTABEM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-,
NUMBER	3	MOTE	0.0	and Japanese-language basic patents from 2004-present
NEWS NEWS	4	NOV		MARPAT enhanced with FSORT command CHEMSAFE now available on STN Easy
NEWS	5	NOV		Two new SET commands increase convenience of STN
	-			searching
NEWS	6	DEC		ChemPort single article sales feature unavailable
NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN	06	The retention policy for unread STNmail messages
NEWS	10	JAN	07	will change in 2009 for STN-Columbus and STN-Tokyo WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
				Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	0.2	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS		FEB		COMPENDEX reloaded and enhanced
NEWS		FEB		WTEXTILES reloaded and enhanced
NEWS		FEB		New patent-examiner citations in 300,000 CA/CAplus
				patent records provide insights into related prior art
NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
NEWS	22	FEB	25	STN patent clusters USGENE enhanced with patent family and legal status
NEWS	EXP	RESS	JUNI	display data from INPADOCDB E 27 08 CURRENT WINDOWS VERSION IS V8.3,
			AND	CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS				Operating Hours Plus Help Desk Availability
NEWS NEWS				lcome Banner and News Items r general information regarding STN implementation of IPC 8
Enter				ed by the item number or name to see news on that
Speci.		CODIC		
				is subject to the provisions of the STN Customer ase note that this agreement limits use to scientific
× o o			100	for software devalorment or design or implementation

All use or SIN is subject to the provisions of the SIN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may

result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 18:05:15 ON 25 FEB 2009

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.22 0.22

FILE 'REGISTRY' ENTERED AT 18:05:21 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5 DICTIONARY FILE UPDATES: 24 FEB 2009 HIGHEST RN 1111415-98-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting  ${\tt SmartSELECT}$  searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10589407specieclaim33.str

 $1\text{--}2\ 1\text{--}6\ 2\text{--}3\ 3\text{--}4\ 4\text{--}5\ 5\text{--}6\ 10\text{--}11\ 10\text{--}15\ 11\text{--}12\ 12\text{--}13\ 13\text{--}14\ 14\text{--}15}$  containing 1 : 10 :

Match level :

normalized bonds :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS

=> d 11 L1 HAS NO ANSWERS

Structure attributes must be viewed using STN Express query preparation.

13 ANSWERS

250 ANSWERS

=> s 11 sss sam

SAMPLE SEARCH INITIATED 18:05:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 196 TO ITERATE

100.0% PROCESSED 196 ITERATIONS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

L2 13 SEA SSS SAM L1

=> s l1 sss full FULL SEARCH INITIATED 18:05:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4135 TO ITERATE

100.0% PROCESSED 4135 ITERATIONS

SEARCH TIME: 00.00.01

L3 250 SEA SSS FUL L1

=> d scan

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[5-acetyl-2-(3-amino-1-piperidinyl)phenyl]-3-amino-
- MF C18 H22 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):200

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(5,6,7,8,9,10-hexahydro-1,2,4-triazolo[4,3-a]azocin-3-yl)phenyl]-
- MF C19 H21 N7 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinepropanoic acid, β-[[[3-[[[3-amino-6-[(aminoiminomethyl)amino]-5-cyano-2-
- pyrazinyl]carbonyl]amino]phenyl]sulfonyl]amino]-
- MF C21 H20 N10 O5 S
- CI COM

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-hydroxy-4-methylphenyl)-
- MF C12 H12 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(6-amino-3,4-dihydro-2,5,7,8-tetramethyl-4-oxo-2H-1-benzopyran-2-yl)methoxy]phenyl]-
- MF C25 H27 N5 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-
- (cyclopropylcarbonyl)phenyl]-
- MF C20 H24 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

TN 2-Pyrazinecarboxamide, 3-amino-N-[3-[[[4-[(4-ethyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl|amino|carbonyl|-5-methoxyphenyl|-ME C27 H30 F3 N7 O3

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(1,3-benzodioxol-5-IN vlcarbonyl)amino]phenyl]-
- MF C19 H15 N5 O4

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pvrazinecarboxamide, 3-amino-N-[5-(aminocarbonvl)-2-(1-IN
- piperidinyl)phenyl]-
- MF C17 H20 N6 O2
- COM

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N-C & & & \\ & & & \\ & & N & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

- 1.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)

● HC1

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(4-TN methoxyphenyl)-
- MF C23 H26 N6 O2

- L3
- IN
- fluorophenyl)sulfonyl]amino]phenyl]-
- MF C17 H14 F N5 O3 S

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(4-methyl-4H-1,2,4-triazol-3yl)phenyl]-
- MF C14 H13 N7 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-4-fluoropheny1]-
- MF C16 H19 F N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-phenyl-
- MF C18 H16 N4 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(2-fluoro-5-methoxyphenyl)-N-[2-(1-piperazinyl)phenyl]-
- MF C22 H23 F N6 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(3-methyl-1-oxobutyl)amino]phenyl]-
- MF C16 H19 N5 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1-methylethyl)phenyl]-
- MF C14 H16 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-(trifluoromethy1)pheny1]-
- MF C17 H19 F3 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]phenyl]-
- MF C19 H17 N5 O5 S

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(4-methoxyphenyl)amino]phenyl]-
- MF C18 H17 N5 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-(4-fluorophenyl)-
- MF C11 H9 F N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N,6-diphenyl-
- MF C17 H14 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1-Piperazinecarboxylic acid, 4-[2-[[(3-amino-6-bromo-2-
- pyrazinyl)carbonyl]amino]-4-benzoylphenyl]-, 1,1-dimethylethyl ester
- MF C27 H29 Br N6 O4

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1-methylpropyl)phenyl]-
- MF C15 H18 N4 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(diethylamino)phenyl]-
- MF C15 H19 N5 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-cyano-2-(1-piperidinyl)phenyl]-,
  2,2,2-trifluoroacetate (1:?)
- MF C17 H18 N6 O . x C2 H F3 O2

CM 1

CM 2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(3-methoxyphenyl)-MF C12 H11 Br N4 02

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-6-[3-(aminocarbonyl)phenyl]-N-[2-(1-
- piperazinyl)phenyl]-

MF C22 H23 N7 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-chloro-4-[[3-
- (methylsulfonyl)benzoyl]amino]phenyl]-
- MF C19 H16 C1 N5 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methoxy-3-(3-pyridinylmethoxy)phenyl]-
- MF C18 H17 N5 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-
- chlorophenyl]-
- MF C16 H19 C1 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-[[3-(1-cyano-1methylethyl)benzoyl]amino]-2-methylphenyl]-

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-methoxypheny1]-6-pheny1-
- MF C23 H26 N6 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[[(4-ethoxyphenyl)amino]sulfonyl]-4-methoxyphenyl]-
- MF C20 H21 N5 O5 S

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-butoxyphenyl)-
- MF C15 H18 N4 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-4methylphenyl]-
- MF C17 H22 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-methyl-1H-tetrazol-5-yl)phenyl]-
- MF C13 H12 N8 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-IN 1,3-thiazin-4-y1]-4-fluoropheny1]-5-(2-methoxyethoxy)-
- C19 H23 F N6 O3 S

Absolute stereochemistry.

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3,4-dimethoxyphenyl)-
- MF C13 H14 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-
- piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- MF C23 H26 N6 O4 S . C1 H

● HCl

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)pheny1]-6-(2-fluoropheny1)-
- MF C22 H23 F N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Morpholineacetamide, N-[4-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]-
- MF C17 H20 N6 O3

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- ${\tt IN} \quad {\tt 2-Pyrazine} carboxamide, \ {\tt 3-amino-N-[4-[2-(ethylamino)-2-oxoethoxy]phenyl]-1} \\$
- MF C15 H17 N5 O3

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-MF C16 H20 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-
- 1-piperazinyl)sulfonyl]phenyl]-MF C23 H25 N7 O4 S
- CI COM

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(1-naphthalenyl)-N-[2-(1-
- piperazinyl)phenyl]-MF C25 H24 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2-benzothiazolylmethyl)phenyl]-
- MF C19 H15 N5 O S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C13 H12 C1 N5 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-methylphenyl]-
- MF C17 H22 N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Thieno[2,3-b]quinoline-2-carboxamide, N-[(15)-2-amino-1-[3-[[(3-amino-2-pyraziny1)carbony1]amino]pheny1]ethy1]-6- (1,1-dimethy1propy1)-5,6,7,8-tetrahydro-, (6S)-MF C30 H35 N7 O2 S

Absolute stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-6-(4-methoxyphenyl)-
- MF C29 H28 N6 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-hydroxyphenyl)-
- MF C11 H10 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-chlorophenyl)-
- MF C11 H9 C1 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5benzovlphenyl]-
- MF C23 H24 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methoxy-5-[[[3-

(trifluoromethyl)phenyl]amino]carbonyl]phenyl]-C20 H16 F3 N5 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[(2,2,2-
- trifluoroethyl)amino]carbonyl]phenyl]-
- MF C14 H12 F3 N5 O2

ME

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
  IN 2-Pyrazinecarboxamide, 3-amino-N-(3,5-dimethoxyphenyl)-
- MF C13 H14 N4 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromopheny1)-6-[4-[(4-methy1-1-
- piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- MF C22 H23 Br N6 O3 S . C1 H

● HCl

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-6-methyl-
- MF C17 H22 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-morpholiny1)pheny1]-
- MF C15 H17 N5 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C29 H30 N6 O5 S

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-(1H-pyrazol-
- 3-yl)phenyl]-MF C19 H22 N8 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-
- MF C24 H26 N6 O4 S
- CI COM

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-6-(2-IN fluoro-5-methoxyphenyl)-
- C23 H25 F N6 O2 MF

- 1.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methyl-4-(2-oxo-1-pyrrolidinyl)phenyl]-
- MF C16 H17 N5 O2

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-(3-methylphenyl)-C12 H12 N4 O IN
- MF

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5methoxyphenyl]-
- C17 H22 N6 O2 ME

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-ethyl-1-piperazinyl)-3-methylphenyl]-
- MF C18 H24 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C21 H20 N10 O5 S . C2 H F3 O2

CM 1

CM 2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-methylethyl)phenyl]-MF C14 H16 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-
- 2,5,7,8-tetramethy1-2H-1-benzopyran-2-y1]methoxy]pheny1]-
- MF C26 H30 N6 O4

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-(cyclopropylcarbonyl)-2-(1-
- piperazinyl)phenyl]-
- MF C19 H22 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methyl-4-(1-pyrrolidinyl)phenyl]-
- MF C16 H19 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4-fluorophenyl)methoxy]phenyl]-
- MF C18 H15 F N4 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-(aminocarbony1)-2-(1-piperidiny1)pheny1]-6-bromo-
- MF C17 H19 Br N6 O2

$$\begin{array}{c|c} 0 & 0 & \text{NH}_2 \\ \text{H}_2\text{N}-\text{C} & \text{N} & \text{N} \\ \end{array}$$

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

TN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]-, hydrochloride

C23 H23 F3 N6 O3 S . C1 H MF

● HC1

- T.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-phenyl-N-[2-(1-piperazinyl)phenyl]-
- MF C21 H22 N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- 1.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- TN 2-Pyrazinecarboxamide, 3-amino-N-(4-tricyclo[3.3.1.13,7]dec-1-ylphenyl)-
- MF C21 H24 N4 O

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- TN 2-Pyrazinecarboxamide, 3-amino-N-(2-aminopheny1)-6-(4-hydroxy-3methoxyphenyl)-
- ME C18 H17 N5 03

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-TN
- methoxyphenyl]-6-bromo-MF C17 H21 Br N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-[3-[(3,4-dihydro-1(2H)-IN quinolinyl)sulfonyl]phenyl]-
- MF C20 H19 N5 O3 S

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-6pheny1-
- MF C22 H24 N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3,4-dimethylphenyl)-
- MF C13 H14 N4 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-ethoxyphenyl)-
- MF C13 H14 N4 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-
- (trifluoromethyl)phenyl]-
- MF C17 H19 F3 N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-imidazo[1,2-a]pyridin-2-ylphenyl)-
- MF C18 H14 N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(hexahydro-1H-azepin-1-y1)phenyl]-
- MF C17 H21 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(3-pyridinylmethyl)thio]phenyl]MF C17 H15 N5 O S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 6-Quinolinecarboxamide, 4-amino-N-[4-[[(3-amino-2-
- pyraziny1)carbony1]amino]pheny1]-2-methy1-MF C22 H19 N7 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Carbamic acid, N-[1-[2-[[(3-amino-6-bromo-2-pyrazinyl)carbonyl]amino]-4-methoxyphenyl]-4-piperidinyl]-, 1,1-dimethylethyl ester
- MF C22 H29 Br N6 O4

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-phenoxyphenyl)-
- MF C17 H14 N4 O2

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(cyclopentyloxy)phenyl]-
- MF C16 H18 N4 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)pheny1]-
- MF C16 H20 N6 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-IN

piperazinyl)sulfonyl]phenyl]-

MF C23 H26 N6 O4 S

CI COM

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-[2-(1-
- piperazinyl)phenyl]-
- MF C22 H24 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-[[(3-chloropheny1)amino]sulfony1]-2hydroxypheny1]-
- MF C17 H14 C1 N5 O4 S

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C11 H9 F N4 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5chloropheny1]-
- MF C16 H19 C1 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-5-[(38)-4-[1-[(2-amino-6-chloro-3-pyridiny1)(arbony1)-4-piperidiny1)-3-ethy1-1-piperaziny1]-6-chloro-N-(4-chloropheny1)-
- MF C28 H32 C13 N9 O2

Absolute stereochemistry.

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-6-(2-fluorophenyl)-
- MF C28 H25 F N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-ethylphenyl)-

MF C13 H14 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-phenyl-
- MF C11 H9 Br N4 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-cyanopheny1]-
- MF C17 H19 N7 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-imidazo[2,1-b]thiazol-6-ylphenyl)-
- MF C16 H12 N6 O S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl]-4-fluorophenyl]-5-methoxy-
- MF C17 H19 F N6 O2 S

Absolute stereochemistry.

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1H-benzimidazol-1-y1)pheny1]-
- MF C18 H14 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- ${\tt IN} \quad {\tt 2-Pyrazine carboxamide, \ 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-m$
- 1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- MF C23 H25 N7 O4 S . C1 H

HC1

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)pheny1]-6-(4-methoxypheny1)-
- MF C23 H26 N6 O2

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(phenylmethoxy)phenyl]-

MF C18 H16 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(dimethylamino)-2-oxoethoxy]phenyl]-

MF C15 H17 N5 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)pheny1]-6-bromo-

MF C16 H19 Br N6 O

T.3

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-TN piperazinyl)sulfonyl]phenyl]-

MF C23 H23 N7 O3 S

COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-6-(2-methoxy-3-pyridiny1)-N-[2-(1-IN

piperazinyl)phenyl]-C21 H23 N7 O2

MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3

2-Pyrazinecarboxamide, 3-amino-N-[4-(2-methoxyphenoxy)phenyl]-IN

MF C18 H16 N4 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-phenyl-
- MF C11 H10 C1 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[5-acetyl-2-(1-piperazinyl)phenyl]-3-amino-MF C17 H20 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Thieno[2,3-b]quinoline-2-carboxamide,
   N-[(18)-2-amino-1-[3-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]ethyl]-6[1,1-dimethylethyl)-
- MF C29 H29 N7 O2 S

Absolute stereochemistry.

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-[3-(4-morpholinylcarbonyl)phenyl]-N-[2-(1-piperazinyl)phenyl]-
- MF C26 H29 N7 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-methoxy-4-(2-oxo-1-
- pyrrolidinyl)phenyl]-
- MF C16 H17 N5 O3

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-chlorophenyl)-
- MF C11 H9 C1 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-IN
- (methylsulfonyl)phenyl]-
- ME C17 H22 N6 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-[2-methyl-5-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]-
- MF C20 H16 F3 N5 02

IN

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-ethoxyphenoxy)pheny1]-

MF C19 H18 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-[[5-(1,1-dimethylethyl)-3-
- isoxazolyl]amino]-2-oxoethyl]phenyl]-

MF C20 H22 N6 O3

t-Bu

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1-
- piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- MF C22 H23 Br N6 O3 S . Cl H

HC1

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(3-furanyl)-
- MF C20 H22 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[2-(2-pyridinyl)ethenyl]phenyl]-
- MF C18 H15 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(2-methyl-4-pyrimidinyl)phenyl]-
- MF C16 H14 N6 O

250 ANSWERS T.3 REGISTRY COPYRIGHT 2009 ACS on STN

TN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5fluorophenyl]-

C16 H19 F N6 O MF

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1-

IN piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]-

C23 H23 F3 N6 O3 S MF

CT COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidinyl)phenyl]-6-(3,4,5-trimethoxyphenyl)-C25 H30 N6 O4

MF

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-[4-(1,1-dimethylethyl)phenyl]-IN
- ME C15 H18 N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methylphenyl)-
- C12 H12 N4 O MF

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-IN methoxyphenyl]-
- MF C17 H22 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(2-amino-5,6-dihydro-4-methyl-4H-1,3-
- thiazin-4-y1)pheny1]-5-methoxy-
- MF C17 H20 N6 O2 S

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C16 H21 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(methylthio)phenyl]-
- MF C12 H12 N4 O S

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-aminophenyl)-

C11 H11 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Carbamic acid, N-[1-[2-[[(3-amino-6-bromo-2-pyraziny1)carbony1]amino]-4benzoylphenyl]-4-piperidinyl]-, 1,1-dimethylethyl ester
- C28 H31 Br N6 O4 MF

- REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS
- 2-Pyrazinecarboxamide, 3-amino-N-(3-chloro-4-methylphenyl)-C12 H11 C1 N4 O IN
- MF

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2-methyl-4-oxazolyl)phenyl]-
- MF C15 H13 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-(aminocarbony1)-2-(1-
- piperidinyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) MF C17 H20 N6 O2 . x C2 H F3 O2

CM 1

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{H}_2\text{N} - \text{C} & & & \\ & & & \text{N} \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

CM 2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- ${\tt IN} \qquad 2-{\tt Pyrazine carboxamide, N-[3-(acetylamino)phenyl]-3-amino-6-[4-[(4-methyl-1-met$

piperazinyl)sulfonyl]phenyl]-C24 H27 N7 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(2-fluorophenyl)-N-[2-(1-
- piperazinyl)phenyl]-
- MF C21 H21 F N6 O

ME

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-methyl-4-(1-pyrrolidinyl)phenyl]-
- MF C16 H19 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-[(dimethylamino)methyl]phenyl]-
- MF C14 H17 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-
- methoxyphenyl]-6-bromo-MF C17 H21 Br N6 O2

- T. 3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[[[5-(1,1-dimethylethyl)-3isoxazolyl]amino]carbonyl]amino]phenyl]-
- MF C19 H21 N7 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-IN
- benzoylphenyl]-6-phenyl-C29 H28 N6 O2

ME

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-ethylphenyl)-
- MF C13 H14 N4 O

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-(3-ethoxyphenyl)-

MF C13 H14 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methyl-2-(1-piperazinyl)phenyl]-

MF C16 H20 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(trifluoromethoxy)phenyl]-

MF C12 H9 F3 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(dimethylamino)sulfonyl]phenyl]-

MF C13 H15 N5 O3 S

- T.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3,5-dimethylphenyl)-ME

C13 H14 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- REGISTRY COPYRIGHT 2009 ACS on STN 250 ANSWERS L3
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-nitrophenyl)-6-[4-(1pyrrolidinylsulfonyl)phenyl]-
- C21 H20 N6 O5 S MF

- REGISTRY COPYRIGHT 2009 ACS on STN 250 ANSWERS
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)phenyl]-6phenyl-
- C22 H24 N6 O ME

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methoxy-3-(4-methoxyphenoxy)pheny1]-
- MF C19 H18 N4 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(2-methyl-1-oxopropyl)amino]phenyl]-MF C15 H17 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-[(3S)-3-amino-1-piperidinyl]phenyl]-MF C16 H20 N6 O

Absolute stereochemistry.

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-IN
- piperazinyl)sulfonyl]phenyl]-C23 H26 N6 O4 S MF
- CI COM

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(2-phenoxypheny1)-N-[2-(1-
- piperazinyl)phenyl]-C27 H26 N6 O2
- MF

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[3-(acetylamino)-4-fluoropheny1]-3-amino-
- MF C13 H12 F N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C15 H17 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- ${\tt IN} \qquad {\tt 2-Pyrazine carboxamide, 3-amino-N-[5-methyl-2-(1-piperazinyl)phenyl]-1}$
- MF C16 H20 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Thieno[2,3-b]quinoline-2-carboxamide, N-[(18)-2-amino-1-[3-[[(3-amino-2-pyraziny1)carbony1]amino]pheny1]ethy1]-6-(1,1-diamethylethyl)-5,6,7,8-tetrahydro-, (6R)-
- MF C29 H33 N7 O2 S

Absolute stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-benzoylpheny1]-6-(2-fluoropheny1)-
- MF C29 H27 F N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(4-methylphenoxy)phenyl]-MF C18 H16 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(2-methylphenyl)-
- MF C12 H11 Br N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidinyl)-5benzoylphenyl]-
- MF C23 H24 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1-cyclopropy1-1H-tetrazo1-5-y1)pheny1]-
- MF C15 H14 N8 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 250 ANSMERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2-amino-2-oxoethoxy)phenyl]MF C13 H13 N5 03

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(methylthio)phenyl]-MF C12 H12 N4 O S

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- MF C23 H25 N7 O4 S . C1 H

HC1

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)pheny1]-6methyl-C17 H22 N6 O
- MF

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-pentylphenyl)-
- MF C16 H20 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[(tetrahydro-2furanyl)carbonyl]amino]phenyl]-
- MF C16 H17 N5 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-6-bromo-
- MF C16 H19 Br N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromopheny1)-6-[4-[(4-methy1-1-

piperazinyl)sulfonyl]phenyl]-C22 H23 Br N6 O3 S COM

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-(2-methoxy-5-pyrimidiny1)-N-[2-(1-TN piperazinyl)phenyl]-
- MF C20 H22 N8 O2

MF

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(4-propylphenyl)-IN
- C14 H16 N4 O MF

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-phenyl-
- MF C11 H10 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, N-[5-acetyl-2-(4-amino-1-piperidinyl)phenyl]-3-
- amino-
- MF C18 H22 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-methoxy-3-(phenylmethyl)phenyl]-
- MF C19 H18 N4 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C32 H38 N10 O9 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(2-amino-2-oxoethoxy)phenyl]-
- MF C13 H13 N5 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny1)ethy1]pheny1]-
- MF C24 H27 N5 O3

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{MeO} \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \\ \text{N$$

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(3-amino-1-piperidiny1)-5-(cyclopropylcarbony1)pheny1]-
- MF C20 H24 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-[[[4-[(4-ethyl-1-piperazinyl)methyl]-3-(4-ethyl-1-piperazinyl)methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[4-ethyl-1-piperazinyl]methyll[
- (trifluoromethy1)pheny1]amino]carbony1]-2-methy1pheny1]-MF C27 H30 F3 N7 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-
- MF C12 H12 N4 O2

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(tetrahydro-2-furanyl)methoxy]phenyl]-ME C16 H18 N4 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-IN piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- C23 H26 N6 O4 S . C1 H MF

● HCl

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-[3-(aminocarbonyl)phenyl]-N-[2-(4-amino-1piperidinyl)phenyl]-
- ME C23 H25 N7 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(cyclopropylamino)carbonyl]phenyl]-MF C15 H15 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(1H-imidazol-1-ylmethyl)phenyl]-
- MF C15 H14 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-6-fluoropheny1]-
- MF C16 H19 F N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-
- MF C23 H26 N6 O4 S
- CI COM

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-piperaziny1)pheny1]-6-(3,4,5-trimethoxypheny1)-
- MF C24 H28 N6 O4

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2-chlorophenoxy)phenyl]-
- MF C17 H13 C1 N4 O2

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-methylethyl)phenyl]-
- MF C14 H16 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-piperaziny1)-5-
- (trifluoromethyl)phenyl]-
- MF C16 H17 F3 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-amino-3,5-dichlorophenyl)-
- MF C11 H9 C12 N5 O

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(1-piperidiny1)pheny1]-
- MF C16 H19 N5 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3,4,5-trimethoxyphenyl)-
- MF C14 H16 N4 O4

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-[(1-naphthalenylmethyl)amino]-2-
- oxoethyl]phenyl]-

MF C24 H21 N5 O2

PAGE 1-A

PAGE 2-A

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Carbamic acid, N-[1-[2-[[(3-amino-6-bromo-2-pyraziny1)carbony1]amino]-4-benzoy1pheny1]-3-piperidiny1]-, 1,1-dimethy1ethy1 ester
MF C28 #31 Br No 1.

$$\begin{array}{c|c} & & & \\ & & &$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(phenylamino)phenyl]-
- MF C17 H15 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 2-Pyrazinecarboxamide, 3-amino-N-(3-ethynylphenyl)-
- MF C13 H10 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-cyano-2-(1-piperidinyl)phenyl]-
- MF C17 H18 N6 O
- CI COM

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminosulfonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-
- MF C22 H25 N7 05 S2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):100

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-(3-furanyl)-N-[2-(1-piperazinyl)phenyl]-
- MF C19 H20 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-chloro-4-fluorophenyl)-
- MF C11 H8 C1 F N4 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[1-oxo-2-(1H-1,2,4-triazol-1-
- yl)propyl]amino]phenyl]-
- MF C16 H16 N8 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-chloro-2-(1-piperaziny1)pheny1]-
- MF C15 H17 C1 N6 O

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-phenyl-IN
- MF C11 H9 C1 N4 O

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-
- benzoylphenyl]-6-phenyl-MF C29 H28 N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

NH<sub>2</sub> Ph

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(3-chloro-4-methoxyphenyl)-L3
- IN
- MF C12 H11 C1 N4 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-ethoxyphenyl)-
- MF C13 H14 N4 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-4-methylpheny1]-
- MF C17 H22 N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2,2,2-trifluoroethoxy)phenyl]-
- MF C13 H11 F3 N4 O2

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(4S)-2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-y1]pheny1]-5-methoxy-
- MF C17 H20 N6 O2 S

Absolute stereochemistry.

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L3
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-fluoro-4-methylphenyl)-
- MF C12 H11 F N4 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-IN piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- ME C23 H26 N6 O4 S . C1 H

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-6-[3-(aminocarbonyl)phenyl]-N-[2-(3-amino-1-IN piperidinyl)phenyl]-
- MF C23 H25 N7 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(butylamino)sulfonyl]phenyl]-MF
  - C15 H19 N5 O3 S

$$\begin{array}{c|c} NH2 & O & O \\ N & C-NH & S-NHBu-n \\ O & O & O \end{array}$$

T. 3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[3-[[(3,4-IN

dimethylphenyl)sulfonyl]amino]phenyl]-

MF C19 H19 N5 O3 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3
- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(1-piperaziny1)pheny1]-IN

C15 H18 N6 O MF

- REGISTRY COPYRIGHT 2009 ACS on STN L3
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-
- MF C23 H25 N7 O4 S
- COM

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-6-(3-methylphenyl)-N-[2-(1-IN
- piperazinyl)phenyl]-C22 H24 N6 O MF

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 2-Pyrazinecarboxamide, 3-amino-N-[3-methyl-4-[[3-IN
- (methylsulfonyl)benzoyl]amino]phenyl]-
- MF C20 H19 N5 O4 S

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C13 H14 N6 O2

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)-5-methylphenyl]-
- MF C17 H22 N6 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Thieno[2,3-b]quinoline-2-carboxamide, N-[(1S)-2-amino-1-[3-[[(3-amino-2-pyrazinyl)carbonyl]amino]phenyl]ethyl]-6-(1,1-dimethylpropyl)-5,6,7,8-tetrahydro-, (6R)-
- MF C30 H35 N7 O2 S

### Absolute stereochemistry.

250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

2-Pyrazinecarboxamide, 3-amino-N-[5-benzoyl-2-(1-piperazinyl)phenyl]-6methyl-

MF C23 H24 N6 O2

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(dimethylamino)sulfonyl]-4-TN methoxyphenyl]-

MF C14 H17 N5 O4 S

- 1.3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-(2-phenylethoxy)phenyl]-
- C19 H18 N4 O2 MF

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[5-benzoy1-2-(1-piperaziny1)pheny1]-
- MF C22 H22 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2,3-difluorophenyl)-
- MF C11 H8 F2 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-bromo-3-methylphenyl)-
- MF C12 H11 Br N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(4-buty1pheny1)-
- MF C15 H18 N4 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1)
- MF C23 H23 N7 O3 S . C1 H

● HCl

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-6-(2-fluoropheny1)-
- MF C22 H23 F N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(2,2-dimethyl-1oxopropyl)amino]phenyl]-
- MF C16 H19 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C22 H19 N7 O3

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-[2-(1-piperaziny1)pheny1]-
- MF C15 H17 Br N6 O

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromopheny1)-6-[4-[(4-methy1-1-piperaziny1)sulfony1]pheny1]-

MF C22 H23 Br N6 O3 S COM

- 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 2-Pyrazinecarboxamide, 3-amino-N-[2-(4-amino-1-piperidiny1)pheny1]-6-[3-(4-amino-1-piperid TN morpholinylcarbonyl)phenyl]-
- MF C27 H31 N7 O3

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- REGISTRY COPYRIGHT 2009 ACS on STN L3 250 ANSWERS
- 2-Pyrazinecarboxamide, N-[3-(acetylamino)-4-methoxyphenyl]-3-amino-IN
- C14 H15 N5 O3 MF

- L3 250 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyrazinecarboxamide, 3-amino-N-(2-methylphenyl)-
- MF C12 H12 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

### ALL ANSWERS HAVE BEEN SCANNED

=> fil stnguide COST IN U.S. DOLLARS

FULL ESTIMATED COST

FILE 'STNGUIDE' ENTERED AT 18:07:57 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 20, 2009 (20090220/UP).

=> fil cap

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 ENTRY
 SESSION

 FULL ESTIMATED COST
 0.56
 188.10

SINCE FILE

ENTRY

187.32

TOTAL

SESSION

187.54

FILE 'CAPLUS' ENTERED AT 18:12:37 ON 25 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the

American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Feb 2009 VOL 150 ISS 9 FILE LAST UPDATED: 24 Feb 2009 (20090224/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 18:05:15 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 18:05:21 ON 25 FEB 2009 L1 STRUCTURE UPLOADED

L2 13 S L1 SSS SAM L3 250 S L1 SSS FULL

FILE 'STNGUIDE' ENTERED AT 18:07:57 ON 25 FEB 2009

FILE 'CAPLUS' ENTERED AT 18:12:37 ON 25 FEB 2009

=> s 13 and (pry<2005)

39 L3 4600131 PRY<2005

L4 17 L3 AND (PRY<2005)

=> d 1-17 ibib abs hitstr

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:30413 CAPLUS

DOCUMENT NUMBER: 144:129001

TITLE: Preparation of azine-carboxamides as anti-cancer agents

INVENTOR(S): Aquila, Brian; Ioannidis, Stephanos; Lyne, Paul;

PONTZ, Timothy
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

TENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	NO.		D	ATE	
					-									-		
2006	0033	78		A1		2006	0112	1	WO 2	005-	GB25	22		2	0050	629 <
W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
	2006	20060033 W: AE, CN, GE, LC, NG,	2006003378 W: AE, AG, CN, CO, GE, GH, LC, LK, NG, NI,	2006003378 W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LC, LK, LR, NG, NI, NO,	2006003378 A1 W: AE, AG, AL, AM, CN, CO, CR, CU, GE, GH, GM, HR, LC, LK, LR, LS, NG, NI, NO, NZ,	2006003378 A1 W: AE, AG, AL, AM, AT, CN, CO, CR, CU, CZ, GE, GH, GM, HR, HU, LC, LK, LR, LS, LT, NG, NI, NO, NZ, OM,	2006003378 A1 2006 W: AE, AG, AL, AM, AT, AU, CN, CO, CR, CU, CZ, DE, GE, GH, GM, HR, HU, ID, LC, LK, LR, LS, LT, LU, NG, NI, NO, NZ, OM, PG,	2006003378 Al 20060112 W: AE, AG, AL, AM, AT, AU, AZ, CN, CO, CR, CU, CZ, DE, DK, GE, GH, GM, HR, HU, ID, IL, LC, LK, LR, LS, LT, LU, LV, NG, NI, NO, NZ, OM, PG, PM	2006003378 Al 20060112 W: AE, AG, AL, AM, AT, AU, AZ, BA, CN, CO, CR, CU, CZ, DE, DK, DK, GE, GH, GH, HR, HU, ID, IL, IN, LC, LK, LK, LS, LT, LU, LV, MA, NG, NI, NO, NZ, OM, PG, PH, PL,	2006003378 A1 20060112 W0 2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, GE, GH, GH, HR, HU, ID, IL, IN, IS, LC, LK, LR, LS, LT, LU, LV, MA, MD, NG, NI, NO, NZ, OM, PG, PH, PL, PT,	2006003378 A1 20060112 W0 2005- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, GE, GH, GM, HR, HU, ID, II, IN, IS, PL, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,	2006003378 Al 20060112 WO 2005-GB25 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,	2006003378 Al 20060112 WO 2005-GB2522 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,	2006003378 Al 20060112 W0 2005-GB2522 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CN, CO, CR, CU, CZ, DE, DK, DK, DZ, EC, EE, EG, ES, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MK, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,	2006003378 A1 20060112 WO 2005-GB2522 2. W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, NG, NI, NO, NZ, OM, PG, PH, EL, PT, RO, RU, SC, SD, SE,	2006003378 A1 20060112 WO 2005-GB2522 20050

```
ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
             KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
     AU 2005258996
                                             AU 2005-258996
                           A1
                                 20060112
                                                                     20050629 <--
     CA 2570169
                           A1
                                             CA 2005-2570169
                                                                     20050629 <--
     EP 1765790
                           A1
                                 20070328
                                             EP 2005-755467
                                                                     20050629 <--
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV
                                 20070822
     CN 101023063
                           Α
                                             CN 2005-80028484
                                                                     20050629 <--
     JP 2008505166
                           Т
                                 20080221
                                             JP 2007-519860
                                                                     20050629 <--
     BR 2005012796
                                 20080408
                                             BR 2005-12796
                                                                     20050629 <--
                           A
     US 20070259849
                          A1
                                 20071108
                                             US 2006-570065
                                                                     20061205 <--
     MX 2006014745
                                 20070321
                                             MX 2006-14745
                                                                     20061215 <--
                          Α
     NO 2007000566
                                             NO 2007-566
                           Α
                                 20070130
                                                                     20070130 <--
                                             IN 2007-DN805
     IN 2007DN00805
                           Α
                                 20070803
                                                                     20070131 <--
     KR 2007029837
                                 20070314
                                             KR 2007-702599
                                                                     20070201 <--
                           Α
PRIORITY APPLN. INFO .:
                                             US 2004-584129P
                                                                     20040701 <--
                                             WO 2005-GB2522
                                                                     20050629
OTHER SOURCE(S):
                         CASREACT 144:129001; MARPAT 144:129001
GI
```

R2 Ме x1 N x2 Ι Me Me

AB The title compds. I [ring A = (un)substituted carbocyclyl, heterocyclyl; R1 = halo, NO2, CN, etc.; R2 = H, halo, NO2, etc.; X1 = N and X2-X5 = CR12; or two of X1-X5 = N and the other X1-X5 = CR12; n = 0-4; R12 = H, halo, NO2, etc.] which possess B-Raf inhibitory activity and are accordingly useful for their anti cancer activity and thus in methods of treatment of the human or animal body, were prepared Thus, reacting N-(3-amino-4-methylphenyl)-3-(1-cyano-1-methylethyl)benzamide with 6-methyl-2-(piperidin-1-yl)pyrimidine-4-carboxylic acid (prepns. given) in the presence of HATU and DIEA in DMF afforded II which showed IC50 of 5.7 μM when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of said compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of medicaments of

ΙI

in the production of an anti-cancer effect in a warm blooded animal such as man.

IT 873449-35-5P

RL: PAC (Pharmacological activity), RCT (Reactant), SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study), PREP (Preparation); RACT (Reactant or reagent), USES (Uses) (preparation of azine-carboxamides as B-Raf inhibitors for treating cancer)

RN 873449-35-5 CAPLUS

N 2-Pyrazinecarboxamide, 3-amino-N-[5-[[3-(1-cyano-1-methylethyl)benzovl]amino|-2-methylbhenyl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER: 2005:962046 CAPLUS 143:266952

TITLE: Preparation of bipyridyl amides as modulators of

metabotropic glutamate receptor-5
INVENTOR(S): Bonnefous, Celine; Kamenecka, Theodore M.; Vernier,

Jean-Michel

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 79 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
WO	2005	0798	02		A1		2005	0901		WO 2	005-	US39	52		2	0050	209	<
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,	
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
AU	2005	2153	79		A1		2005	0901		AU 2	005-	2153	79		2	0050	209	<
CA	2555	402			A1		2005	0901		CA 2	005-	2555	402		2	0050	209	<
EP	1715	867			A1		2006	1102		EP 2	005-	7131	11		2	0050	209	<
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
							CY,											
	1933				A		2007											
	2007						2007	0830		JP 2	006-	5531	89		2	0050	209	<
IN	2006	DN 04	346		A		2007	0713		IN 2	006-	DN43	46		2	0060	727	<
US	2007	0149	547		A1		2007	0628					07			0060		
RIORIT	Y APP	LN.	INFO	.:						US 2	004-	5446	27P		P 2	0040	212	<

AB The title compds. I [X = N, C; Y = N, C, C(halo); R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = aryl, halo, alkyl, etc.; R2 and R3 may be joined together with the atoms to which they are attached to form a (un)saturated 4-7 membered ring containing 0-2 heteroatoms selected from

O, S and N; R4 = aryl, heteroaryl, halo, etc.] which are mGluR5 modulators useful in the treatment or prevention of diseases and conditions in which mGluR5 is involved, including but not limited to psychiatric and mood disorders such as schizophrenia, anxiety, depression, bipolar disorders, and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm and sleep disorders, such as shift-work induced sleep disorder and jet-lag, drug addiction, drug abuse, drug withdrawal, obesity and other diseases, were prepared Thus, amidation of pyridin-2-amine with 3-amino-5.6-diphenylpyrazine-2-carboxylic acid afforded the amide II. The exemplified compds. I have mGluR5 inhibitory activity as shown by inhibition at 10 µM or less in the calcium flux assay or 100 µM or less in the calcium flux assay or 100 µM or less in the calcium flux assay or 100 µM or less in the calcium flux assay or 100 µM or less in the Calcium flux assay or 100 µM or less in the calcium flux assay or 100 µM or less in the calcium flux assay or 100 µM or less in the calcium flux assay or 100 µM or less in the say or

T 863908-38-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)

- RN 863908-38-7 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-6-chloro-N-phenyl- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:470258 CAPLUS

DOCUMENT NUMBER: 143:1330

TITLE: Amide derivatives as kinase modulators, and their therapeutic use

INVENTOR(S): Mehta, Shamal A.; Grotzfeld, Robert M.; Milanov,

Zdravko V.; Andiliy, Lai G.; Patel, Hitesh K.; Lockhart, David J.

PATENT ASSIGNEE(S): Ambit Biosciences Corporation, USA

English

OURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: P.
LANGUAGE: E.
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PA:	ENT :	NO.					DATE				ICAT				D	ATE		
		2005									WO 2	2004-	US38	433		2	0041	115	<
	WO	2005						2006											
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
												IT,							
												CM.							
				SN.			,	,	,	,	,				- ~ /		,		
	US	2005	0148	605		A1		2005	0707		US 2	2004-	9897	45		2	0041	115	<
	US	2005	0165	031		A1		2005	0728		US 2	2004-	9898	14		2	0041	115	<
	US	2005	0165	024		A1		2005	0728		US 2	2004-	9898	24		2	0041	115	<
	US	2005	0165	074		A1		2005	0728		US 2	2004-	9900	0.7		2	0041	115	<
		2005				A1		2005				2004-					0041		
		2005				A1		2005				2004-					0041		
		2005				A1		2005				004-					0041		
		2005				A1		2005				2004-					0041		
		2005				A1		2005				2004-					0041		
		2005				A1		2005				2004-					0041		
PRIOR						111		2005	1201			2003-					0031		
LIVION		. AFF	TITA.	TIME	• •							2003-					0031		
												2003-					0031		
												2003-					0031		
											00 2	.003-	JJ12	4.JP		- 2	0031.	210	<

### OTHER SOURCE(S): MARPAT 143:1330

AB The invention provides methods and compns. for treating conditions mediated by various kinases wherein derivs. of amide compds. are employed. The invention also provides methods of using the compds. and/or compns. in the treatment of a variety of diseases and unwanted conditions in subjects. Preparation of N-(3-tert-butylisoxazol-5-yl)-2-(4-(benzyloxy)phenyl)acetamide is described.

IT 1044667-69-7

RL: PRPH (Prophetic)

(Amide derivatives as kinase modulators, and their therapeutic use) N 1044667-69-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]-2-oxoethyl]phenyl]- (CA INDEX NAME)

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:470256 CAPLUS

DOCUMENT NUMBER: 143:20052

TITLE: Urea derivatives as kinase modulators

INVENTOR(S): Milanov, Zdravko V.; Patel, Hitesh K.; Grotzfeld, Robert M.; Mehta, Shamal A.; Andiliy, Lai G.;

Lockhart, David J.

PATENT ASSIGNEE(S): Ambit Biosciences Corporation, USA

SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT :	NO.			KIN		DATE		1	APPL	ICAT	ION	NO.		D.	ATE		
	2005 2005				A2					viO 2	004-	US38	288		2	0041	115	<
	W:						AU,											
							DE,											
							ID,											
							LV,											
							PL,											
							TZ,											
	RW:						MW,											
							RU,											
							GR,											
						BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	
			SN,															
	2004		47		A1		2005				004-							
	2545						2005				004-					0041		
	2005						2005				004-					0041		
	2005						2005				004-					0041		
	2005						2005				004-					0041		
	2005				A1		2005				004-					0041		
	2005				A1		2005				004-					0041		
	2005				A1		2005				004-					0041		
	2005				A1		2005				004-					0041		
	2005				A1		2005				004-							
	2005				A1		2005				004-							
	2005		182		A1		2005				004-							
EP	1684				A2		2006				004-					0041		
	R:						ES,								SE,	MC,	PT,	
							TR,							IS				
	2007				T		2007	0517			006-					0041		
ORITY	APP	LN.	INFO	.:							003-							
											003-					0031		
									1	US 2	003-	5310	82P		P 2	0031	218	<

US 2003-531243P

P 20031218 <--

OTHER SOURCE(S):

MARPAT 143:20052 The invention provides methods and compns. for treating conditions

mediated by various kinases wherein derivs. of urea compds. are employed. The invention also provides methods of using the compds. and/or compns. in the treatment of a variety of diseases and unwanted conditions in subjects such as cellular proliferative disorders.

852668-84-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(urea derivs. as kinase modulators for treatment of cellular proliferative disorders)

RN 852668-84-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[[5-(1,1-dimethylethyl)-3-

isoxazolyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1 L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:182640 CAPLUS

DOCUMENT NUMBER: 142:280220

TITLE: Preparation of quinazoline-2,4(1H,3H)-dione derivatives as gonadotropin-releasing hormone

antagonists

INVENTOR(S): Hamamura, Kazumasa; Oda, Tsuneo; Kusaka, Masami;

Kanzaki, Naoyuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 541 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.  W0 2005019188  W: AE, AG, CN, CO, GE, GH, LK, LR, NO, NZ, TJ, TM, RW: BW, GH,				KIND DATE				APPLICATION NO.						DATE			
						-												
WO	2005	0191:	88		A1		2005	0303		WO 2	004-	JP12:	322		2	0040	820 <	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
CA	2536	313			A1		2005	0303		CA 2	004-	2536	313		2	0040	820 <	
JP	2005	0972	76		A		2005	0414		JP 2	004-	2417:	21		2	0040	820 <	

EP 1657238 A1 20060517 EP 2004-772278 20040820 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK US 20070010537 US 2006-569391 A1 20070111 20060222 <--A 20030822 <--PRIORITY APPLN. INFO.: JP 2003-298637 WO 2004-JP12322 W 20040820 <--MARPAT 142:280220 OTHER SOURCE(S):

AB The title quinazoline-2,4(1H,3H)-dione derivs. I [wherein Rl = H or (un)substituted hydrocarbyl; ring A = (un)substituted aromatic 6-membered ring; ring B = (un)substituted (heterolcyclyl; W = O or S; Xl and X2 = independently H, (un)substituted hydrocarbyl, or heterocyclyl; or Xl and X2 together form = O, = S, or (un)substituted = NH; Y = a bond or (un)substituted alkylene], or salts or prodrugs thereof are prepared as gonadotropin-releasing hormone antagonists. For example, the compound II was prepared in a multi-step synthesis. I inhibited 75,4-99,9% of human gonadotropin releasing hormone at the concentration of 10 nM. I are useful for the treatment of prostatic hyperplasia, hysteromyoma, endometriosis, uterus fibroma, etc. (no data). Formulations containing I as an active ingredient were also described.

847173-89-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazoline-2,4(1H,3H)-dione derivs. as gonadotropin-releasing hormone antagonists)

RN 847173-89-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[3-[(3,4-dihydro-1(2H)-guinolinv1)sulfonv1)phenv1]- (CA INDEX NAME)

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:759828 CAPLUS

DOCUMENT NUMBER: 141:260774

TITLE: Preparation of pyrazinecarboxamide compounds as

inhibitors of transforming growth factor (TGF) signaling pathway

INVENTOR(S): Munchhof, Michael J.
PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ US 20040180905 A1 20040916 US 2004-798198 20040310 <--US 7199123 B2 20070403 CA 2517720 CA 2004-2517720 20040223 <--A1 WO 2004080982 A1 20040923 WO 2004-IB581 20040223 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AH, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1606267 20051221 EP 2004-713617 20040223 <--A1 EP 1606267 В1 20080730 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2004008251 Α 20060301 BR 2004-8251 20040223 <--JP 2006519833 Т 20060831 JP 2006-506288 20040223 <--

AT 2004-713617

ES 2004-713617

WO 2004-IB581

US 2003-453784P

20040223 <--

20040223 <--

P 20030311 <--

W 20040223 <--

20080815

20081201

OTHER SOURCE(S): MARPAT 141:260774

AT 402929

ES 2308151

PRIORITY APPLN. INFO .:

Т

Т3

AB Pyrazine compds. of formula I [R = (substituted) Ph, heterocyclyl, heteroaryl, aryl, Rl = H, R2 = alkyl, cycloalkyl, aryl, heteroaryl, etc.; NR2R2 = (substituted) heterocyclyl, heteroaryl] are prepared The compds. are potent inhibitors of transforming growth factor (TGF)-H5 signaling pathway. They are useful in the treatment of various TGF-related disease states including, for example, cancer and fibrotic diseases. Thus, II was prepared, and had ICSO of 1.19 µM.

TΤ 625469-79-6P 756521-87-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrazinecarboxamides as inhibitors of TGF- $\beta$  signaling pathway)

RN 625469-79-6 CAPLUS

2-Pyrazinecarboxamide, 3-amino-N,6-diphenyl- (CA INDEX NAME)

756521-87-6 CAPLUS RN

CN 2-Pyrazinecarboxamide, 3-amino-6-(4-methoxyphenyl)-N-phenyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

18 L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:534194 CAPLUS

DOCUMENT NUMBER: 141:89114

TITLE: Preparation of novel 3-aminopyrazine-2-carboxamides

having selective inhibiting effect at GSK3

INVENTOR(S): Berg, Stefan; Hellberg, Sven

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Soederman, Peter

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPL:	ICATION NO.		DATE
WO 200405500	)6	A1	20040701	WO 20	003-SE1956		20031215 <
W: AE,	AG, AL,	AM, AT,	AU, AZ,	BA, BB,	BG, BR, BW	, BY, BZ	, CA, CH,
CN,	CO, CR,	CU, CZ,	DE, DK,	DM, DZ,	EC, EE, EG	, ES, FI	, GB, GD,
GE,	GH, GM,	HR, HU,	ID, IL,	IN, IS,	JP, KE, KG	, KP, KF	, KZ, LC,
LK,	LR, LS,	LT, LU,	LV, MA,	MD, MG,	MK, MN, MW	, MX, M2	, NI, NO,
NZ,	OM, PG,	PH, PL,	PT, RO,	RU, SC,	SD, SE, SG	, SK, SI	, SY, TJ,
TM,	TN, TR,	TT, TZ,	UA, UG,	US, UZ,	VC, VN, YU	, ZA, ZM	, ZW
RW: BW,	GH, GM,	KE, LS,	MW, MZ,	SD, SL,	SZ, TZ, UG	, ZM, ZW	, AM, AZ,
BY,	KG, KZ,	MD, RU,	TJ, TM,	AT, BE,	BG, CH, CY	, CZ, DE	, DK, EE,

```
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003287136
                          A1
                                20040709
                                            AU 2003-287136
                                                                    20031215 <--
     EP 1575939
                          A1
                                20050921
                                            EP 2003-781205
                                                                    20031215 <--
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006516124
                          Т
                                            JP 2004-560224
                                                                    20031215 <--
     US 20060173014
                          A1
                                20060803
                                            US 2005-539546
                                                                    20050616 <--
PRIORITY APPLN. INFO .:
                                            SE 2002-3752
                                                                 A 20021217 <--
                                            WO 2003-SE1956
                                                                W 20031215 <--
                         MARPAT 141:89114
```

OTHER SOURCE(S): GI

$$\begin{bmatrix} Z & NH2 \\ X & Y \\ & & \\ &$$

AB The title compds. [I; Z = N; X = N; Y = CONR5; P = Ph; Q = Ph or 5-6 membered aromatic heteroarom. ring containing one or more heteroatoms selected from N, O, S; R = alky1(SO2)NR1R2, alky1CONR1R2, Oalky1NR1R2 (wherein R1, R2 = H, alkyl, 5-6 membered heterocyclyl, etc.; NR1R2 = 5-6 membered heterocyclyl); R3, R4 = halo, NO2, CF3, etc.; m, n = 0-1; R5 = H; as a free base or a pharmaceutically acceptable salt], were prepared and formulated. Thus, treating 4-bromo-N-[(1R)-2-methoxy-1methylethyl]benzenesulfonamide with n-butyllithium and triisopropyl borate in THF followed by reacting the intermediate with 3-amino-6-bromo-N-(pyridin-3-yl)pyrazine-2-carboxamide in the presence of Pd(dppf)Cl2, and Na2CO3 in THF (prepns. of reactants given) afforded 35% 3-amino-6-[4-({[(1R)-2-methoxy-1-methylethyl]amino}sulfonyl)phenyl]-N-(pyridin-3-vl)pyrazine-2-carboxamide hydrochloride. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in GSK-3B assav.

714237-14-6P 714237-16-8P 714237-17-9P IΤ 714237-18-0P 714237-19-1P 714237-20-4P 714237-21-5P 714237-22-6P 714237-32-8P 714237-33-9P 714237-34-0P 714237-35-1P 714237-36-2P 714237-43-1P 714237-47-5P 714237-48-6P 714237-49-7P 714237-50-0P 714237-51-1P 714237-52-2P 714237-57-7P 714237-58-8P 714237-68-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of novel 3-aminopyrazine-2-carboxamides having selective inhibiting effect at GSK3)

RN 714237-14-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-nitropheny1)-6-[4-(1pyrrolidinylsulfonyl)phenyl]- (CA INDEX NAME)

RN 714237-16-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-17-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 714237-18-0 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

HCl

- RN 714237-19-1 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 714237-20-4 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 714237-21-5 CAPLUS
CN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromophenyl)-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-22-6 CAPLUS
CN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 714237-32-8 CAPLUS
CN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

• HCl

RN 714237-33-9 CAPLUS

CN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 714237-34-0 CAPLUS CN 2-Pvrazinecarboxami

2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 714237-35-1 CAPLUS CN 2-Pyrazinecarboxamic

2-Pyrazinecarboxamide, N-[3-(acetylamino)phenyl]-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-36-2 CAPLUS
CN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminosulfonyl)phenyl]-6-[4-[(4-methyl-l-piperazinyl)gulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-43-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-47-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(4-methoxyphenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-48-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[2-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-49-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[3-(aminocarbonyl)phenyl]-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-50-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3-cyanophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-51-1 CAPLUS
CN 2-Pyrazinecarboxamide, 3-amino-N-(2-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)]oulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-52-2 CAPLUS
CN 2-Pyrazinecarboxamide, 3-amino-N-(3-bromophenyl)-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-57-7 CAPLUS
CN 2-Pyrazinecarboxamide, N-(3-acetylphenyl)-3-amino-6-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)

RN 714237-58-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[(4-methyl-1piperazinyl)sulfonyl]phenyl]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX
NAME)

RN 714237-68-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methoxypheny1)-6-[4-[(4-methyl-1-piperaziny1)sulfony1]pheny1]- (CA INDEX NAME)

IT 714237-42-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel 3-aminopyrazine-2-carboxamides having selective inhibiting effect at GSK3)

RN 714237-42-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-bromo-N-(3-methoxyphenyl)- (CA INDEX

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:967968 CAPLUS

DOCUMENT NUMBER: 140:16655

TITLE: Preparation of quinolinamides as inhibitors of the

GPIb - vWF interaction for treatment of

athero-thrombotic diseases INVENTOR(S):

Klingler, Otmar; Just, Melitta; Sakurai, Kuniya; Fukuchi, Naoyuki

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany;

Ajinomoto Co., Inc.

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	010																	
PA:	TENT I	NO.			KIN	D	DATE				LICAT					ATE		
EP										ΕP	2002-	1259	0		2			
											TR							
US	2004	0067	980		A1		2004	0408		US	2003-	4549	39		2	0030	604	<
US	7235	558			B2		2007	0626										
CA	2488	193			A1		2003	1218		CA	2003-	2488	193		2	0030	606	<
WO	2003	1042	21		A1		2003	1218		WO	2003-	EP59	55		2	0030	606	<
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG	, SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM	, ZW							
	RW:										, TZ,							
											, CH,							
											, NL,							
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU	2003	2746	86		A1		2003	1222		AU	2003- 2003-	2746	86		2	0030	606	<
EP	1509	516			A1		2005	0302		EΡ	2003-	7401	99		2	0030	606	<
EP	1509																	
	R:										, IT,						PT,	
											, TR,							
BR	2003	0118	26		A		2005	0329		BR	2003-	1182	6		21	0030	606	<
JP	2005	5284	59		T		2005	0922		JP	2004- 2003-	5112	91		21	0030	606	<
AT	3530	82			T		2007	0215		AT	2003-	7401	99		21	0030	606	<
MX	2004	0114	UΒ		A		∠005	0930		MX	2004-	1140	В		2	0041	TTA .	<

US 20070173489 A1 20070726 US 2007-731978 20070402 <-PRIORITY APPLN. INFO: EP 2002-12559 A 20020606 <-US 2002-4169539 P 20021008 <-US 2003-454939 A3 20030604 <-WO 2003-8F5955 W 20036066 <--

OTHER SOURCE(S): MARPAT 140:16655

$$\begin{array}{c} {\mathbb{R}}^2 \\ {\mathbb{A}} - ({\mathrm{CH}}_2)_n - {\mathbb{B}} - ({\mathrm{CH}}_2)_m - {\mathrm{D}} - ({\mathrm{CH}}_2)_p - {\mathbb{E}} \\ {\mathbb{R}}^1 \\ {\mathbb{N}} \end{array}$$

AB Title compds. I [wherein m, n, and p = independently 0-4; R1 = alkyl; R2 = NR4R5; R4 and R5 = independently H or alkyl; A = NHCO or CONH; B = covalent bond, cycloalkyl, or (un)substituted monocyclic or bicyclic aryl or heterocyclyl; D = NHCO, CONH, or NH: E = (un)substituted monocyclic or bicyclic aryl or heterocyclyl; and their stereoisomeric forms, mixts., and physiol, tolerable salts thereof] were prepared I are reversible inhibitors of the interaction between the plasma protein von Willebrand factor (vWF) and the blood platelet receptor glycoprotein Ib-IX-V complex (GPIb). They exhibit an antithrombotic effect and are suitable for use as pharmaceutical prepns. in the therapy and prophylaxis of athero-thrombotic diseases (no data). For example, reaction of 3-tert-butoxycarbonylaminopropionic acid and 2-methylquinoline-4,6-diamine in the presence of N-ethylmorpholine and TOTU in DMF gave 3-amino-N-(4-amino-2-methylquinolin-6-vl)propionamide (76%). Coupling with 2-amino-4-chloro-6-methylpyrimidine using diisopropylethylamine in DMA provided II. All disclosed compds. exhibited IC50 < 100 µM in a von Willebrand factor - GPIb binding assay using human vWF and Eu-chelate-labeled chimeric GPIb-Fc protein.

II 629629-95-4P, 4-Amino-N-[4-[[(2-amino-3pyraziny1)carbony1]amino]pheny1]-2-methy1-6-quinolinecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antithrombotic; preparation of quinolinamides as inhibitors of GPIb -  $\nu \% F$  interaction for treatment of athero-thrombotic diseases)

RN 629629-95-4 CAPLUS
CN 6-Quinolinecarboxamide, 4-amino-N-[4-[[(3-amino-2-pvrazinyl)carbonyl]amino|bhenyl]-2-methyl- (CA INDEX NAME)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:892800 CAPLUS

DOCUMENT NUMBER: 139:395950

TITLE: Preparation of substituted pyrazines as protein kinase

modulators

INVENTOR(S): Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai,

Zerom; Wang, Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed

Abdulkader; Schnepp, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Thimothy Patrick; Huynh, Tai Phat; Mann,

Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	TENT				KIN	D	DATE						NO.			ATE	
	2003 2003									WO 2	003-	US13	869		2	0030	502 <
	W:	CO, GM, LS,	CR, HR, LT,	CU, HU, LU,	CZ, ID, LV,	DE, IL, MA,	AU, DK, IN, MD, SC,	DM, IS, MG,	DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NI,	GD, LC, NO,	GE, LK, NZ,	GH, LR, OM,
	RW:	TZ, GH, KG,	UA, GM, KZ,	UG, KE, MD,	US, LS, RU,	UZ, MW, TJ,	VC, MZ, TM, IE,	VN, SD, AT,	YU, SL, BE,	ZA, SZ, BG,	ZM, TZ, CH,	ZW UG, CY,	ZM,	ZW, DE,	AM, DK,	AZ,	BY, ES,
C2	2484						CM,										TG 502 <
																	502 <
EP	1501	514			A2		2005	0202		EP 2	003-	7286	90		2	0030	502 <
		IE, 5307	SI, 60	LT,	LV,	FI,	RO, 2005	MK, 1013	CY,	AL, JP 2	TR,	BG, 5014:	CZ, 36	EE,	HU,	SK 0030	PT, 502 <
PRIORIT										US 2	002-	3779	33P	1	P 2		503 < 502 <
OTHER SO	OURCE	(S):			MAR	PAT	139:	3959		no Z	005-	0013	003		. 4	0030	JUZ (

This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocycly1; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un) substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. containing such compds. Even more specifically, the invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chkl. Preparation of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (preparation given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)pyrazine-2-carboxamide which showed IC50 of 10,000 nM or greater against Chkl. Table presenting activity data with respect to Chkl for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds. ΙT 625469-79-6P

Ri: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protein kinase modulators)

RN 625469-79-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N,6-diphenyl- (CA INDEX NAME)

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:633705 CAPLUS

DOCUMENT NUMBER: 139:180070

TITLE: Preparation of

2-(4-amino-1,2,5-oxadiazol-3-y1)benzimidazoles as inhibitors of GSK-3

INVENTOR(S): Harbeson, Scott L.; Arnost, Michael J.; Green, Jeremy; Savic, Vladimir

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE:

PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.						APPLICATION NO.										
WO 2	0030666 0030666	29		A2 20030814			WO 2003-US3655						20030206 <			<	
1	W: AE,																
										EE,							
										KG,							
										MW,							
									SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
							ZM,										
1	RW: GH,																
										CH,							
										NL,						BF,	
		CF,								ML,							
	475633															206 ∢	
	0032150																
	0040034																
																206 ∢	
1	R: AT,															PT,	
										TR,							
	0055260																
	0040076																
	0040037									004-						906 <	
	0070270			A1		2007	1122									712 <	
RIORITY A	APPLN.	INFO	.:													206 ∢	
									JS 2	003-	3605	35				206 ∢	
									WO 2	003-	US36	55		W 2	0030	206 ∢	<

OTHER SOURCE(S): GI MARPAT 139:180070

GI

AB The title compds. [I; ring A = (un)substituted 5-7 membered (un)saturated ring having 0-3 heteroatoms, and wherein ring A is optionally fused to 5-8 membered ring having 0-3 heteroatoms; ring B = (un)substituted 5-6 membered ring having 0-4 heteroatoms; W = N, CR4; X = N, CH (wherein at least one of W and X = N); R3 = TCN, LR; T = a bond, alkylidene; L = a bond, alkylidene wherein up to two methylene units of L are replaced by 0, S, CO, etc.; R4 = LR, halo, TNO2, TCN; R = H, alkyl, aryl, etc.], useful as inhibitors of GSK-3 and Lck protein kinases (biol. data given) for treating and preventing various disorders, such as diabetes, Alzheimer's disease, and transplant rejection, were prepared Thus, reacting 1,2-phenylenediamine with Me 4-aminofurazan-3-carboximidate in the presence of AcOH in MeOH afforded 76% II. A pharmaceutical composition comprising the title compound I, was claimed.

IT 581081-84-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3)

RN 581081-84-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-aminophenyl)- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:728847 CAPLUS

DOCUMENT NUMBER: 137:257628

TITLE: Antitumor agents containing novel chroman derivatives

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 101 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002275064	A	20020925		20020115 <
PRIORITY APPLN. INFO.:			JP 2001-6574 A	20010115 <
OTHER SOURCE(S):	MARPAT	137:257628		

AB The invention provides chroman derivs. I (R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, etc.; R3, R4, R5, R6 = H, C1-6 alkyl, etc.; X = single bond, C0, C:NOR7, etc.; R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, etc.; A = C0, S02; U = CH2, etc.; Y = 0, S; Q = H, nitro, OH, etc.; k = 1-6; m, n = 0-8; Ar1 = benzene ring, etc.; Ar2 = benzene ring, etc.) as antitumor agents. The antitumor effect of N-[2-[4-(6-acetoxy-4-oxo-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]-incotinamide in SK-N-MC and D283-Med cells was examined Also, a capsule containing N-[4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]-nicotinamide 100 mg was prepared

Ι

IT 321920-19-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(chroman derivs. as antitumor agents)

RN 321920-19-8 CAPLUS

N 2-Pyrazinecarboxamide, 3-amino-N-[4-[(6-amino-3,4-dihydro-2,5,7,8-tetramethyl-4-oxo-2H-1-benzopyran-2-yl)methoxy]phenyl]- (CA INDEX NAME)

IT 321920-21-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(chroman derivs. as antitumor agents)

RN 321920-21-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]- (CA INDEX NAME)

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:63989 CAPLUS

DOCUMENT NUMBER: 134:131426

TITLE: Preparation and effect of coumarone analogues as

antitumor agents

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan SOURCE: PCT Int. Appl., 238 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TIPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001005780 A1 20010125 WO 2000-JP4732 20000714 <-W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR,

US, ZA RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 2001089468 A 20010403 JP 2000-213985 20000714 <--PRIORITY APPLN. INFO: OTHER SOURCE(S): MARPAT 134:131426

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title coumarone analogs [I; wherein Rl is hydrogen, Cl-C6 alkyl; R2 is hydrogen, Cl-C6 alkyl; R3, R5 are each independently hydrogen, Cl-C6 alkyl; R4, R6 are each independently hydroxy, Cl-6 alkyl, NH2, acetoxy, methoxymethoxy; X is a single bond, C-O, C-NOR7; R7 and R8 are each independently hydrogen, Cl-C6 alkyl, C2-C6 alkenyl; A is C-O, SO2; U is CH2, or the like; Y is O or S; Q is hydrogen, nitro, hydroxyl; p is an integer of l to 6; m and n are each independently an integer of 0 to 8; and Arl and Ar2 are each benzene ring or pyridine ringl exhibiting excellent antitumor activities are prepared and formulation are discussed. Thus, title compound II was prepared and tested.
- IT 321920-19-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
- (preparation and effect of coumarone analogs as antitumor agents)
  RN 321920-19-8 CAPLUS
- CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[(6-amino-3,4-dihydro-2,5,7,8-tetramethyl-4-oxo-2H-1-benzopyran-2-yl)methoxy]phenyl]- (CA INDEX NAME)

- IT 321920-21-2P
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation and effect of coumarone analogs as antitumor agents)  ${\tt RN} \quad 321920 21 2 \quad {\tt CAPLUS}$
- CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:175783 CAPLUS

DOCUMENT NUMBER: 130:209718 TITLE: Novel atropisomers of

2,3-disubstituted-(5,6)-heteroaryl

fused-pyrimidin-4-ones

INVENTOR(S): Chenard, Bertrand Leo; Welch, Willard McKowan, Jr.

Pfizer Products Inc., USA PATENT ASSIGNEE(S): SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.			KIND DATE			APPLICATION NO.					Ι	DATE					
													-					
EP	9007	99			A1		1999	0310	EP 1998-306744					1	19980824 <			
EP	9007	99			B1		2005	0608										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	, RO											
US	6323	208			B1		2001	1127	US	19	98-2	2594	13		3	.9980	723	<
AT	2973	96			T		2005	0615	A1	19	98-3	3067	44		1	.9980	824	<
ES	2242	261			Т3		2005	1101	ES	19	98-3	3067	44		1	.9980	824	<
JP	1119	3283			A		1999	0721	JE	19	98-2	2947	35		1	.9980	831	<
JP	3351	748			B2		2002	1203										
CA	2246	595			A1		1999	0305	CF	. 19	98-2	2246	595		1	9980	903	<
CA	2246	595			С		2005	0315										
BR	9803	385			A		2000	0208	BF	. 19	98-3	3385			1	.9980	904	<
PRIORIT	Y APP	LN. :	INFO	. :					US	19	97-	5799	0P		P 1	9970	905	<
OTHER S	OURCE	(S):			MARI	PAT	130:	2097	18									
CT																		

R2R3 = atoms required to complete a 5- or 6-membered heterocyclic ring; R4 = (un)substituted Ph, 5- or 6-membered azaheterocyclic] were prepared for use as glutamate receptor antagonists in treatment of neurodegenerative, psychotropic, and drug and alc. induced central and peripheral nervous system disorders (no data). I can be separated into their atropisomers. Thus, Me 3-amino-2-thiophenecarboxylate was N-acetylated, hydrolyzed to the acid, cyclized, and subjected to aminolysis with o-toluidine. The resulting 2-methyl-3-(2-methylphenyl)-3H-thieno[3,2-d]pyrimidin-4-one was treated with 2-FC6H4CHO to give the thienopyrimidinone II. The atropisomers of II were separable by chromatog, on Chiralcel OD.

36204-81-6P 199599-60-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(atropisomers of disubstituted heteroaryl fused pyrimidinones as antagonists for excitatory amine receptors)

36204-81-6 CAPLUS RN

CM 2-Pyrazinecarboxamide, 3-amino-N-(2-methylphenyl)- (CA INDEX NAME)

199599-60-5 CAPLUS RN

CN 2-Pvrazinecarboxamide, 3-amino-N-(2-chlorophenvl)- (CA INDEX NAME)

REFERENCE COUNT:

13 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:268489 CAPLUS DOCUMENT NUMBER: 128:321568

ORIGINAL REFERENCE NO.: 128:63741a,63744a

TITLE: Anthranilic acid derivatives as multi drug resistance

modulators INVENTOR(S):

Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason Terry; Williams,

Susannah; Maximen, Levi Michael; et al.

PATENT ASSIGNEE(S): Xenova Ltd., UK; Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth;

Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason Terry; Williams, Susannah; Maximen, Levi Michael

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

SOURCE: PCT Int. Appl., 203 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	PATENT NO.			KIND DATE		APPLICATION NO.					DATE						
	9817648 W: AL, DK, KZ, PL,	AM, EE, LC,	AT, ES, LK, RO,	A1 AU, FI, LR, RU,	AZ GB LS SD	1998 BA, GE, LT,	0430 BB, GH, LU,	BG, HU, LV,	WO BR ID MD	1997-	GB28 CA, IS, MK,	85 CH, JP, MN,	CN, KE, MW,	CU, KG, MX,	9971 CZ, KP, NO,	017 DE, KR, NZ,	
		GR,	IE,	IT,	LU		NL,			, BE, , BF,							
CA	2268403			A1		1998			CA	1997-	2268	403		1	9971	017	<
	9746339			A						1997-					9971		
7.17	7/11922			B2		1998 2001	1213										
ZA	9709329			A		1999	0419		ZA	1997-	9329			1	9971	017	<
EP	934276			A1		1999	0811		EΡ	1997-	9450	30		1	9971	017	<
EP	9709329 934276 934276			B1		2003	1217										
	R: AT,	BE,	CH,	DE,	DK	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		FI															
BR	9711935			A		1999				1997-					9971		
GB	2334521			A		1999			GB	1999-	8193			1	9971	017	<
GB	2334521 2334521 1241181 10035426 20000015			В		2000											
CN	1241181			A		2000			CN	1997-	1807	08		1	9971	017	<
CN	10035426	5		C_		2007											
HU	20000015	31		A2		2000			HU	2000-	1531			1	9971	017	<
HU	20000015	31		A3		2000											
JP	20015026 2195454 256663 934276 2210586	83		T		2001			JP	1998- 1999-	5191	80		1	9971		
RU	2195454			C2		2002									9971		
AT	256663			T		2004			AT	1997-	9450	30		1	9971		
PT	934276			T		2004			PT	1997- 1997-	9450	30		1	9971 9971		
ES	284649			13		2004				1997- 1999-					9971		
	191150			B6 B1		2005			DI.	1007	202	2 5			9971		
	298209			B6		2007			C7	1997- 1999-	1353	23			9971		
	498074			В		2002			TW	1997-	8611	5402			9971		
	103327			A		2000				1999-					9990		
	9901836			A		1999				1999-					9990		
				B1		2002					1000			-	,,,,		•
KR	313591 20000492	78		B1 A		2000			KR	1999-	7033	89		1	9990	417	<
	6218393			B1		2001			US	1999-	2846	42		1	9990		
	1019330			A1		2001			HK	1999-	1037	73			9990	901	<
PRIORIT:	APPLN.	INFO							WO	1996-	GB25	52		A 1	9961	018	<
										1997-				A 1	9970	819	<
									OW	1997-	GB28	85		W 1	9971	017	<
OTHER SO	DURCE(S):			MAR	PAT	128:	3215	68									

GT

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Anthranilic acid derivs. I [R, R1, R2 = H, alkyl, OH, alkoxy, halo, NO2, amino; or RIR2 = OGH20 or OGH2CH20; R3 = H, alkyl; R4 = alkyl, or CH2 or CH2 CH2 CH2 bridged to either Ph ring; R5 = H, OH, alkyl; X = bond, O, S, S(CH2)p, O(CH2)p, p = 1-6; R6 = H, alkyl, alkoxy; Q = 0 or 1; Ar = (un)saturated carbo- or heterocyclic; R7, R8 = H, (un)substituted alkyl, alkoxy, OH, halo, Ph, NHOH, NO2, amino, SH, alkylthio; or R78 = CH:CHCH:CH or OCH2O; n = 0, 1; m = 0-61 and their pharmaceutically acceptable salts are disclosed. The compds. are inhibitors of P-glycoprotein, and may thus be used, inter alia, as modulators of

multidrug resistance in the treatment of multidrug-resistant cancers, for example, to potentiate the cytotoxicity of a cancer drug. For instance, amidation of 3-quinolinecarboxylic acid with the corresponding aminothiophene derivative via the acid chloride gave title compound II in 44% yield. In a test for potentiation of doxorubicin toxicity to AR 1.0 cells, II had a potentiation index of 142 at 30 nM.

206874-58-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anthranilic acid derivs, as multi-drug resistance modulators)

RN 206874-58-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)isoquinolinyl)ethyl]phenyl]- (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN 1.4

ACCESSION NUMBER: 1997:761834 CAPLUS

DOCUMENT NUMBER: 128:34776

ORIGINAL REFERENCE NO.: 128:6857a

TITLE: Preparation of thienopyrimidinones and analogs as AMPA

receptor antagonists

INVENTOR(S): Chenard, Bertrand Leo; Elliott, Mark Leonard; Welch, Willard McKowan, Jr.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 807633	A2	19971119	EP 1997-303000	19970501 <
	EP 807633	A3	19980513		
	EP 807633	B1	20021106		
	R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL,	SE, PT, IE, FI
	AT 227293	T	20021115	AT 1997-303000	19970501 <
	ES 2184960	T3	20030416	ES 1997-303000	19970501 <
	CA 2205274	A1	19971115	CA 1997-2205274	19970513 <
	CA 2205274	С	20030211		
	US 5962457	A	19991005	US 1997-855630	19970514 <
	JP 10045757	A	19980217	JP 1997-125953	19970515 <
	JP 3270360	B2	20020402		
PRIC	ORITY APPLN. INFO.:			US 1996-17737P	P 19960515 <
OTHE	ER SOURCE(S):	MARPAT	128:34776		
OT					

AB Title compds. [I, R = CH2CH2R2 or CH:CHR2; Rl = (un)substituted Ph or -heteroaryl; R2 = (un)substituted Ph or -heteroaryl; R3A4 = atoms to complete an (un)substituted heteroarom. ring] were prepared as AMPA receptor antagonists (no data). Thus, Me 3-aminothlophene-2-carboxylate was N-acetylated and the saponified product cyclized to give 2-methylthieno[3,2-d][1,3]oxazin-4-one which was cyclocondensed with o-toluddine to give I (Rl = C6H4Me-2, R3A4 = CH:CHS)[II, R = Me). The latter was condensed with 3-FC6H4CHO to give II (R = CH:CHC6H4F-2).

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyrimidinones and analogs as AMPA receptor

antagonists)

RN 36204-81-6 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-methylphenyl)- (CA INDEX NAME)

RN 199599-60-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(2-chloropheny1)- (CA INDEX NAME)

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1972:434570 CAPLUS

ACCESSION NUMBER: 1972:434 DOCUMENT NUMBER: 77:34570

ORIGINAL REFERENCE NO.: 77:5763a,5766a

TITLE: Pyrazinamide derivatives as diuretics and natriuretics

INVENTOR(S): Cragoe, Edward J., Jr.; Shepard, Kenneth L.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: Fr. Demande, 54 pp.

DOCUMENT TYPE: CODEN: FRXXBL Patent LANGUAGE: French FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION	NO.	DATE	
	FR 2034542		19710108				<
OF	TTV ADDIN TMEO .			IIC		10600313	

PRIORITY APPLN. INFO.:
GI For diagram(s), see printed CA Issue.

Refluxing a mixture of I (R1 = Me, R2 = R3 = H, R4 = C1), 5% aqueous NaOH, and AB iso-PrOH for 1 hr gave the carboxylic acid I (R1 = R2 = R3 = H, R4 = C1) (II). A mixture of CH.tplbond.CCH2NH2, Me 3-amino-5,6-dichloropyrazinoate, and Me2SO when stirred for 1 hr gave I (R1 = Me, R2 = H, R3 = CH.tplbond.CCH2, R4 = C1) which on hydrolysis gave the corresponding carboxylic acid, R1 = H. Using similar methods, 21 I were prepared in which R1 = H, R2 = H, Me, allyl, cyclopentyl, Me2NCH2CH2, 2-furylmethyl, MeO, NH2, etc., R3 = H or Me, R4 = C1, Br, or iodo. To a solution of II, Et3N, and Me2NCHO was added N-tert-butyl-5-methylisoxazolium perchlorate (III) and the mixture stirred 2 hr to give IV (R2 = R3 = H, R4 = C1, R5 = Me, R6 = Me3C) (V). Nineteen IV were similarly prepared in which R2 = H, allyl, propargyl, cyclopentyl, hydroxyalkyl, benzyl, furylmethyl, phenyl, substituted phenyl, MeO, NH2, Me, or Et; R3 = H or Me; R4 = C1, Br, or iodo; R5 = Me or Ph; R6 = Et, CMe3, or Me. Refluxing a mixture of 1-aminopyrrolidine and V for 2 hr gave VI (R2 = R3 = H, R4 = C1, R1 = pyrrolidino) as a high m.p. solid. Twenty-two VI were similarly prepared in which R2, R3, and R4 were as in V and R1 was a group such as MePrN(CH2)2, MeOCH2CH2, benzyl, Me2NCH2CH2, pyrrolidinoethyl, and 1-methyl-4-piperazinoethyl. VI (R2 = R3 = H, R4 = C1, R1 = 2-pyridylamino) was prepared by refluxing a mixture of 2-hydrazinopyridine (VII) and MeCN. Reacting III, 3,5-diamino-6-chloropyrazinoic acid (VIII) with Et3N in Me2NCHO, then addition of 2-hydrazinopyrimidine in DMF and further heating gave VI (R2 = R3 = H, R4 = C1, R1 = 2-pyrimidinylamino). In THF, under similar conditions were prepared a further 14 amides and hydrazines VI including VI (R2 = R3 = H, R4 = C1, R1 = 4H-1,2,4-triazolyl). Stirring a mixture of benzamidine and VII in H2O for 2 hr gave IX. Five analogs were prepared using other amidines. In a similar

manner using quanidine in place of benzamidine was prepared X (R = H) (XT) glving a crystalline hydrochloride. XI could also be prepared X (R = H) (XT) without isolation of intermediates. By similar methods were prepared X (R = OR, CH2Ph) and 39 analogs of X in which the NH2 adjacent to the Cl could

also be substituted. With aminoguanidine and 2-hydrazino-2-imidazoline were prepared X (R = NH2 and 2-aminoimidazoline). A mixture of CNNH2 and Na in iso-PrOH was refluxed for 0.5 hr and then heated with N-tert-butyl-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)crotonamide to give N-cyano-3,5-diamino-6-chloropyrazinear-boxamide. Refluxing

give N-cyano-3,5-diamino-6-chloropyrazinecar-boxamide. Refluxing N-tert-butyl-3-methyl-3-(3,5-diamino-6-chloropyrazinylcarbonyloxy)acrylamide (XII) and benzyloxydiguanide in THF

chloropyrazinylcarbonyloxylacrylamide (KII) and benzyloxydiguanide in Hr gave XIII (R = H, RI = CH2Ph). Twelve XI in which R was H and R1 1-6C alkyl, or R was a substituent such as cyclopentyl, PhCH2, and furylmethyl, and RI was substituted benzyl were prepared Refluxing a mixture of 2-amino-2-thiazoline, XII, and THF gave

N-(2-thiazolin-2-y1)-3,5-diamino-6-chloropyrazinecarboxamide (XIV, R = R1 = R2 = R3 = H). Three analogs were prepared in which R was cyclopenty1, benzyl and HO(CH2)2, the other substituents being H, Me, or C6H3. XIV where RNH was pyrrolidino was also prepared The 4- and 2-pyridyl groups and 2-pyrimidiny1 could be substituted for the thiazoline. Reaction of V with sulfamide and Et3N in MeCN at room-temperature gave XV (R = R1 = R2 = H, X = C1). Eighteen XV were similarly prepared Properties are also given for a further 19 amides XVI. containing a wide variety of substituents. The products are useful in treatment of hypertension and related conditions by causing diuresis without elimination of potassium. Daily doses are 5 mg-1

IT 32209-55-5P

ANSWER 17 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN 1971:420438 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

75:20438 ORIGINAL REFERENCE NO.: 75:3278h,3279a

TITLE:

N-substituted 3,5-diamino-6-halopyrazinamides INVENTOR(S): Shepard, Kenneth L.; Cragoe, Edward J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: U.S., 10 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3573306	A	19710330	US 1969-804663	19690305
NL 7001141	A	19700908	NL 1970-1141	19700127 <
BE 746816	A	19700904	BE 1970-746816	19700304 <
PRIORITY APPLN. INFO.:			US 1969-804663 A	19690305 <

AB Addition of diphenylcarbamoyl chloride to 3,5-diamino-6-chloropyrazinoic acid and Et3N in HCONMe2 gave 3,5-diamino-6-chloropyrazinecarboxylic

diphenylcarbamic anhydride (I). Refluxing Na in iso-PrOH with guanidine-HCl and addition of I gave 1-(3,5-diamino-6-

chloropyrazinoyl) quanidine. Similarly prepared were 1,1,3,3-tetramethyl-2-(3,5-diamino-6-chloropyrazinoyl) quanidine,

1-(3,5-diamino-6-chloropyrazinov1)-3-cyanoquanidine,

N-methyl-N-(cvanomethyl)-3,5-diamino-6-chloropyrazinecarboxamide, N-(2,2-diethoxyethyl)-3,5-diamino-6-chloropyrazinecarboxamide,

N-(2-morpholinoethyl)-3,5-diamino-6-chloropyrazinecarboxamide, N-(4-pyridylmethyl)-3,5-diamino-6-chloropyrazinecarboxamide,

N-(2-pyridyl)-3,5-diamino-6-chloropyrazinecarboxamide,

3,5-diamino-6-chloropyrazinecarboxylic acid 1,2-dimethylhydrazide,

3,5-diamino-6-chloropyrazinecarboxylic acid 1-methyl-2-benzylidenehydrazide, and

N-(3,5-diamino-6-chloropyrazinoyl) morpholine. These compds. had diuretic activity at 10-100 mg.

32209-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 32209-55-5 CAPLUS

2-Pvrazinecarboxamide, 3,5-diamino-6-chloro-N-phenvl- (CA INDEX NAME) CN

## => filstnguide

FILSTNGUIDE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> fil stnguide COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL
FULL ESTIMATED COST	98.62	286.72
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.94	-13.94

FILE 'SINGUIDE' ENTERED AT 18:13:27 ON 25 FEB 2009 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 20, 2009 (20090220/UP).

=> LOGOFF

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:Y

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 1.54
 288.581.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
0.0.0
-13.94

STN INTERNATIONAL LOGOFF AT 18:26:24 ON 25 FEB 2009